

```

chain nodes :
6 12 15 17 24 25 27 28 29
ring nodes :
1 2 3 4 5 7 8 9 10 11 18 19 20 21 22 23
chain bonds :
4-6 6-7 9-12 10-15 17-27 18-29 22-25 23-24 27-28 28-29
ring bonds :
1-2 1-5 2-3 3-4 4-5 7-8 7-11 8-9 9-10 10-11 18-23 18-19 19-20 20-21 21-22
22-23
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 4-6 7-8 7-11 8-9 9-10 9-12 10-11 10-15 17-27 18-23
18-19 18-29 19-20 20-21 21-22 22-23 22-25 23-24 27-28 28-29
exact bonds :
6-7

```

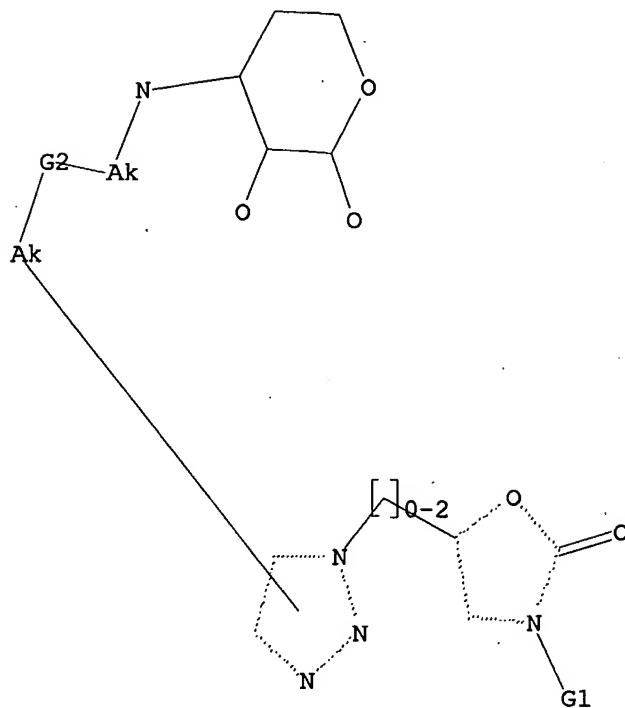
G1: Ph, Cy

G2:C,O,S,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:CLASS 15:CLASS 17:CLASS 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS

L1 STRUCTURE UPLOADED

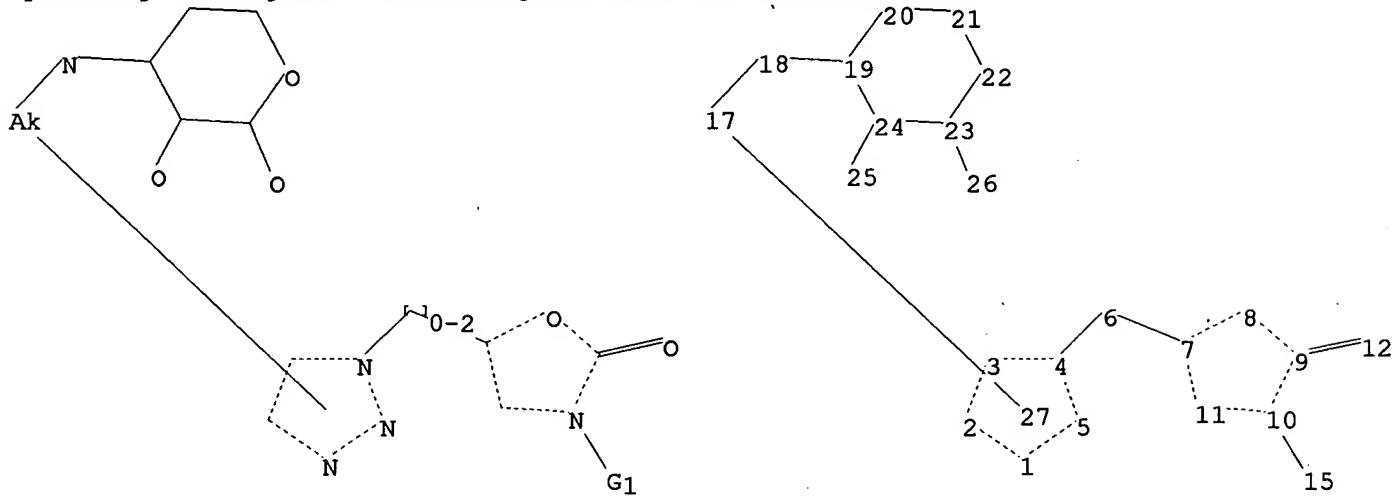
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L1 HAS NO ANSWERS
L1 STR



G1 Ph,Cy
G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=>
Uploading C:\Program Files\Stnexp\Queries\10671326amendG.str



chain nodes :
6 12 15 17 18 25 26
ring nodes :
1 2 3 4 5 7 8 9 10 11 19 20 21 22 23 24

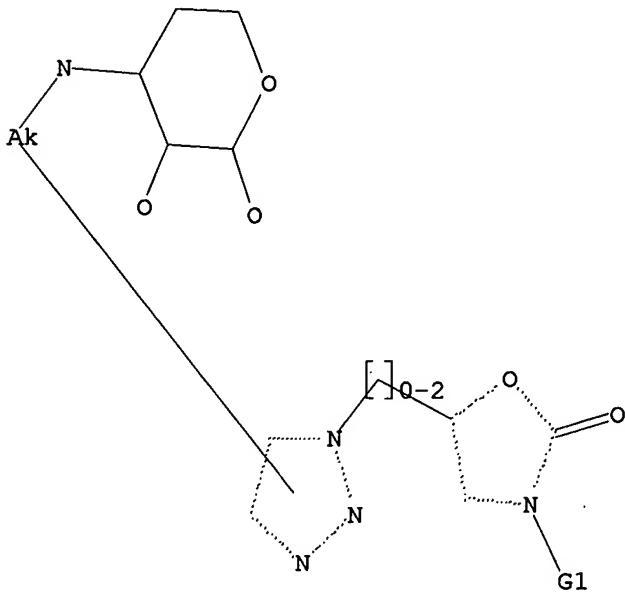
chain bonds :
4-6 6-7 9-12 10-15 17-18 18-19 23-26 24-25
ring bonds :
1-2 1-5 2-3 3-4 4-5 7-8 7-11 8-9 9-10 10-11 19-20 19-24 20-21 21-22 22-23
23-24
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 4-6 7-8 7-11 8-9 9-10 9-12 10-11 10-15 17-18 18-19
19-20 19-24 20-21 21-22 22-23 23-24 23-26 24-25
exact bonds :
6-7

G1:Ph,Cy

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom
12:CLASS 15:CLASS 17:CLASS 18:CLASS 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom
24:Atom 25:CLASS 26:CLASS 27:CLASS

L2 STRUCTURE UPLOADED

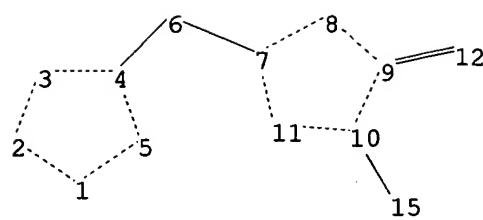
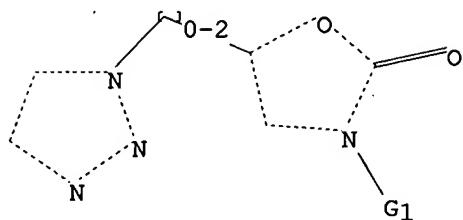
=> d 12
L2 HAS NO ANSWERS
L2 STR



G1 Ph,Cy

Structure attributes must be viewed using STN Express query preparation.

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chain nodes :

6 12 15

ring nodes :

1 2 3 4 5 7 8 9 10 11

chain bonds :

4-6 6-7 9-12 10-15

ring bonds :

1-2 1-5 2-3 3-4 4-5 7-8 7-11 8-9 9-10 10-11

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 4-6 7-8 7-11 8-9 9-10 9-12 10-11 10-15

exact bonds :

6-7

G1:Ph,Cy

Match level :

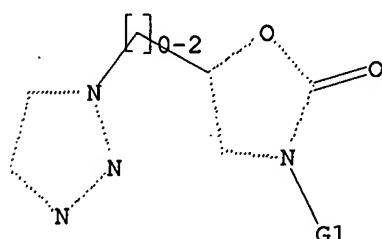
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12:CLASS 15:CLASS

L3 STRUCTURE UPLOADED

=> d 13

L3 HAS NO ANSWERS

L3 STR



G1 Ph,Cy

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 10:51:09 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED
SEARCH TIME: 00.00.01

5 ITERATIONS

0 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5 TO 234
PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L1

=> s 11 full
FULL SEARCH INITIATED 10:51:15 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 119 TO ITERATE

100.0% PROCESSED 119 ITERATIONS
SEARCH TIME: 00.00.01

24 ANSWERS

L5 24 SEA SSS FUL L1

=> s 12
SAMPLE SEARCH INITIATED 10:51:25 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 5 TO ITERATE

100.0% PROCESSED 5 ITERATIONS
SEARCH TIME: 00.00.01

4 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5 TO 234
PROJECTED ANSWERS: 4 TO 200

L6 4 SEA SSS SAM L2

=> s 12 full
FULL SEARCH INITIATED 10:51:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 121 TO ITERATE

100.0% PROCESSED 121 ITERATIONS
SEARCH TIME: 00.00.01

76 ANSWERS

L7 76 SEA SSS FUL L2

=> s 13
SAMPLE SEARCH INITIATED 10:51:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 41 TO ITERATE

100.0% PROCESSED 41 ITERATIONS
SEARCH TIME: 00.00.01

38 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 436 TO 1204
PROJECTED ANSWERS: 391 TO 1129

L8 38 SEA SSS SAM L3

=> s 13 full
FULL SEARCH INITIATED 10:51:48 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 861 TO ITERATE

100.0% PROCESSED 861 ITERATIONS
SEARCH TIME: 00.00.01

831 ANSWERS

L9 831 SEA SSS FUL L3

=> fil hcaplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE TOTAL
ENTRY SESSION
484.85 485.06

FILE 'HCAPLUS' ENTERED AT 10:52:02 ON 29 NOV 2005
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FILE LAST UPDATED: 28 Nov 2005 (20051128/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 15
L10 1 L5

=> d ed abs ibib hitstr

L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 09 Apr 2004
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a family of bifunctional heterocyclic compds., e.g., I (A = C, C(=O), N (with proviso, that at least one A = O); B = O, NR2, S(=O)2, C(=O), C(=S), C(=NR3); p = 0, 1; q = 0, 1; r = 0 - 2; R2 = H, S(=O)2-CHO, Cl-8-alkyl1, Cl-8-alkenyl1, Cl-8-alkynyl1, Cl-8-alkoxy, Cl-8-alkylthio, Cl-8-acyl, (un)saturated or aromatic C3-8-carbocycle, (un)saturated or aromatic 5 to 10-membered heterocycle (containing one or more N, S, O); NR2R3 = 5 to 8-membered (un)saturated carbocycle or heterocycle (containing one or more N, S, O); R3 = H, Cl-8-alkyl1, Cl-8-alkenyl1, Cl-8-alkynyl1, Cl-8-acyl, (un)saturated or aromatic C3-8-carbocycle, (un)saturated or aromatic 5 to 7-membered heterocycle (containing one or more N, S, O); NR3R3 = 5 to (un)saturated 7-membered carbocycle or heterocycle (containing one or more N, S, O); R4 = H, NR3R3, NR3OR3, NR3NR3R3, NHCOR3, C(=O)NR3R3, Cl-8-alkyl1, Cl-8-alkenyl1, Cl-8-alkynyl1, etc.; D = D1, D2, D3, D4, S = d1, or penta-substituted Ph, substituted 4-vinylphenyl; G = Cl-4-alkyl, Cl-8-alkyl, Cl-8-alkenyl, Cl-8-alkynyl, Cl-8-alkoxy, Cl-8-alkylthio, Cl-8-acyl, (un)saturated or aromatic C5-10-carbocycle, (un)saturated or aromatic 5 to 10-membered heterocycle (containing one or more N, S, O); Z = C, N, O, S; dashed line = single or double bond) or a pharmaceutically acceptable salt, ester or prodg thereof, useful as antiinfective, antiproliferative, antiinflammatory and prokinetic agents (no data). The invention also provides methods of making the bifunctional heterocyclic compds., and methods of using such compds. as antiinfective, antiproliferative, antiinflammatory and/or prokinetic agents. Thus, erythromycin derivative I was prepared from N-(desmethylerythromycin), via N-alkylation with NC-bromo-CH2Cl207, and cyclodn. with azide III.

ACCESSION NUMBER: 2004-292029 HCAPLUS

DOCUMENT NUMBER: 140432158

TITLE: Methods of preparation of bifunctional heterocyclic compounds for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents

INVENTOR(S): Wang, D., Mccliffe, Joyce A., Oyleere, Adegboyega K., Mcconnell, Timothy S., Ippolito, Joseph A., Abelson, John N.

PATENT ASSIGNEE(S): Riker-X Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 363 pp.

CODEN: PIXK02

DOCUMENT TYPE: Patent

LANGUAGE: English

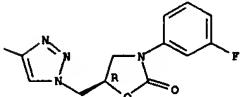
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029066	A2	20040408	WO 2003-US30478	20030925
WO 2004029066	C1	20040513		
WO 2004029066	A3	20040826		

W: AZ, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,

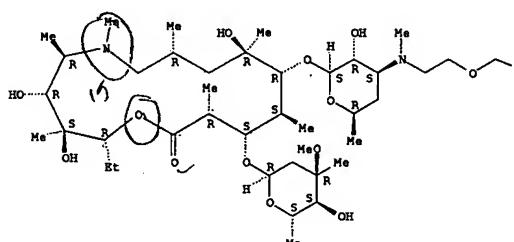
L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PAGE 1-B



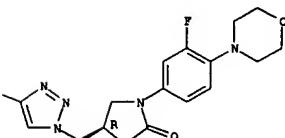
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Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



540/454

514/

Page 929/11/2005

L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PB, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TN, TW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, GA, GW, ML, MN, NE, SI, SK, TR, BF, BJ, CF, CG, CI, OM, GA, GW, ML, MN, NE, SI, SK, TR, US 2005-671326 A1 200505098 US 2003-671326 20030925 CA 2500158 AA 20040408 CA 2003-2500158 20030926 EP 1543017 A2 20050622 EP 2003-770506 20030926 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TA, BG, CZ, EE, HU, SK PRIORITY APPLN. INFO.: US 2002-414207 P 20020926 US 2003-448216P P 20030219 WO 2003-US30478 W 20030925

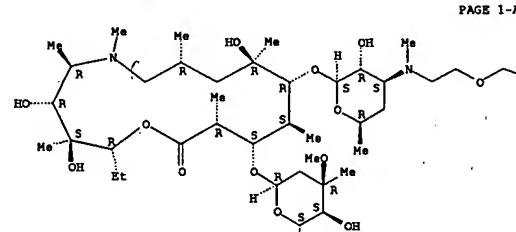
OTHER SOURCE(S): MARPAT 140:321158

IT 677726-86-2P 677726-86-2P
RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (preparation and hydrolysis of; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

RN 677726-85-1 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[(1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)methoxy]ethyl)methylamino]-B-D-xylo-hexopyranosyl)oxy]- (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



540/454

PAGE 1-A

L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
677726-77-1P 677726-78-2P 677726-79-3P

677726-80-6P 677726-82-8P 677726-87-3P

677726-88-4P 677727-78-5P 677727-79-6P

677727-80-9P 677727-81-0P 677727-82-1P

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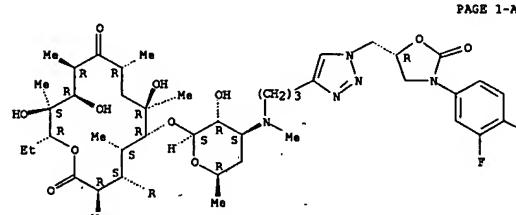
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

RN 677726-16-8 HCAPLUS

CN Erythromycin, N-desmethyl-N-[3-[(1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



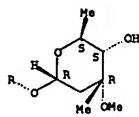
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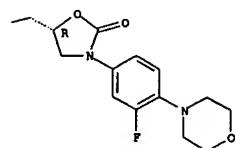
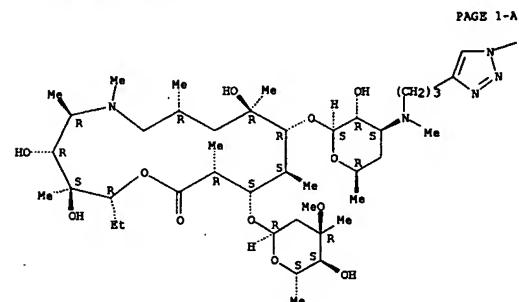
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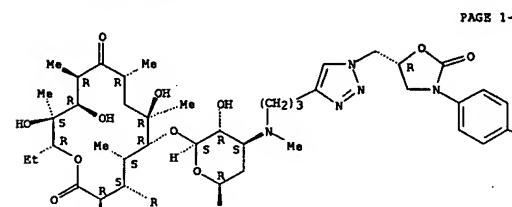
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[methyl[4-1-[(5R)-3-[3-
 fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]propyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
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Absolute stereochemistry.

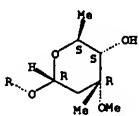


RN 677726-28-2 HCPLUS
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 INDEX NAME)

Absolute stereochemistry.

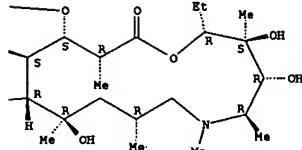
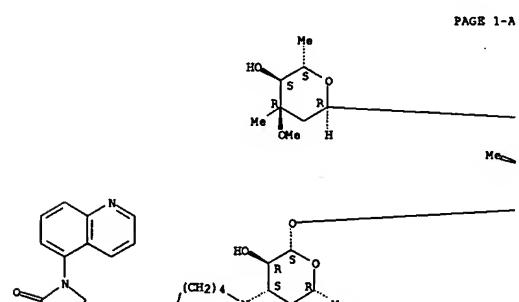


Ac



RN 677726-77-1 HCPLUS
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[methyl[4-1-[(5R)-2-
 oxo-3-(5-quinoliny)-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]butyl]amino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

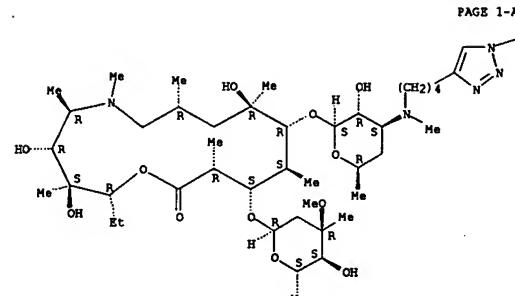
Absolute stereochemistry.



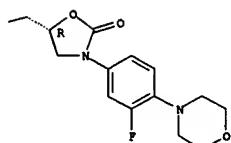
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[4-1-[(5R)-3-[3-
 fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]butyl]amino]-β-D-xylo-hexopyranosyl]oxy]-
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Absolute stereochemistry.



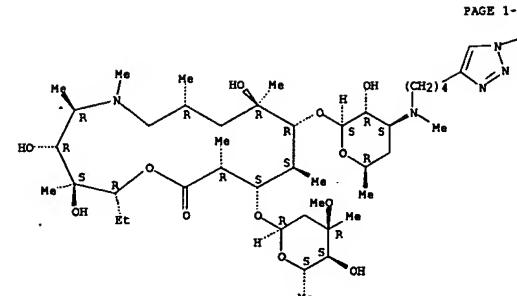


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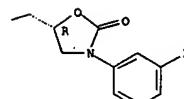


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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(4-
 [1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]butyl)methylamino]-β-D-xylo-hexopyranosyl]oxy]-
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Absolute stereochemistry.

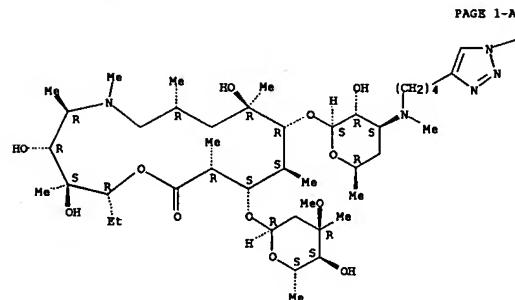


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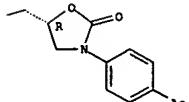


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 3,4,6-trideoxy-β-D-xylo-hexopyranosyl]oxy]-13-[(2,6-dideoxy-3-C-
 methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-
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 yl]butyl)methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

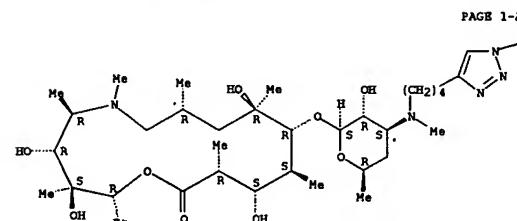


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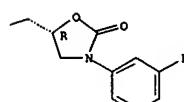


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 [1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]butyl)methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



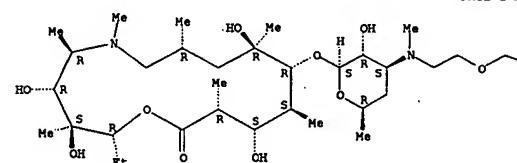
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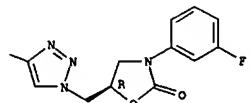


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 [1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)methoxy]ethyl)methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

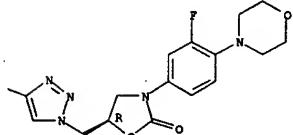
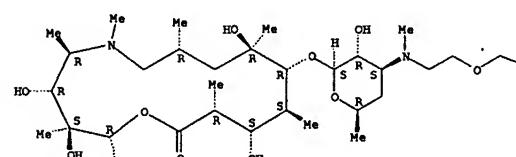
PAGE 1-A





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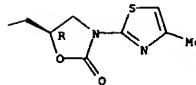
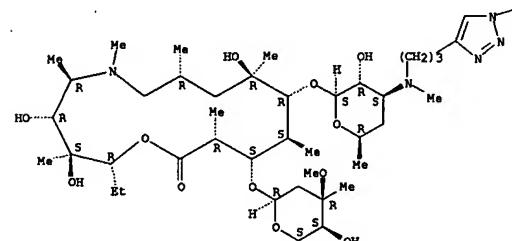
Absolute stereochemistry.



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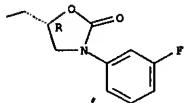
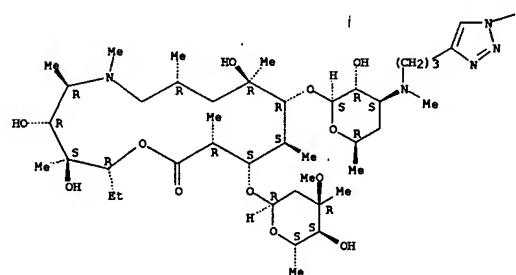
L10 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(3-[(1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl)-1H-1,2,3-triazol-4-yl]propyl)methylamino]-β-D-xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



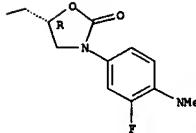
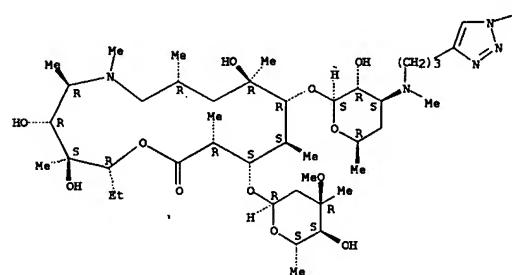
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Absolute stereochemistry.



RN 677727-80-9 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(1-[(5R)-3-(4-dimethylamino)-3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl)-1H-1,2,3-triazol-4-yl]propyl)methylamino]-β-D-xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

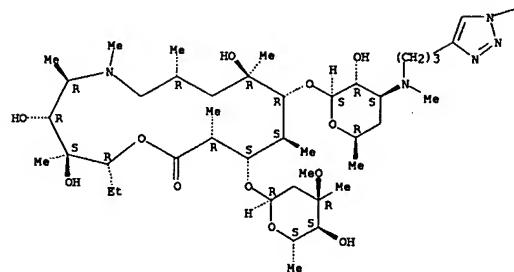
Absolute stereochemistry.



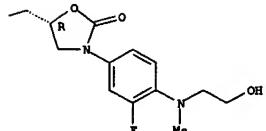
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Absolute stereochemistry.

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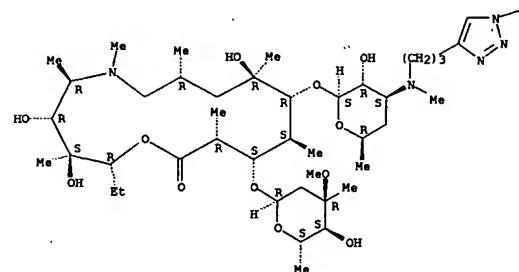
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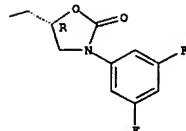
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 difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)propyl]methylamino-β-D-xylo-hexopyranosyl]oxy]-
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Absolute stereochemistry.

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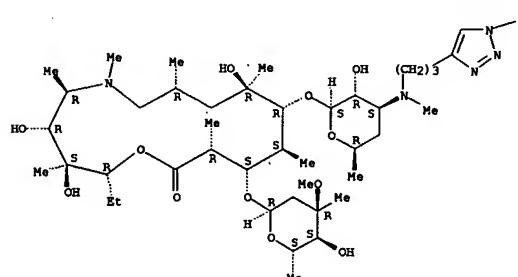
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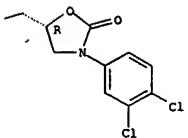
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 difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)propyl]methylamino-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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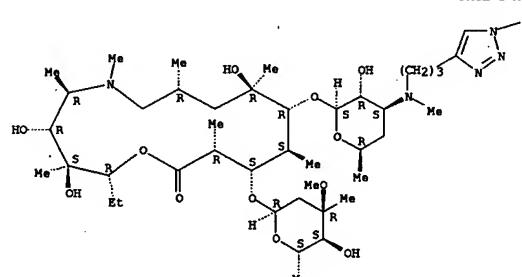
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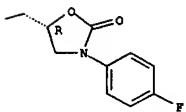
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 yl)propyl]methylamino-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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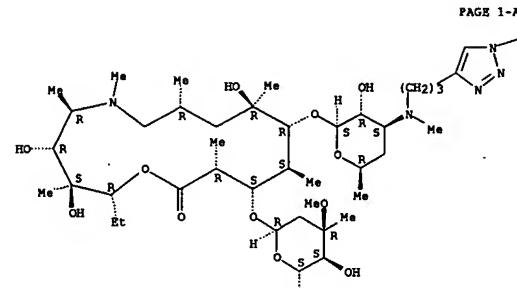


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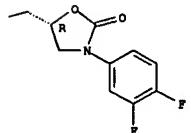


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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[3-1-[(5R)-3-(4-
 fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)propyl]methylamino-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

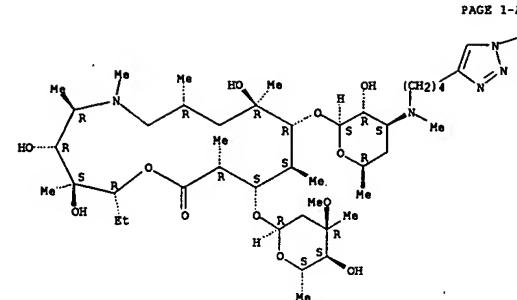


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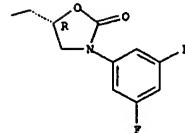


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Absolute stereochemistry.

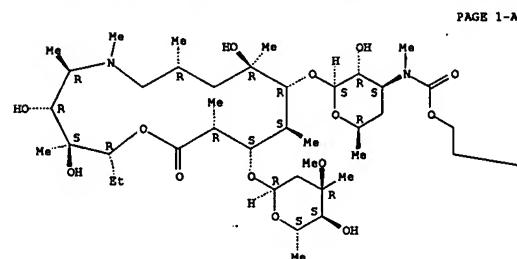


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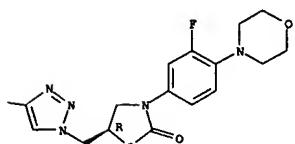


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Absolute stereochemistry.

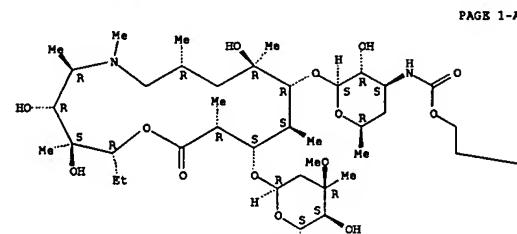


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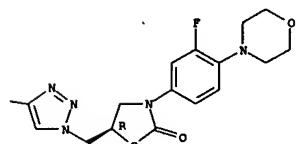


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Absolute stereochemistry.



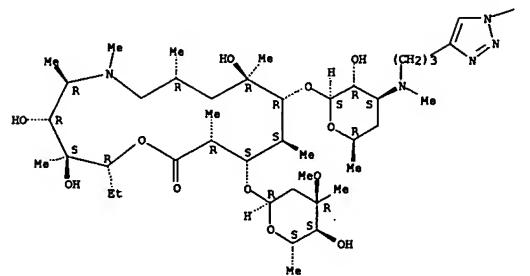
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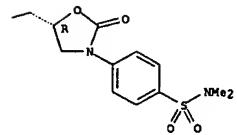
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Absolute stereochemistry.

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=> s 17
L11 1 L7

=> d ed abs ibib hitstr

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 09 Apr 2004
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a family of bifunctional heterocyclic compds., e.g., I [A = C, C(=O), N (with proviso, that at least one A = C); B = O, NR2, S(O)r, C(=O), C(S), C(=N)R3; p = 0, 1; q = 0, 1; r = 0, 2; R2 = H, S(O)rR4, CHO, Cl-8-alkyl; C2-8-alkenyl, C2-8-alkynyl, Cl-8-alkoxy, Cl-8-alkylthio, Cl-8-acyl, (un)saturated or aromatic C3-8-carbocycle, (un)saturated or aromatic 5 to 10-membered heterocycle (containing one or more N, S, O); NR2R4 = 5 to 8-membered (un)saturated carbocycle or heterocycle (containing one or more N, S, O); R3 = H, Cl-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, Cl-8-acyl, (un)saturated or aromatic C3-8-carbocycle, (un)saturated or aromatic 5 to 7-membered heterocycle (containing one or more N, S, O); NR3R4 = 5 to 10-membered heterocycle or carbocycle (containing one or more N, S, O); R4 = H, NR3R4, S(O)rR3, NR3NR3, NR3NR3R3, NR3NR3R3, C(=O)NR3R3, Cl-8-alkyl, C2-8-alkenyl, etc.; D = D1, D2, D3, D4; E = di- or para-substituted Ph, substituted 4-vinylphenyl, G = Cl-4-alkyl, Cl-8-alkyl, Cl-8-alkenyl, Cl-8-alkoxy, Cl-8-alkylthio, Cl-8-acyl, Cl-8-acyl, (un)saturated or aromatic C5-10-carbocycle, (un)saturated or aromatic 5 to 10-membered heterocycle (containing one or more N, S, O); Z = C, N, O, S; dashed line = single or double bond] or a pharmaceutically acceptable salt, ester or prodrug thereof, useful as antiinfective, antiproliferative, antiinflammatory and prokinetic agents (no data). The invention also provides methods of making the bifunctional heterocyclic compds., and methods of using such compds. as antiinfective, antiproliferative, antiinflammatory and/or prokinetic agents. Thus, erythromycin derivative II was prepared from N-(desmethylerythromycin), via N-alkylation with EC.tpbond.CC(=O)CH2CH2O7, and cycloaddn. with azide III.

ACCESSION NUMBER: 2004-292026 HCAPLUS

DOCUMENT NUMBER: 140-321158

TITLE: Methods of preparation of bifunctional heterocyclic compounds for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents

INVENTOR(S): Wang, Deping; Sutcliffe, Joyce A.; Oyleare, Adegboyega K.; McConnell, Timothy S.; Ippolito, Joseph A.; Abelson, John N.

PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 363 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

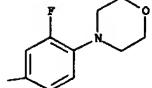
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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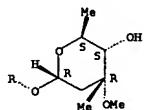
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L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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IT 677726-17-9P 677726-31-7P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation and hydrolysis of) preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents

RN 677726-17-9 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-triacyl-3-[2-[1-[(5R)-3-(3-fluoro-4-(4-phenyl)phenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-8-D-xylo-hexopyranosyl]oxy]- (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NJ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZV
 RW: GH, GM, KE, LS, MW, MZ, SD, SZ, TZ, UG, ZM, ZV, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, LU, IE, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
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 EP 1543017 A2 20050622 EP 2003-770506 20030926
 R: BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 PRIORITY APPLN. INFO.: US 2002-414207P P 20020926
 US 2003-448216P P 20030219
 WO 2003-US30478 W 20030925

OTHER SOURCE(S): MARPAT 140:321158

IT 677726-18-7P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

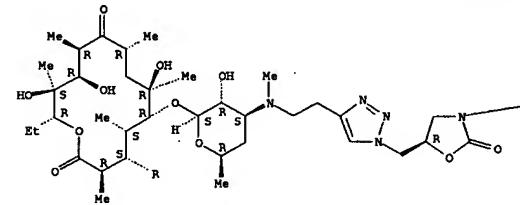
(preparation and N-dealkylation of) preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents

RN 677726-18-7 HCAPLUS

CN Erythromycin, N-demethyl-N-[2-[2-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl] (9CI) (CA INDEX NAME)

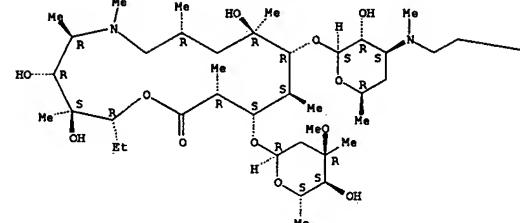
Absolute stereochemistry.

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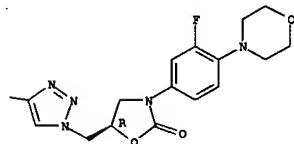


L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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PAGE 1-B

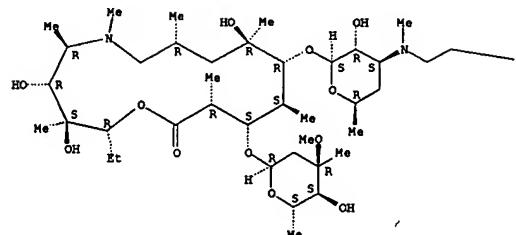


RN 677726-31-7 HCAPLUS

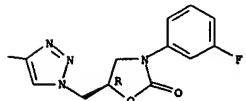
1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-triacyl-3-[2-[1-[(5R)-3-(3-fluoro-4-(4-phenyl)phenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-8-D-xylo-hexopyranosyl]oxy]- (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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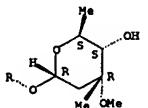


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IT 677726-16-0P 677726-18-0P 677726-26-0P
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 677726-35-1P 677726-36-2P 677726-46-4P
 677726-47-5P 677726-48-6P 677726-49-7P
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 677726-53-3P 677726-54-4P 677726-55-5P
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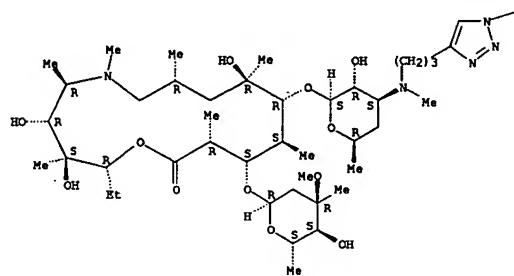
PAGE 2-A



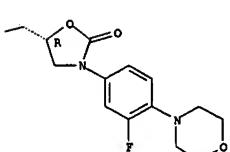
RN 677726-18-0 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(3-1-[(5R)-3-[3-
 fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-
 triazol-4-yl)propyl)methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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677726-03-0P 677726-04-0P 677726-42-9P

678182-71-3DP, trans-cyclohexanol isomers

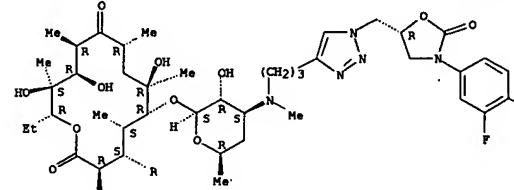
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses);
 (prpns. of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

RN 677726-16-8 HCAPLUS

CN Erythromycin, N-[2-[1-[(5R)-3-[3-[(5R)-3-[3-
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 yl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

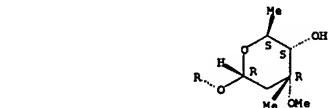
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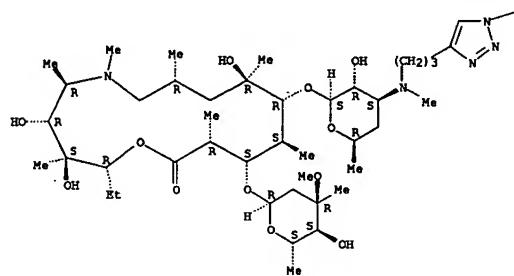
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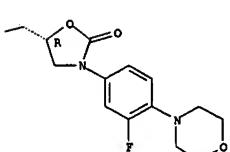
RN 677726-18-0 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(3-1-[(5R)-3-[3-
 fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-
 triazol-4-yl)propyl)methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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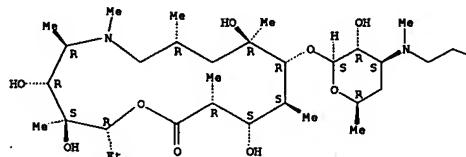


RN 677726-26-0 HCAPLUS

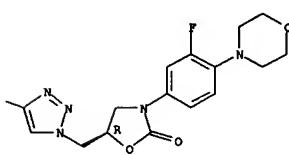
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 fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-
 triazol-4-yl)ethyl)methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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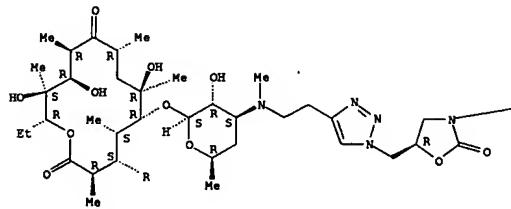
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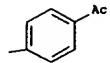
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 CN Erythromycin, N-[2-[1-[(5R)-3-[3-[(4-acetylphenyl)-2-oxo-5-
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 INDEX NAME)

Absolute stereochemistry.

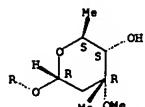
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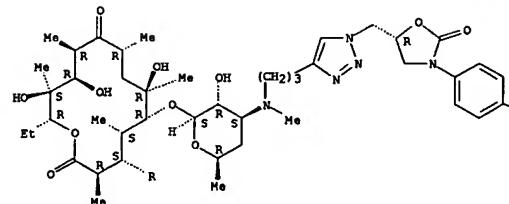
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RN 677726-29-2 HCAPLUS
 CN Erythromycin, N-[3-[1-[(5R)-3-(4-acetylphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]propyl-N-demethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

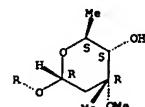
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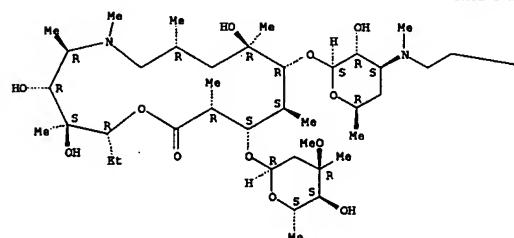
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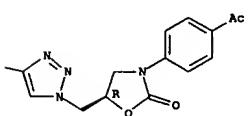
RN 677726-29-3 HCAPLUS
 CN 1-Oxa-6-azacycloheptadecan-15-one, 11-[3-[[2-[1-[(5R)-3-(4-acetylphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-3,4,6-trideoxy- β -D-xylo-hexopyranosyl]oxy]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribio-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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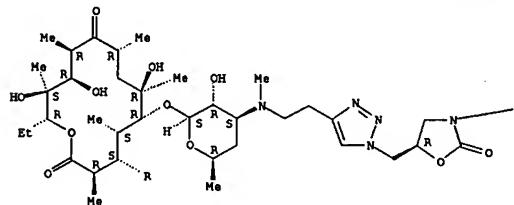
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RN 677726-30-6 HCAPLUS
 CN Erythromycin, N-demethyl-N-[2-[1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

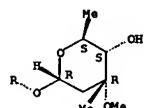
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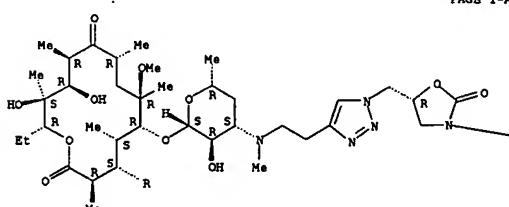
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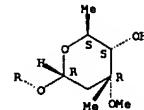
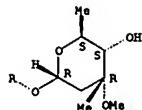
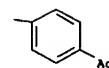
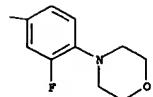


RN 677726-33-9 HCAPLUS
 CN Erythromycin, N-demethyl-N-[2-[1-[(5R)-3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

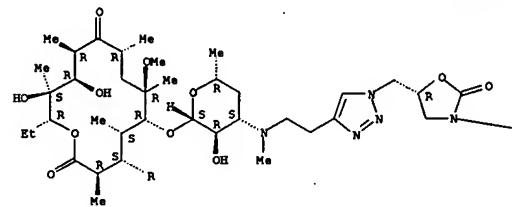
PAGE 1-A





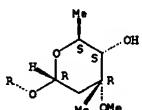
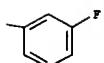
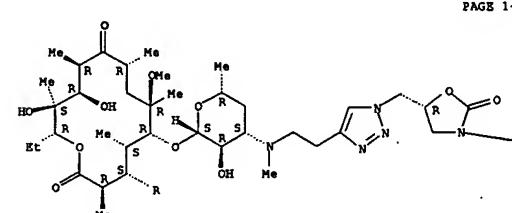
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 CN Erythromycin, N-[2-[(5R)-3-(4-acetylphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-N-demethyl-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 677726-35-1 HCAPIUS
 CN Erythromycin, N-demethyl-N-[2-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

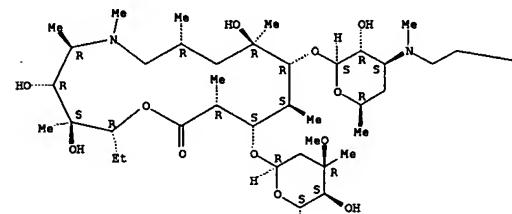
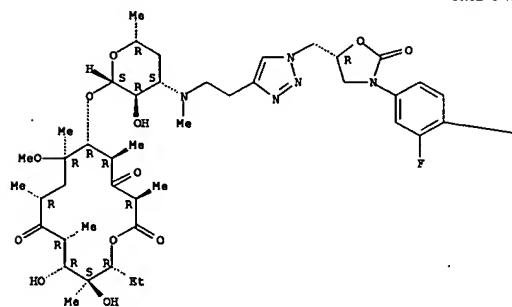


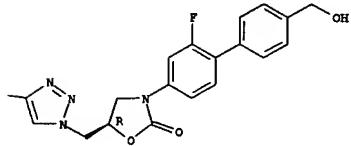
RN 677726-46-4 HCAPIUS
 CN 1-O-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-rhamnopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[(1-[(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-9CI] (CA INDEX NAME)

Absolute stereochemistry.

RN 677726-36-2 HCAPIUS
 CN Erythromycin, 3-de-{(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-rhamnopyranosyl)oxy}-N-demethyl-1-N-[2-[(5R)-3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl-3-Oxo- (9CI) (CA INDEX NAME)

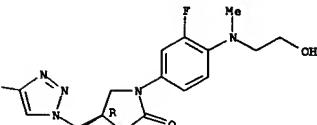
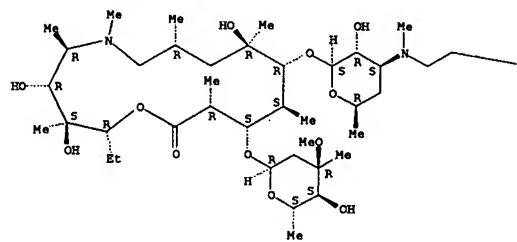
Absolute stereochemistry.





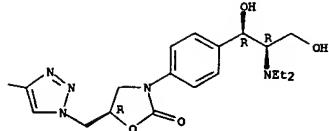
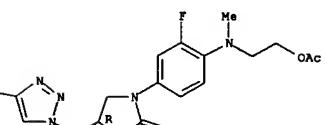
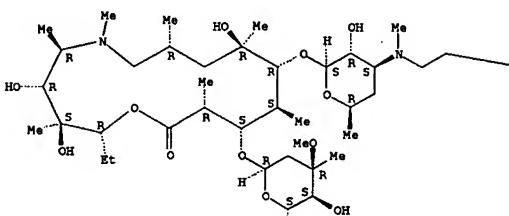
RN 677726-47-5 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[1-[(5R)-3-[(4-
 [(1R,2R)-2-(diethylamino)-1,3-dihydroxypropyl]phenyl]-2-oxo-5-
 oxazolidinyl]methyl)-1H-1,2,3-triazol-4-yl]ethyl)methylamino]- β -D-xylo-hexopyranosyl)oxy]-
 , (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



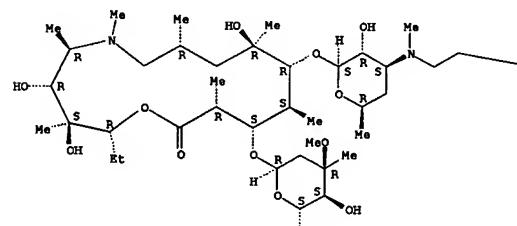
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 (acetyl)ethyl]methylamino]-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-
 1H-1,2,3-triazol-4-yl]ethyl)methylamino]-3,4,6-trideoxy- β -D-xylo-
 hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-
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Absolute stereochemistry.



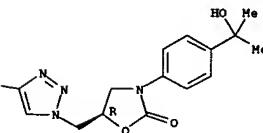
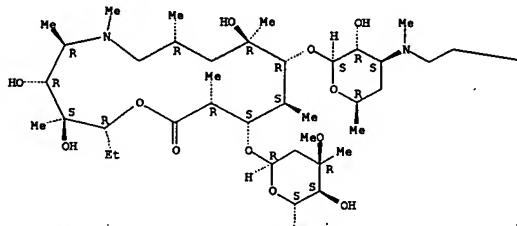
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 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[1-[(5R)-3-[(3-
 fluoro-4-(2-hydroxyethyl)methylamino]phenyl]-2-oxo-5-oxazolidinyl)methyl]-
 1H-1,2,3-triazol-4-yl]ethyl)methylamino]- β -D-xylo-hexopyranosyl)oxy]-
 , (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 677726-50-0 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
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 (1-hydroxy-1-methylethyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-
 triazol-4-yl]ethyl)methylamino]- β -D-xylo-hexopyranosyl)oxy]-
 , (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

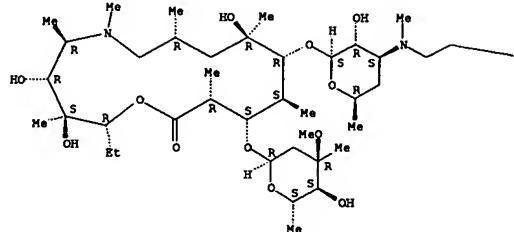
Absolute stereochemistry.



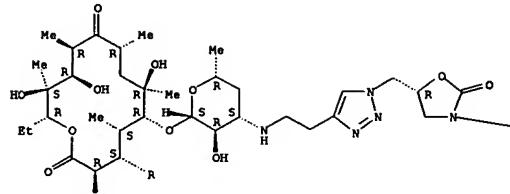
RN 677726-51-1 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[methyl[2-1-[(5R)-2-
 oxo-3-(3-pyridinyl)-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]ethyl]amino]- β -D-xylo-hexopyranosyl)oxy]-
 , (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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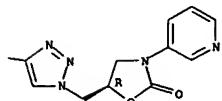


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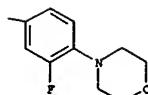
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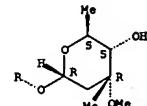


RN 677726-52-2 HCAPLUS
 CN Erythromycin, N,N-didemethyl-N-[2-[1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



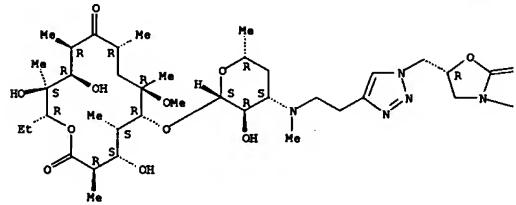
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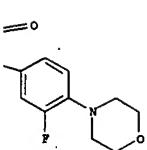
RN 677726-53-3 HCAPLUS
 CN Erythromycin, 3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribopyranosyl)-N-demethyl-N-[2-[1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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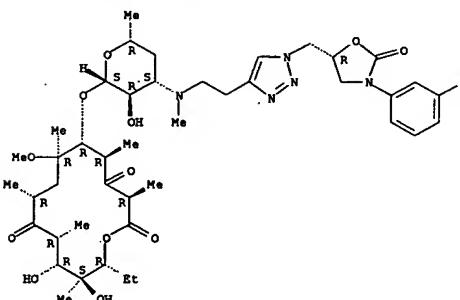


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RN 677726-54-4 HCAPLUS
 CN Erythromycin, 3-de[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12-hexahydropyran-11-[(3,4,6-trideoxy-3-[(2-[1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl)methylamino]- β -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

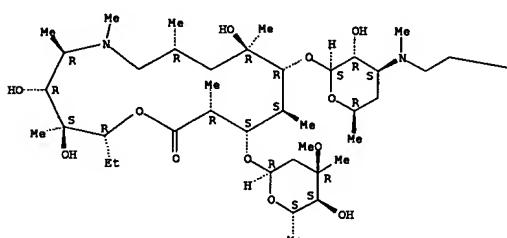
Absolute stereochemistry.

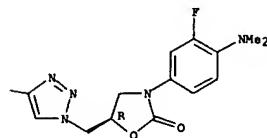


RN 677726-55-5 HCAPLUS
 CN 1-Oxa-6-acacyclopeptadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12-hexahydropyran-11-[(3,4,6-trideoxy-3-[(2-[1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl)methylamino]- β -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

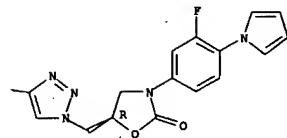
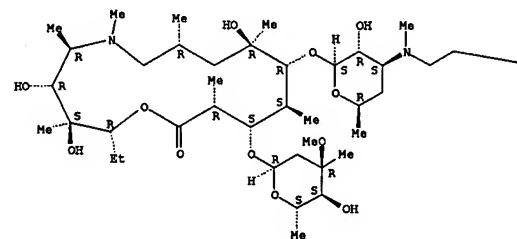
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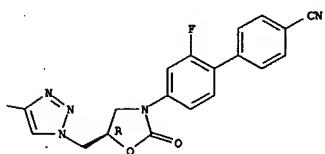
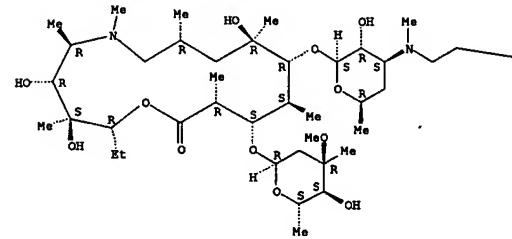
RN 677726-57-7 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-[3-
 fluoro-4-(1H-pyrazol-1-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-
 triazol-4-yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



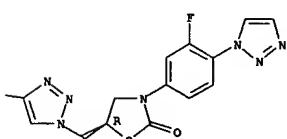
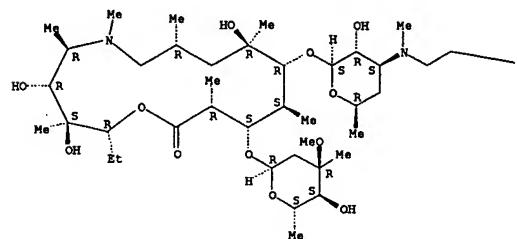
RN 677726-58-8 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[(3-[(2-1-[(5R)-3-[(4'-cyano-2-
 fluoro-1,1'-biphenyl)-4-yl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-
 4-yl)ethyl]methylamino]-3,4,6-trideoxy-β-D-xylo-hexopyranosyl]oxy]-13-
 [(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-
 ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-
 (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



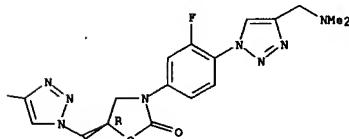
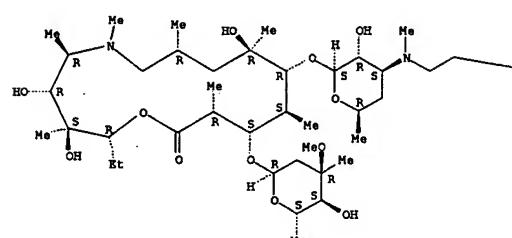
RN 677726-60-2 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-[3-
 fluoro-4-(1H-1,2,3-triazol-1-yl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-
 1,2,3-triazol-4-yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



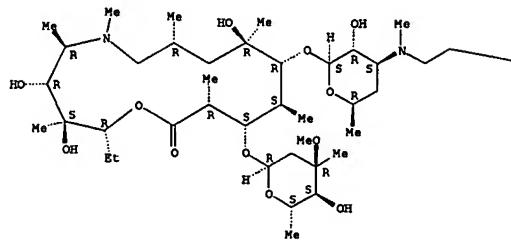
RN 677726-62-4 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-[4-
 [(dimethylamino)methyl]-1H-1,2,3-triazol-1-yl]-3-fluorophenyl]-2-oxo-5-
 oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)ethyl]methylamino]-β-D-
 xylo-hexopyranosyl]oxy]- (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

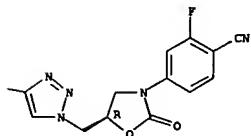


RN 677726-63-5 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[(3-[(2-1-[(5R)-3-[(4'-cyano-3-
 fluorophenyl)-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-3,4,6-trideoxy-β-D-xylo-hexopyranosyl]oxy]-13-
 [(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-
 ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-
 (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

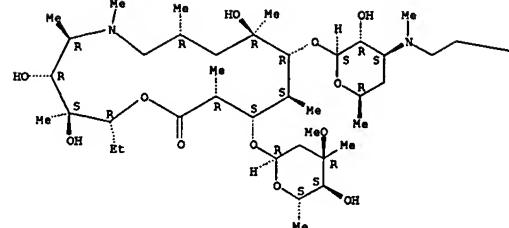


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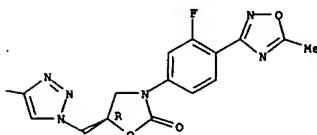


RN 67776-65-7 **HICAPLUS**
 CN 1-Oxa-2,6-dideoxy-3-C-methyl-3-O-methyl-
 1-L-ribulo-hexopyranosyl)-oxy-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[{2-[1-[(5R)-3-[3-
 fluoro-4-(5-methyl-1,2,4-oxadiazol-3-yl)phenyl]-2-oxo-5-
 oxazolidinyl]methyl]-1H-2,3,2-triazol-4-yl]ethyl)methylamino]-**D**-
 mylo-hexopyranosyl)-oxy- (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA-
 INDEX NAME)

Absolute stereochemistry.

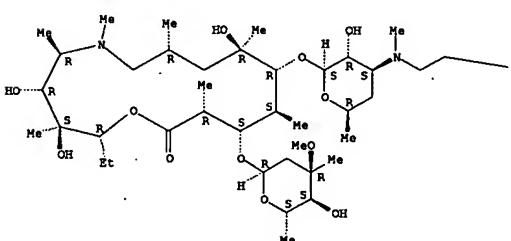


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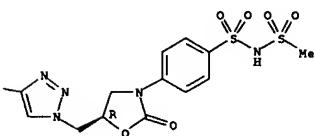


RN 677726-66-8 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-1-O-
 alpha-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-tri-deoxy-3-[methyl-2-[1-[(5R)-3-
 4-[(1-methylsulfonyl)amino]phenyl]-2-oxo-5-oxazolidinyl]methyl]-
 1H-1,2,3-triazol-4-yl]ethyl]amino]-8-O-*d*-xylo-hexopyranosyl)oxy]-
 (2R,3S,4R,5R,8R,10R,11R,13S,15S,15R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry

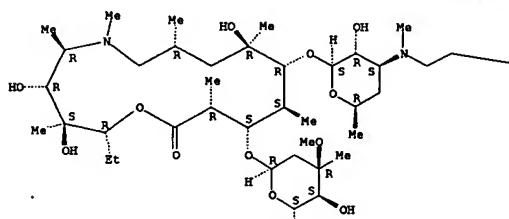


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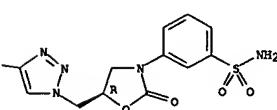


RN 677726-68-0 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecane-15-one, 11-[[3-[(2-[1-[(5R)-3-[3-(aminosulfonyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-3,4,5-trideoxy-D₂-D-xylo-hexopyranosyl]oxy]-13-[(2,6-dioxy-3-C-methyl-1,3-O-methyl-a-1-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-tridehydro-3,5,6,8,10,12,14-heptamethyl-,
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

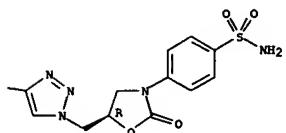
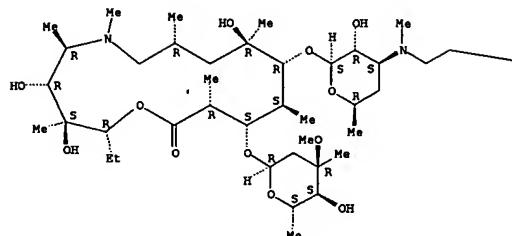


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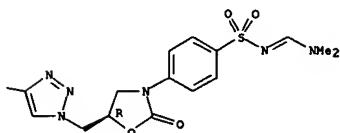
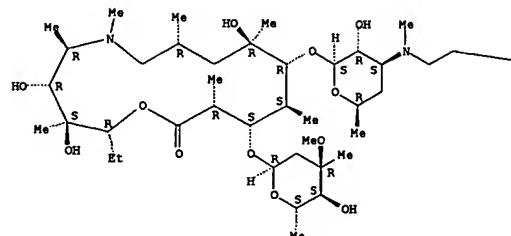
RN 677726-70-4 HCAPLUS
 CN 1-oxa-6-azacyclopentadecan-15-one, 11-[(3-[(2-[1-[(5R)-3-(4-aminosulfonyl)phenyl]2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)ethyl]methylamino]-3,4,6,12,16-trideoxy-2-O- β -D-xylo-hexopyranosyl)oxy]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-rhamno-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-,
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry



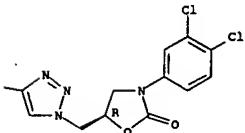
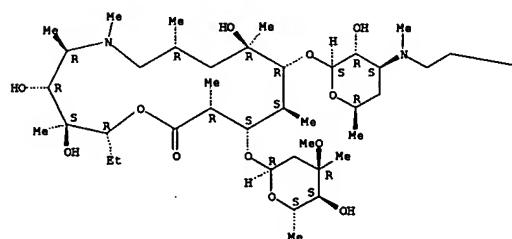
RN 677726-72-6 HCAPIUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-[(4-
 [[(dimethylamino)methylene]amino)sulfonyl]phenyl)-2-oxo-5-
 oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-β-D-
 xylo-hexopyranosyl)oxy]- (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



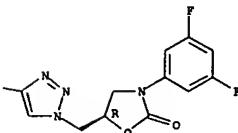
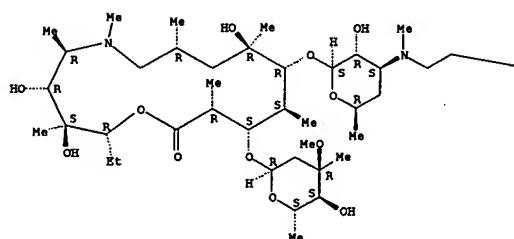
RN 677726-73-7 HCAPIUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-[(4-
 [[(dimethylamino)methylene]amino)sulfonyl]phenyl)-2-oxo-5-
 oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-β-D-
 xylo-hexopyranosyl)oxy]- (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.



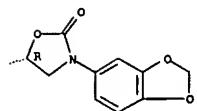
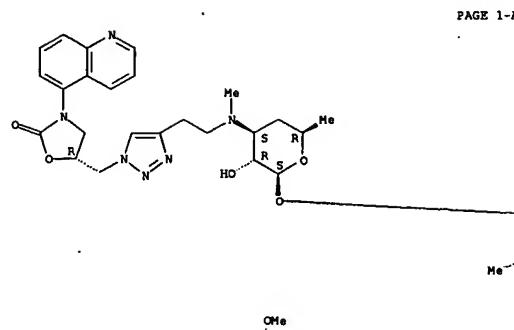
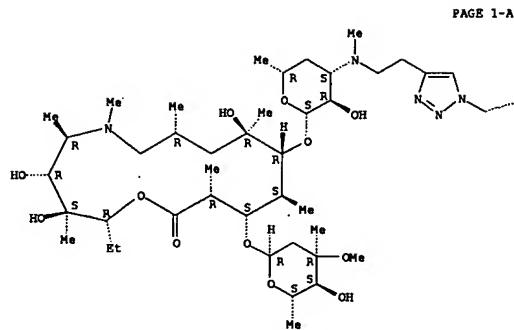
RN 677726-74-8 HCAPIUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-[(3,5-
 difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]ethyl]methylamino]-β-D-xylo-hexopyranosyl)oxy]-
 (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



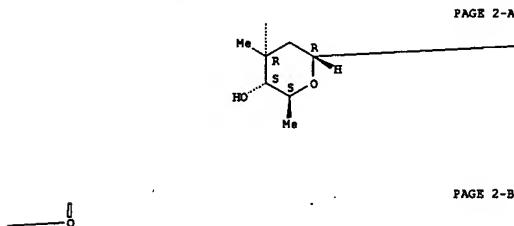
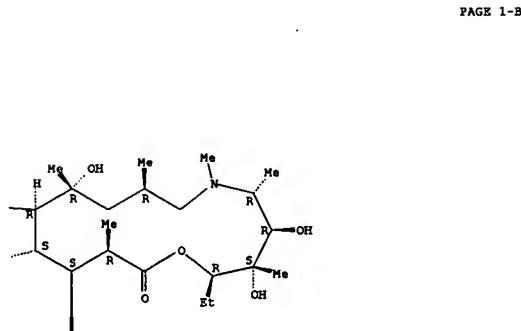
RN 677726-75-9 HCAPIUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[(2-1-[(5R)-3-[(1,3-benzodioxol-
 5-yl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]ethyl]methylamino]-3,4,6-trideoxy-β-D-xylo-hexopyranosyl)oxy]-13-
 [(2,6-dideoxy-3-C-methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-
 ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-
 (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



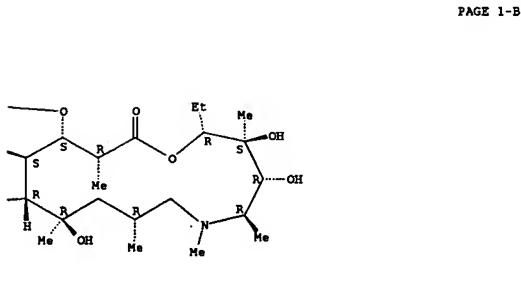
RN 677726-76-0 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[methyl[2-1-[(5R)-2-
 o xo-3-(5-quinolinyl)-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]ethyl]amino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



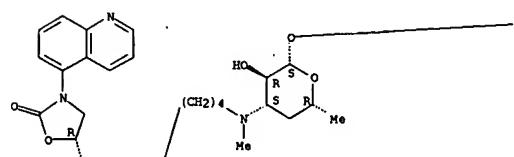
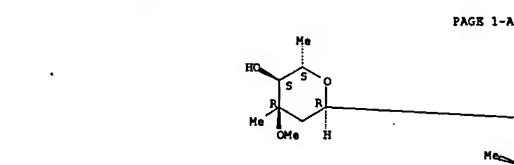
RN 677726-77-1 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[methyl[4-1-[(5R)-2-
 o xo-3-(5-quinolinyl)-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]butyl]amino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

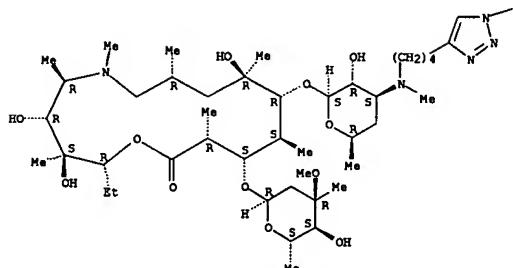


RN 677726-78-2 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(4-1-[(5R)-3-[3-
 fluoro-4-(4-morpholinyl)phenyl]-2-oxo-3-oxazolidinyl]methyl]-1H-1,2,3-
 triazol-4-yl)butyl]amino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

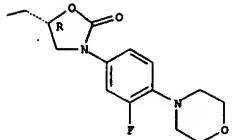
Absolute stereochemistry.



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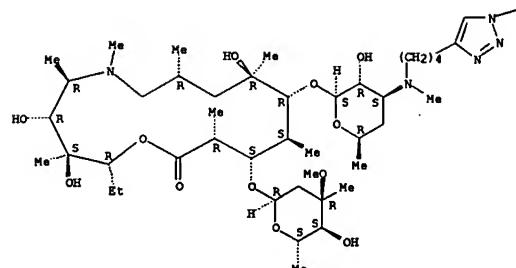
PAGE 1-B



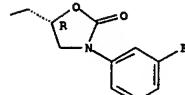
RN 677726-79-3 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-
 [1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]butyl)methylamino]-β-D-xylo-hexopyranosyl)oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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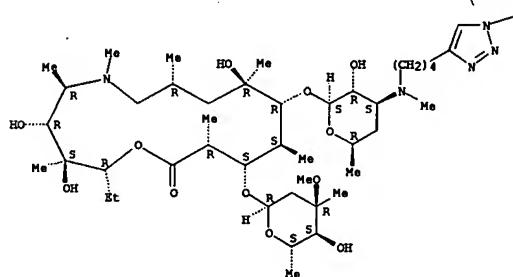
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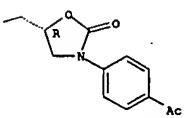
RN 677726-80-6 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[(3-[(4-[(1-[(5R)-3-(4-acetylphenyl)-
 2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)butyl)methylamino]-
 3,4,6-trideoxy-β-D-xylo-hexopyranosyl)oxy]-13-[(2,6-dideoxy-3-C-
 methyl-3-O-methyl-α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-
 trihydroxy-3,5,6,8,10,12,14-heptamethyl-1, (2R,3S,4R,5R,8R,10R,11R,12S,13S,
 14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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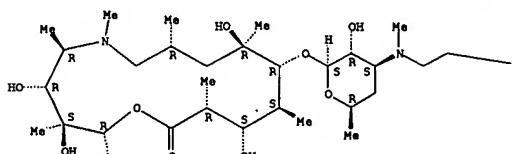
PAGE 1-B



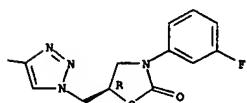
RN 677726-81-7 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 2-ethyl-3,4,10,13-tetrahydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-
 [1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]ethyl)methylamino]-β-D-xylo-hexopyranosyl)oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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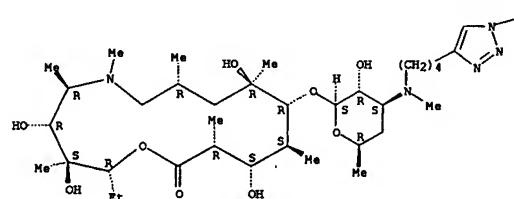
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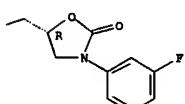
RN 677726-82-8 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 2-ethyl-3,4,10,13-tetrahydroxy-
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 [1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)butyl)methylamino]-β-D-xylo-hexopyranosyl)oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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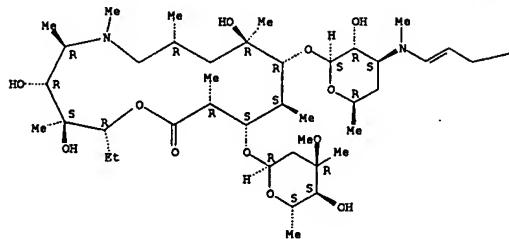
PAGE 1-B



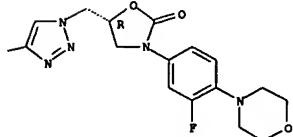
RN 677726-83-9 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(3-
 [1-[(5R)-3-(3-fluoro-4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-
 triazol-4-yl)-1-propenyl)methylamino]-β-D-xylo-hexopyranosyl)oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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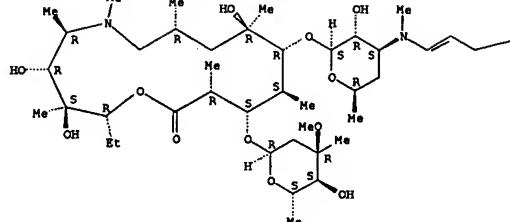
PAGE 1-B



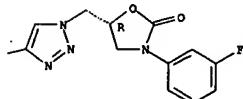
RN 677726-84-0 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
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 fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)-1-
 propenyl)methylamino]- β -D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

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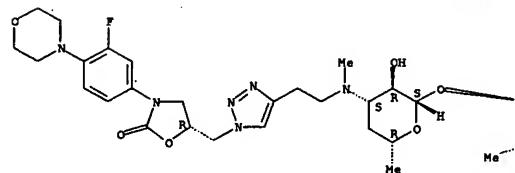
PAGE 1-B



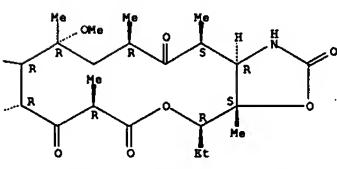
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 4-ethyloctahydro-11-methoxy-3a,7,9,11,13,15-hexamethyl-10-[(3,4,6-trideoxy-
 3-[(2-1-[(5R)-3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-
 oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)-ethyl]methylamino]- β -D-
 xylo-hexopyranosyl]oxy]-, (3aS,4R,7R,9R,11R,13R,15S,15aR)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

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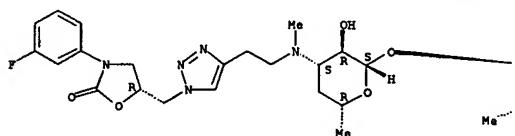
PAGE 1-B



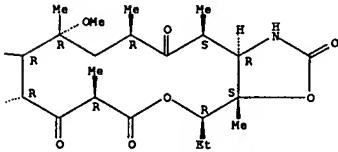
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 CN 2H-Omacyclotetradecine[4,3-d]oxazole-2,6,8,14(1H,7H,9H)-tetrone,
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 3-[(2-1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)-ethyl]methylamino]- β -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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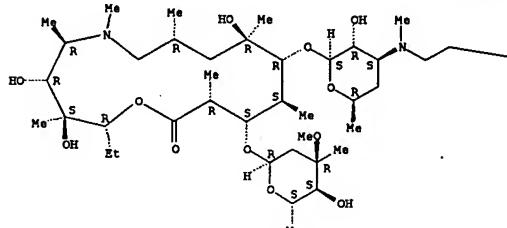
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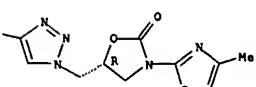
RN 677727-77-4 HCPLUS
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(1-[(5R)-3-(4-methyl-2-thiazolyl)-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-
 yl)-ethyl]methylamino]- β -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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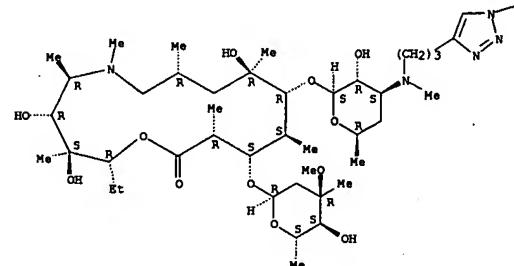


RN 677727-78-5 HCPLUS

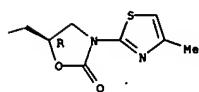
L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[3-[(1-[(5R)-3-
 (4-methyl-1-2-thiazolyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]propyl]amino)-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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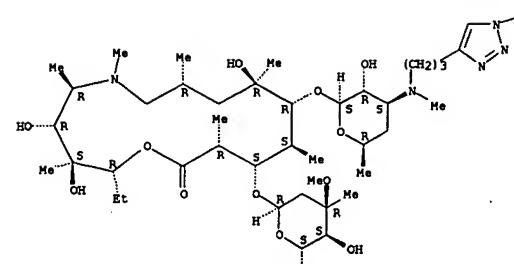


RN 677727-79-6 HCAPLUS
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 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[3-[(1-[(5R)-3-(3-
 fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]propyl]amino)-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

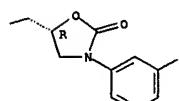
Absolute stereochemistry.

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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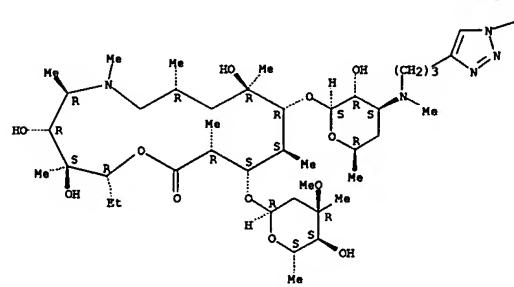
RN 677727-80-9 HCAPLUS

CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[3-[(1-[(5R)-3-(4-
 dimethylamino)-3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-
 triazol-4-yl]propyl]amino)-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

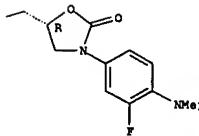
Absolute stereochemistry.

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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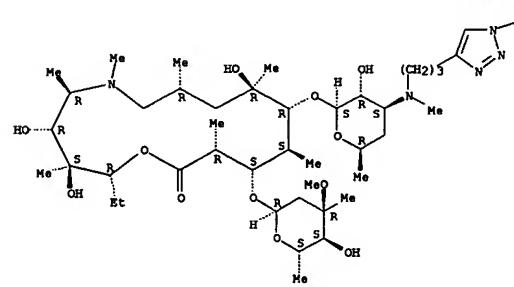


RN 677727-81-0 HCAPLUS
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[3-[(1-[(5R)-3-(3-
 fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]propyl]amino)-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

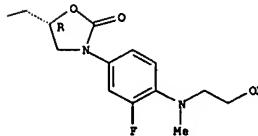
Absolute stereochemistry.

L11 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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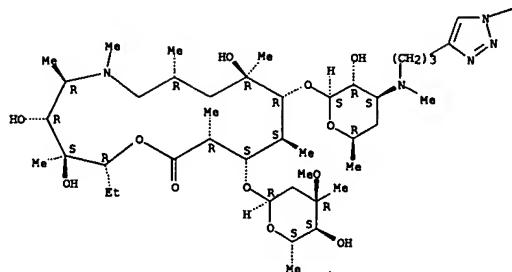
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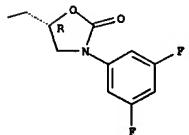
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[3-[(1-[(5R)-3-(3-
 difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl]propyl]amino)-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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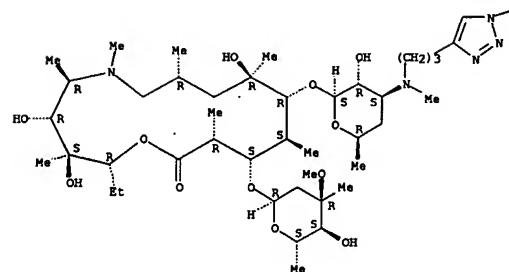
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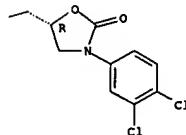
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 dichlorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)propyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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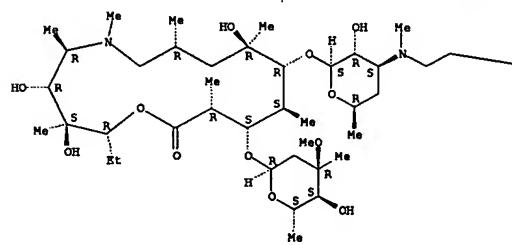
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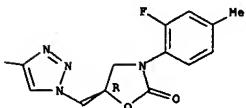
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-(2-
 fluoro-4-methylphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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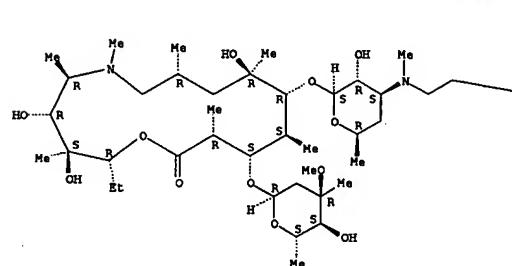
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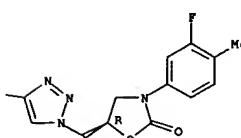
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-(3-
 fluoro-4-methylphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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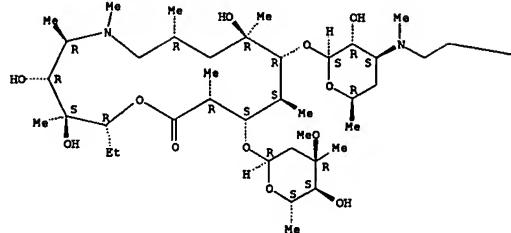
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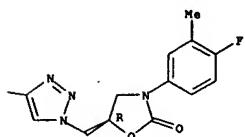
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 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-(4-
 fluoro-3-methylphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



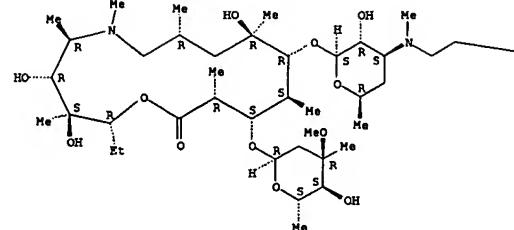
PAGE 1-B



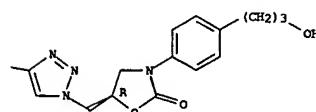
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Absolute stereochemistry.

PAGE 1-A



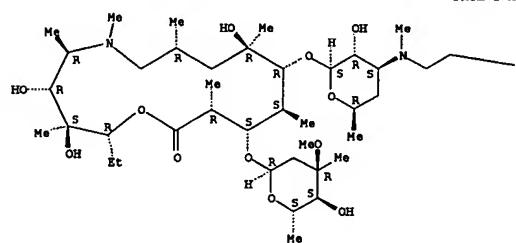
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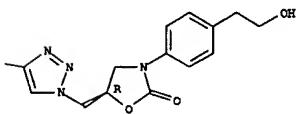
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Absolute stereochemistry.

PAGE 1-A



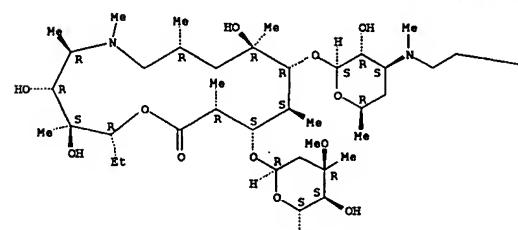
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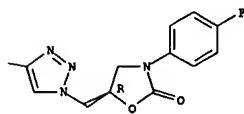
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Absolute stereochemistry.

PAGE 1-A



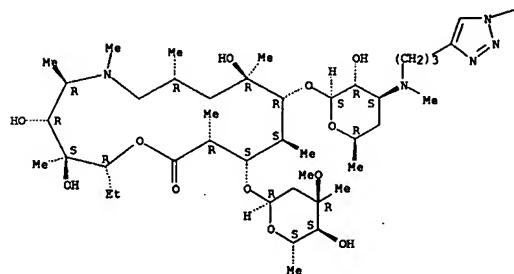
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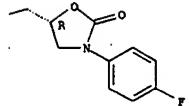
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Absolute stereochemistry.

PAGE 1-A



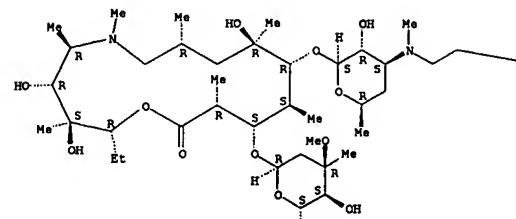
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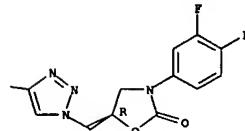
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 yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl)oxy]-
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Absolute stereochemistry.

PAGE 1-A



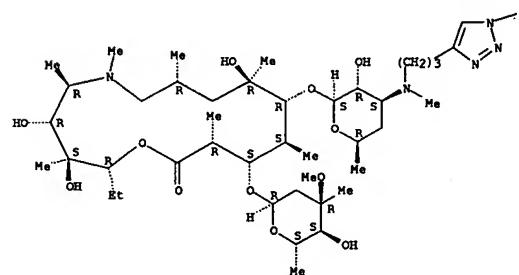
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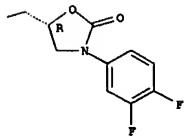
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 yl)propyl]methylamino]-β-D-xylo-hexopyranosyl)oxy]-
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Absolute stereochemistry.

PAGE 1-A



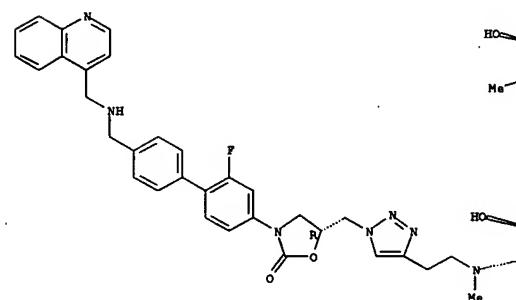
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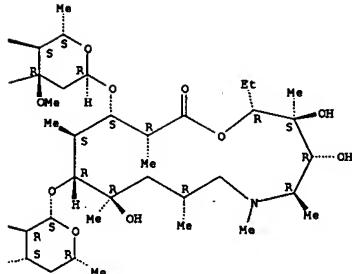
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 oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-β-D-
 xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA
 INDEX NAME)

Absolute Stereochemistry.

PAGE 1-A

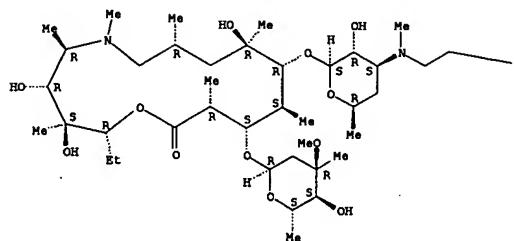


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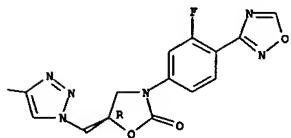


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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-(3-
 fluoro-4-(1,2,4-oxadiazol-3-yl)phenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-
 1,2,3-triazol-4-yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl)oxy]-
 (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

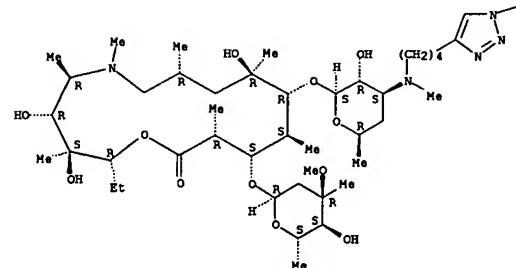


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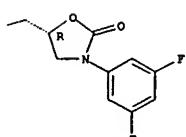


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Absolute stereochemistry.

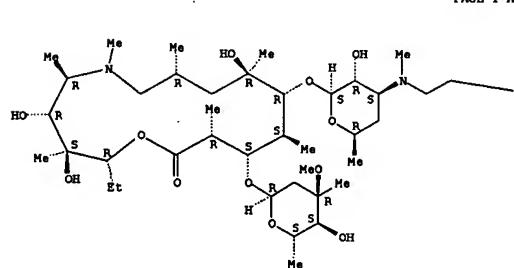


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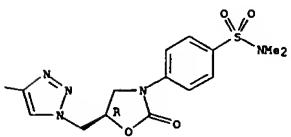


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Absolute stereochemistry.

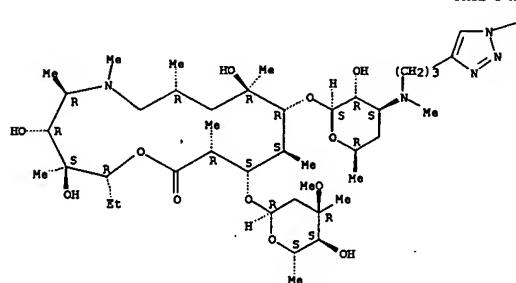


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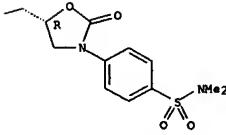


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Absolute stereochemistry.



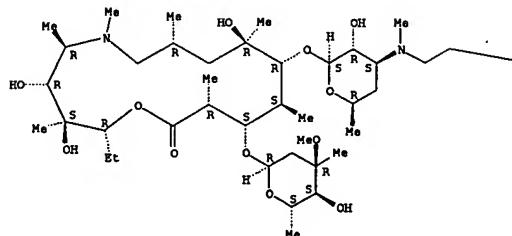
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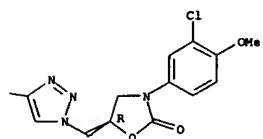
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Absolute stereochemistry.

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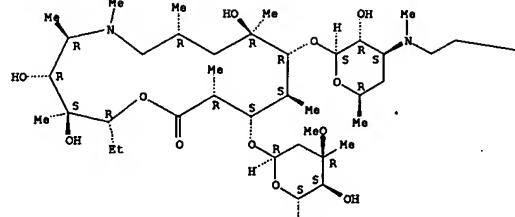
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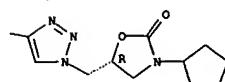
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Absolute stereochemistry.

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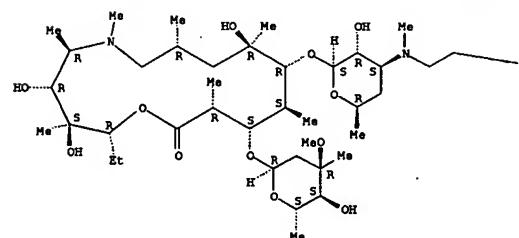
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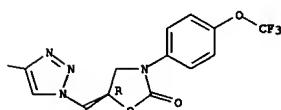
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Absolute stereochemistry.

PAGE 1-A



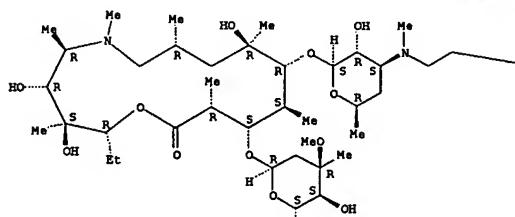
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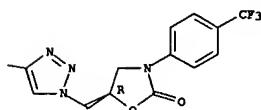
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Absolute stereochemistry.

PAGE 1-A

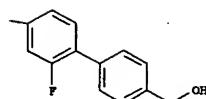
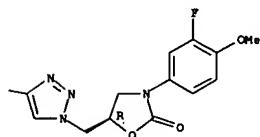
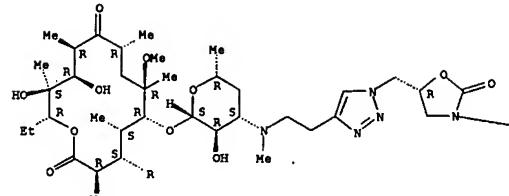
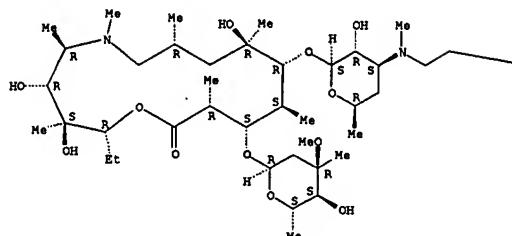


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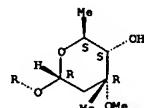
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Absolute stereochemistry.



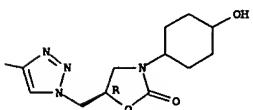
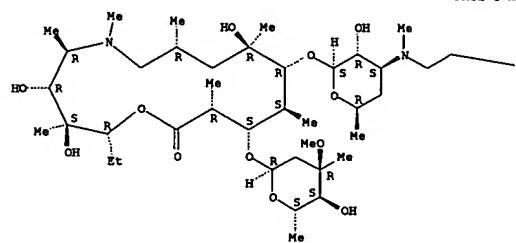
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 CN Erythromycin, N-demethyl-N-[2-[1-[(5R)-3-[2-fluoro-4'-(hydroxymethyl)[1,1'-biphenyl]-4-yl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 678182-71-3 HCAPIUS
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Absolute stereochemistry.



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L12 ANSWER 1 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 16 Sep 2005
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The present invention provides macrocyclic azithromycin compds. I and II, wherein I is macrocld; R1 and R3 are independently H, alkyl, alkenyl, alkynyl, acyl ester, amide, thio-acyl, thio-ester, amine; R2 is H, alkyl, D is single bond, alkyl, alkanyl, alkynyl, acyl, ester, amide, imine, sulfonyl, amine, thio-acyl, thio-amide; E is aromatic heterocycle, carbocycle, CO, CO₂, amide, imine; F is single bond, alkyl, alkenyl, alkynyl; G is aryl, heterocyclic, bicyclic, tricyclic, aryl, were prepared as antibacterial, anti-proliferative, prokinetic, and antiinflammatory agents. Thus, III was prepared and used as antibacterial, anti-proliferative, and antiinflammatory agent.

ACCESSION NUMBER: 20051004760 HCAPLUS

DOCUMENT NUMBER: 143-306499

TITLE: Preparation of macrocyclic azithromycin compounds as antibacterial, anti-proliferative, and antiinflammatory agents

INVENTOR(S): Farmer, Jay J.; Bhattacharjee, Ashoke; Chen, Yi; Goldberg, Joel A.; Ippolito, Joseph S.; Kanyo, Zoltan F.; Lou, Rongliang; Oyelere, Adegboyega K.; Sherer, Edward C.; Sutcliffe, Joyce A.; Wang, Deping; Wu, Yusheng; Du, Yannan

PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 333 pp.

CODEM: PIXAD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005085266	A2	20050915	WO 2005-US6082	20050225
W: AF, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DN, DZ, EC, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RC, RU, SC, SD, SE, SG, SK, SL, SM, SV, TJ, TM, TN, TR, TT, TZ, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KS, LS, MW, MZ, NN, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CV, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:		US 2004-548280P	P	20040227
		US 2004-575949P	P	20040601

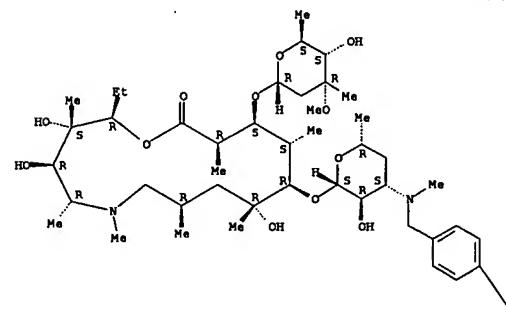
IT 864529-35-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of macrocyclic azithromycin compds. as antibacterial, anti-proliferative, and antiinflammatory agents)

L12 ANSWER 1 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 864529-35-1 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2'-fluoro-4'-([(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl)methyl]methylamino)-8-D-wylo-hexopyranosyl]oxy]- (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

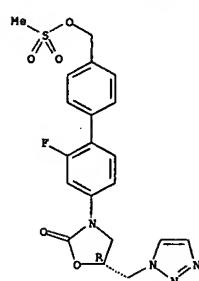
PAGE 1-A



L12 ANSWER 1 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

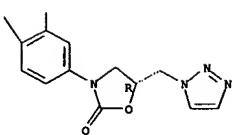
PAGE 1-B

L12 ANSWER 1 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



PAGE 2-A

PAGE 2-B



IT 864529-87-7

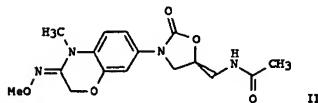
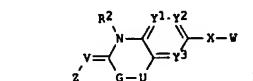
RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of macrocyclic azithromycin compds. as antibacterial, anti-proliferative, and antiinflammatory agents)

RN 864529-57-7 HCAPLUS

CN 2-Oxazolidinone, 3-[2-fluoro-4-[(methylsulfonyl)oxy]methyl][1,1'-biphenyl]-4-yl]-3-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 2 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 08 Sep 2005
 GI



AB Amidoxime and amidine oxazolidinones I [wherein X = certain O-containing five-membered heterocycle; Y = CH2OH, CH2NHAc, etc.; Y1 - Y3 = CH, N, N(O) or CF; G = CH2, etc.; U = CH2, CF2, O, S, SO or SO2; R2 = H or alkyl; V = N or C; Z = H, OH, alkoy, etc., or pharmaceutically acceptable salts thereof] were prepared as antibacterial agents. For instance, II, which showed antibacterial activity with MIC values of 1-4 μ g/ml against *S. aureus*, *S. pyogenes* and *S. pneumoniae* was synthesized from Me bromacetate and 2-amino-5-nitrophenol in eight steps. The invented compds. are potentially useful for the treatment of bacteria infectious diseases.

ACCESSION NUMBER: 2005-9795647 HCAPLUS

DOCUMENT NUMBER: 143-286413

TITLE: Preparation of oxazolidinone compounds as antibacterial agents

INVENTOR(S): Gordiev, Mikhail Fedorovich; Jain, Rama; Josyula, Varu Prasad Venkata Nagendra; Luehr, Gary Wayne

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company LLC, USA

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXAD2

DOCUMENT TYPE: Patent

LANGUAGE: English

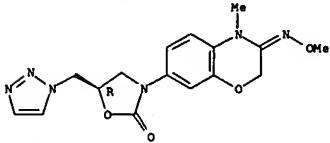
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005082897	A1	20050909	WO 2005-IB102	20050117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OH, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RU: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

L12 ANSWER 2 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 PRIORITY APPLN. INFO.: US 2004-540214P P 20040128
 IT 864073-59-6
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of oxazolidinones as antibacterial agents)
 RN 864073-59-6 HCAPLUS
 CN 2H-1,4-Benzoxazin-3(4H)-one, 4-methyl-7-((5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)-, 3-(O-methyloxime) (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



REFERENCE COUNT: 3

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 3 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 08 Jul 2005
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A and B independently = Ph, pyridyl, pyrazinyl, etc.; M = (un)substituted alkyl, alkenyl, alkynyl; X = O, -N(O)-, -O-N=, etc.; L = (un)substituted alkyl, alkenyl, alkynyl; R1 = halo, CF3, NO2, etc.; R2 = CN, halo, CF3, etc.; R3 = OR4, NR4R6, C(O)R4, etc.; R4 = H, alkyl, alkenyl, etc.; m = 0-4; n = 0-4] and their pharmaceutically acceptable salts, are prepared and disclosed as antiinflammatory agents. Thus, e.g., II was prepared by alkylation of amine III (preparation given) with 3-bromo-1,1,1-trifluoro-2-propanol. The activity of I was evaluated using surface binding studies and fluorescence polarization (no data). I should prove useful as antiinflammatory agents. Pharmaceutical compns. comprising I are disclosed.

ACCESSION NUMBER: 2005-5808915 HCAPLUS

DOCUMENT NUMBER: 143-97346

TITLE: Preparation of halogenated biaryl oxazolidinones as antiinflammatory agents

INVENTOR(S): Chen, Shili; Zhou, Jiacheng; Wu, Yunsheng; Wang, Depling; Salvino, Joseph M.; Oyleere, Adegboyega K.; Lou, Rongliang

PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA; Bhattacharjee, Ashoke; Chen, Yi

SOURCE: PCT Int. Appl., 123 pp.

CODEN: PIXAD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005061468	A1	20050707	WO 2004-US39988	20041201
WO 2005061468	CI	20050909		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OH, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, IN, IR, TT, TZ, UN, UG, US, UZ, VC, VN, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

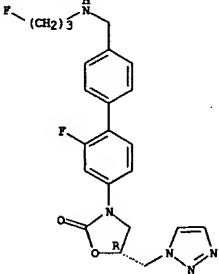
US 2005153971 A1 20050714 US 2004-1446 20041201
 PRIORITY APPLN. INFO.: US 2003-530371P P 20031217
 US 2004-576267P P 20040602

OTHER SOURCE(S): MARPAT 143-97346
 IT 856907-04-5P 856907-43-2P 856907-01-8P
 856907-85-2P 856907-86-3P 856907-87-4P
 856907-88-5P 856907-89-6P 856907-92-1P
 856907-97-6P 856907-98-7P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of halogenated biaryl oxazolidinones as antiinflammatory

L12 ANSWER 3 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 agents)

RN 856907-04-5 HCAPLUS
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-[[3-(fluoropropyl)amino]methyl][1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

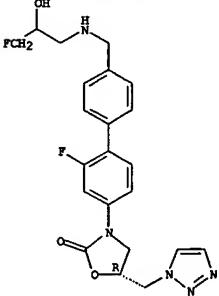
Absolute stereochemistry.



RN 856907-43-2 HCAPLUS

CN 2-Oxazolidinone, 3-[2-fluoro-4'-[[3-(fluoropropyl)amino]methyl][1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

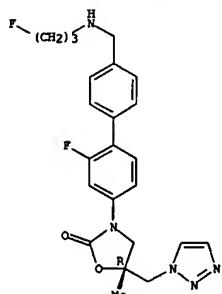
Absolute stereochemistry.



RN 856907-01-8 HCAPLUS

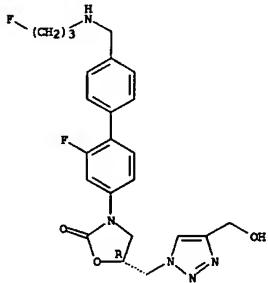
L12 ANSWER 3 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-[(3-fluoropropyl)amino]methyl][1,1'-biphenyl]-4-yl]-5-methyl-1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



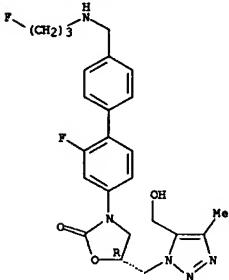
RN 856907-85-2 HCAPIUS
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-[(3-fluoropropyl)amino]methyl][1,1'-biphenyl]-4-yl]-5-[(4-hydroxymethyl)-1H-1,2,3-triazol-1-ylmethyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



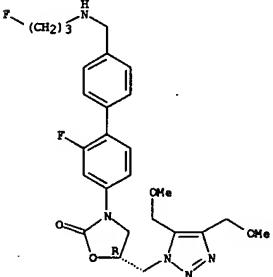
L12 ANSWER 3 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 856907-88-5 HCAPIUS
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-[(3-fluoropropyl)amino]methyl][1,1'-biphenyl]-4-yl]-5-[(5-hydroxymethyl)-4-methyl-1H-1,2,3-triazol-1-ylmethyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 856907-89-6 HCAPIUS
 CN 2-Oxazolidinone, 5-[(4,5-bis(methoxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[2-fluoro-4'-[(3-fluoropropyl)amino]methyl][1,1'-biphenyl]-4-yl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

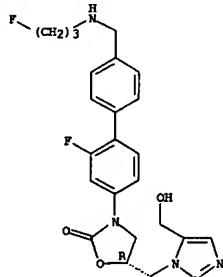


RN 856907-92-1 HCAPIUS
 CN Acetamide, N-[(1-[(SR)-3-[2-fluoro-4'-[(3-fluoropropyl)amino]methyl][1,1'-biphenyl]-4-yl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-ylmethyl]-, (9CI) (CA INDEX NAME)

Page 3929/11/2005

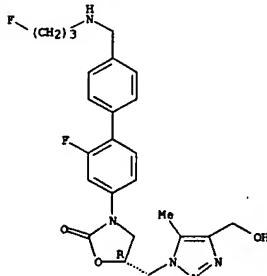
L12 ANSWER 3 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 856907-86-3 HCAPIUS
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-[(3-fluoropropyl)amino]methyl][1,1'-biphenyl]-4-yl]-5-[(5-hydroxymethyl)-1H-1,2,3-triazol-1-ylmethyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



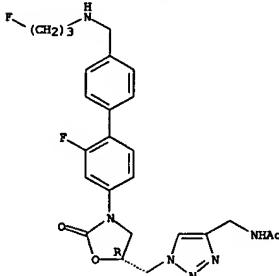
RN 856907-87-4 HCAPIUS
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-[(3-fluoropropyl)amino]methyl][1,1'-biphenyl]-4-yl]-5-[(4-hydroxymethyl)-5-methyl-1H-1,2,3-triazol-1-ylmethyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



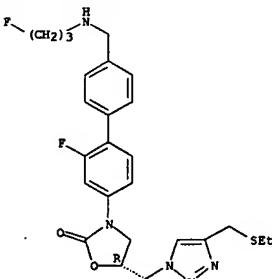
L12 ANSWER 3 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



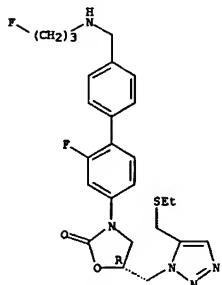
RN 856907-97-6 HCAPIUS
 CN 2-Oxazolidinone, 5-[(4-[(ethylthio)methyl]-1H-1,2,3-triazol-1-yl)methyl]-3-[2-fluoro-4'-[(3-fluoropropyl)amino]methyl][1,1'-biphenyl]-4-yl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



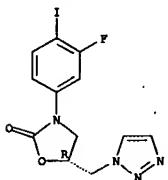
RN 856907-98-7 HCAPIUS
 CN 2-Oxazolidinone, 5-[(5-[(ethylthio)methyl]-1H-1,2,3-triazol-1-yl)methyl]-3-[2-fluoro-4'-[(3-fluoropropyl)amino]methyl][1,1'-biphenyl]-4-yl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



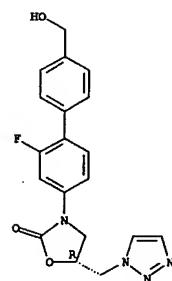
IT 501939-95-3P 847490-64-6P 847490-65-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of halogenated biaryl oxazolidinones as antiinflammatory agents)
 RN 501939-95-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-iodophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



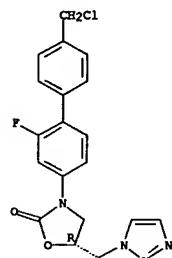
RN 847490-64-6 HCAPLUS
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-(hydroxymethyl)[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

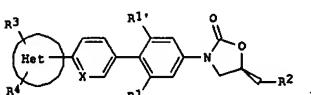


RN 847490-65-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[4'-(chloromethyl)-2-fluoro[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 6 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB Title compds. I [X = C, N; R1-1' = H, F; R2 = amino, alkoxy, triazolyl, etc.; R3-4 = H, alkyl, etc.; Het = heterocyclic ring, heteroarom. ring, etc.] are prepared. For instance, (R)-3-[4-(2-methyltetrazol-5-yl)pyridin-5-yl]-3-fluorophenyl]-5-hydroxymethyloxazolidin-2-one (II) is prepared from 2-(2-methyltetrazol-5-yl)-2-oxo-5-oxazolidinylmethanol and (R)-3-(4-triethylstannyl-3-fluorophenyl)-2-oxo-5-oxazolidinylmethanol (preparation given). II exhibits MIC₅₀ 0.5 µg/mL against MRSA and 0.25 µg/mL against VRE. I show inhibitory activity against a broad spectrum of bacteria and lower toxicity. The amino acid or phosphate prodrugs of the invention show good water solubility. Further, the derivs. of the present invention may exert potent antibacterial activity vs. various human and animal pathogens, including Gram-pos. bacteria such as *Staphylococci*, *Enterococci* and *Streptococci*, anaerobic microorganisms such as *Bacteroides* and *Clostridia*, and acid-resistant microorganisms such as *Mycobacterium tuberculosis* and *Mycobacterium avium*.

ACCESSION NUMBER: 2005:564662 HCAPLUS

DOCUMENT NUMBER: 143197343

TITLE: Preparation of oxazolidinone broad-spectrum antibiotics

INVENTOR(S): Ahn, Jae Keol; Im, Weon Bin; Cho, Chong Ewang; Choi,

PATENT ASSIGNEE(S): Dong-A Pharm. Co., Ltd., S. Korea

SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXX02

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

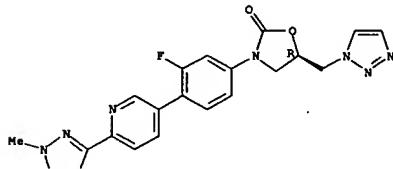
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200505886	A1	20050630	WO 2004-KR3327	200401217
W: AZ, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EG, ES, FI, GB, GD, GE, GH, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KE, LZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, HZ, NA, NI, NO, NZ, OM, PG, PE, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TH, TR, TW, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
NR: BE, BG, CH, DE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, OM, GA, GN, GQ, GW, ML, MR, NE, SN, TO, TG				
PRIORITY APPLN. INFO.:		KR 2003-93342	A 20031218	
		KR 2004-58809	A 20040727	

OTHER SOURCE(S): MARPAT 143:97343
 II 700370-32-7P 831201-38-8P 856866-76-7P
 856866-77-8P 856867-06-6P 856867-08-8P

RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prepn. of oxazolidinone broad-spectrum antibiotics effective against MRSA and VRE)

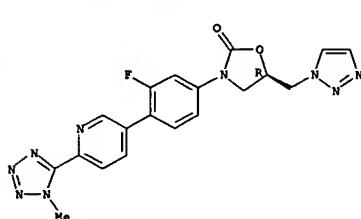
RN 700370-32-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



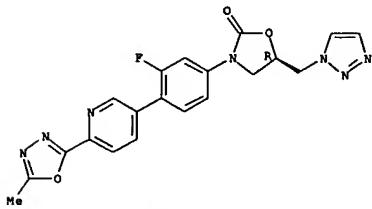
RN 831201-38-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(1-methyl-1H-tetrazol-5-yl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



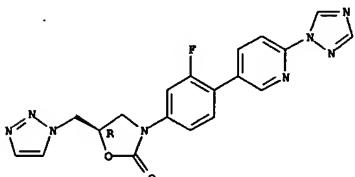
RN 856866-76-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(5-methyl-1,3,4-oxadiazol-2-yl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



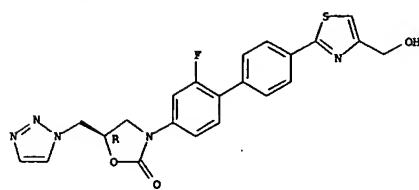
RN 856866-77-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(1H-1,2,4-triazol-1-yl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 856867-06-6 HCAPLUS
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-(4-(hydroxymethyl)-2-thiazolyl)[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (CA INDEX NAME)

Absolute stereochemistry.

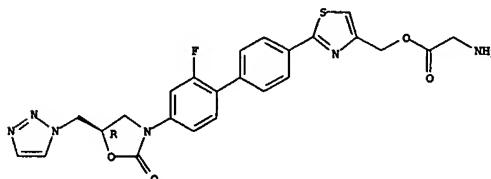


RN 856867-08-0 HCAPLUS
 CN Glycine, [2-[2'-fluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-4-thiazolyl]methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 856867-07-7
 CHF C24 H21 F N6 O4 S

Absolute stereochemistry.



CM 2

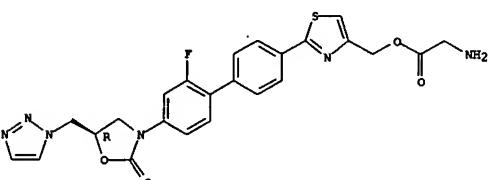
CRN 76-05-1
 CHF C2 H F3 O2



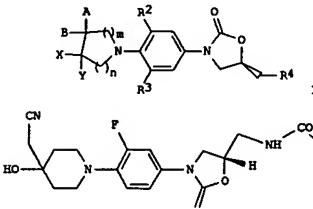
IT 856867-07-7

RN 856867-07-7 HCAPLUS
 CN Glycine, [2-[2'-fluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-4-thiazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB The present invention provides agents having antimicrobial activity for preventing and treating infectious diseases. Thus, the present invention provides novel substituted piperidino phenyloxazolidinone derivs. (shown as I; variables defined below), e.g. (S)-N-[3-[4-(4-cyanoethyl-4-hydroxypiperidin-1-yl)-3-fluorophenyl]-2-oxomazolidin-5-yl]methylmethanide (shown as II)), processes for making compds. as well as antimicrobial compds. containing said derivs. as active ingredients and methods of treating bacterial infections with the said derivs. MIC (µg/ml) and ED₅₀ (µg/ml) values are tabulated for the said derivs. For I: m = 0-1 and n = 1-3; X = CN, -OH, or hydrogen; Y = H (with the proviso that when Y is H, X is OH, F or CN); (un)substituted C1-C6 alkyl, C3-C6 cycloalkyl, C2-C5 alkenyl, C2-C6 alkynyl, aryl, heterocyclic amino, heterocyclylcarbonyl, cyano, halogen, (un)substituted carboxylic ring or heterocyclic ring containing a hetero atom = O or S or X and Y together form an (un)substituted, (un)saturated 3 to 7 membered heterocyclic ring having 1-4 hetero atoms = N, O or S or includes the S atom of groups like sulfinyl or sulfonyl as a part of heterocyclic ring or X and Y together form O; A, B = H, C1-C6 alkyl or halogen; R2, R3 = H or halogen; R4 = C1-C6 alkylsulfonyl, alkylsulfonyloxyacetamide, (un)substituted aminosulfonyl, aminosulfonyloxy, alkylsulfonyl, aminosulfonyl, (un)substituted amino, azido, aminonitrile, isocyanato, formamido, N-hydroxymethyl, substituted C1-C6 alkylsulfonyl, (un)substituted C1-C6 alkylamido, (un)substituted C1-C6 alkylthiocarbonylamido, C1-C6 alkylcarbamato, (un)substituted ureido, (un)substituted five to six membered heterocyclic ring, (un)substituted five to six membered heterocyclic ring, (un)substituted heteroaryloxy, (un)substituted heteroaryl, a mercapto substituted by C1-C6 alkyl group; addnl. details including provisos are given in the claims. Methods of preparation are claimed and approx. 180 example preps. are included. For example, S1 & II was prepared by reacting (S)-N-[3-[4-(1-oxa-6-azaspiro[2.5]octan-6-yl)-3-fluorophenyl]-2-oxomazolidin-5-yl]ethanamide with KCN in MeOH/DMF (1:5) at 25° for 14 h.

ACCESSION NUMBER: 20051523447 HCAPLUS
 DOCUMENT NUMBER: 14315964
 TITLE: Preparation of 3-(4-piperidinophenyl)oxazolidinones

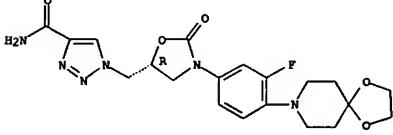
L12 ANSWER 5 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 having antibacterial activity with improved in vivo efficacy
 INVENTOR(S): Deshpande, Prasad Keshav; Sindkhedkar, Milind Dattatraya; Phansalkar, Mahesh Shiram; Yeole, Ravinda Dattatraya; Gupta, Shrikant Vinayak; Chugh, Yati; Shetty, Nitin; Bhagwat, Sachin Subhash; De Souza, Noel John; Patel, Mahesh Vithalbhai
 PATENT ASSIGNEE(S): India
 SOURCE: PCT Int. Appl., 167 pp.
 CODEN: PIXKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005054234	A2	20050616	WO 2004-IN276	20040908
WO 2005054234	A3	20050929		
W: AE, AM, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MW, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, SC, SD, SE, SG, SK, SI, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GB, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, ES, KS, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TA, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TC				

US 2005143421 AI 20050630 US 2004-935708 20040907
 OTHER APPLN. INFO.: IN 2003-MU924 A 20030908
 OTHER SOURCE(S): MARPAT 143:59964

IT 853797-09-8P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (drug candidate; preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)
 RN 853797-09-8 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxamide, 1-[(5R)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl] - (9CI) (CA INDEX NAME)

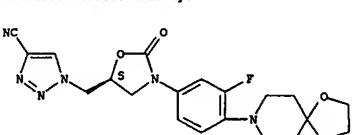
Absolute stereochemistry.



L12 ANSWER 5 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

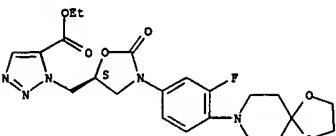
RN 853797-08-7 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carbonitrile, 1-[(5S)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 853797-10-1 HCAPLUS
 CN 1H-1,2,3-Triazole-5-carboxamide, 1-[(5S)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl] - ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



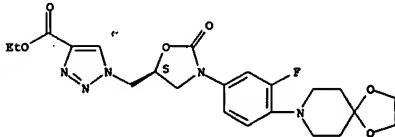
RN 853797-11-2 HCAPLUS
 CN 1H-1,2,3-Triazole-5-carboxamide, 1-[(5S)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 5 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 IT 853797-04-3P, (S)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-4-carboethoxy-1,2,3-triazole
 853797-06-3P, (S)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-4-aminocarbonyl-1,2,3-triazole
 853797-08-7P, (S)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-4-cyano-1,2,3-triazole
 853797-10-1P, (S)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-5-carboethoxy-1,2,3-triazole
 853797-11-2P, (S)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-5-aminocarbonyl-1,2,3-triazole
 853797-12-3P, (S)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-5-cyano-1,2,3-triazole
 853797-45-2P, (R)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-4-cyano-1,2,3-triazole
 853797-46-3P, (R)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-5-carboethoxy-1,2,3-triazole
 853797-47-4P, (R)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-5-aminocarbonyl-1,2,3-triazole
 853797-48-5P, (R)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-5-cyano-1,2,3-triazole
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)

RN 853797-04-3 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[(5S)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl] - ethyl ester (9CI) (CA INDEX NAME)

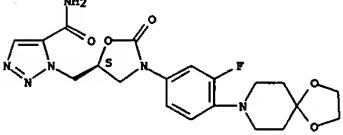
Absolute stereochemistry.



RN 853797-06-5 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxamide, 1-[(5S)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl] - (9CI) (CA INDEX NAME)

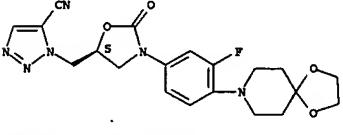
Absolute stereochemistry.

L12 ANSWER 5 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



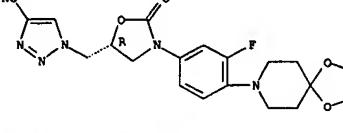
RN 853797-12-3 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carbonitrile, 1-[(5S)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



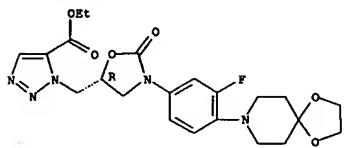
RN 853797-45-2 HCAPLUS
 CN 1H-1,2,3-Triazole-5-carbonitrile, 1-[(5S)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl] - (9CI) (CA INDEX NAME)

Absolute stereochemistry.



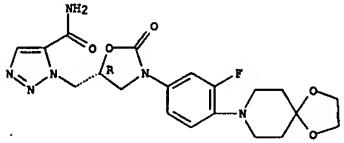
RN 853797-46-3 HCAPLUS
 CN 1H-1,2,3-Triazole-5-carboxylic acid, 1-[(5S)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl] - ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



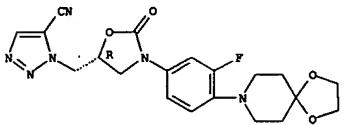
RN 853797-47-4 HCAPLUS
 CN 1H-1,2,3-Triazole-5-carboxamide, 1-[(5R)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 853797-48-5 HCAPLUS
 CN 1H-1,2,3-Triazole-5-carbonitrile, 1-[(5R)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 853797-07-6, (R)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl)-4-carboethoxy-1,2,3-triazole
 RL: RCT (Reactant); RACT (Reactant or reagent);
 (preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)
 RN 853797-07-6 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[(5R)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl]-,

ED Entered STN: 01 Jun 2005

AB A new series of N-linked 5-triazolylmethyl oxazolidinones with varying substitution at the piperazine nitrogen 4-position were synthesized and tested against a panel of Gram-pos. and Gram-neg. bacteria including clin. isolates. Most of the compds. showed excellent antibacterial activity against susceptible and resistant Gram-pos. organisms. One of the compds. showed enhanced antibacterial activity against *Moraxella catarrhalis*.

ACCESSION NUMBER: 2005:465012 HCAPLUS

DOCUMENT NUMBER: 143149749

TITLE: Synthesis and antibacterial activity of new N-linked 5-triazolylmethyl oxazolidinones

AUTHOR(S): Phillips, Oludotun A.; Udo, Edet E.; Ali, Ahmed A. M.; Samuel, Sanchoh M.

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Kuwait University, Safat, 13110, Kuwait

SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(12), 4113-4123

CODEN: BMCECP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

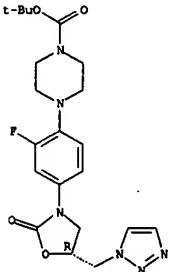
LANGUAGE: English

IT 371195-29-8P
 RL: BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); (synthesis and antibacterial activity of new N-linked 5-triazolylmethyl oxazolidinones)

RN 371195-29-8 HCAPLUS

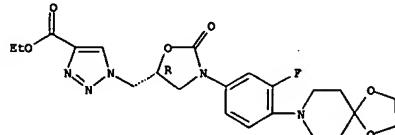
CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



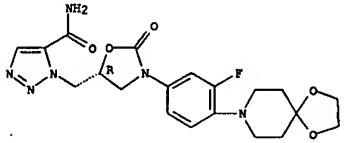
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 859445-47-9P 859445-48-0P 859445-49-1P
 859445-50-4P 859445-51-5P 859445-52-6P
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 859445-56-0P

Absolute stereochemistry.



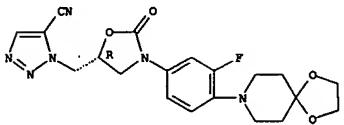
RN 853797-47-4 HCAPLUS
 CN 1H-1,2,3-Triazole-5-carboxamide, 1-[(5R)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 853797-48-5 HCAPLUS
 CN 1H-1,2,3-Triazole-5-carbonitrile, 1-[(5R)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

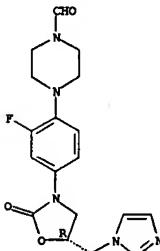


IT 853797-07-6, (R)-1-[(3-[4-(1,4-Dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl)-4-carboethoxy-1,2,3-triazole
 RL: RCT (Reactant); RACT (Reactant or reagent);
 (preparation of 3-(4-piperidinophenyl)oxazolidinones having antibacterial activity with improved in vivo efficacy)
 RN 853797-07-6 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[(5R)-3-[4-(1,4-dioxa-8-azaspiro[4.5]dec-8-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl]-,

RN 859445-41-3 HCAPLUS

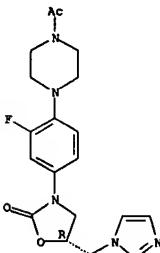
CN 1-Piperazinecarboxaldehyde, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



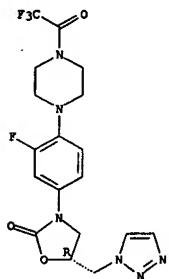
RN 859445-42-4 HCAPLUS
 CN Piperazine, 1-acetyl-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 859445-43-5 HCAPLUS
 CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-(trifluoroacetyl)- (9CI) (CA INDEX NAME)

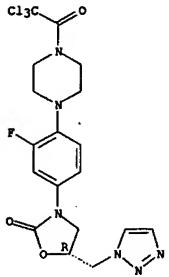
Absolute stereochemistry.



RN 859445-44-6 HCAPLUS

CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-(trichloroacetyl)- (9CI) (CA INDEX NAME)

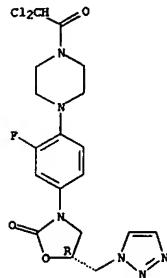
Absolute stereochemistry.



RN 859445-45-7 HCAPLUS

CN Piperazine, 1-(dichloroacetyl)-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

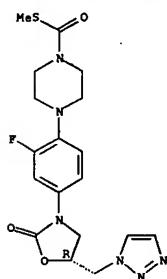
Absolute stereochemistry.



RN 859445-46-8 HCAPLUS

CN 1-Piperazinecarboxhioic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, S-methyl ester (9CI) (CA INDEX NAME)

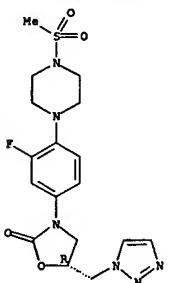
Absolute stereochemistry.



RN 859445-47-9 HCAPLUS

CN Piperazine, 1-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-4-(methylsulfonyl)- (9CI) (CA INDEX NAME)

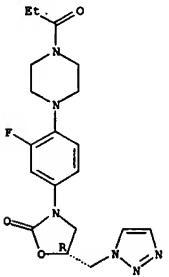
Absolute stereochemistry.



RN 859445-48-0 HCAPLUS

CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-(1-oxopropyl)- (9CI) (CA INDEX NAME)

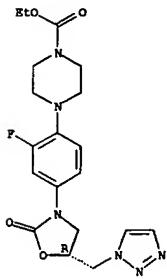
Absolute stereochemistry.



RN 859445-49-1 HCAPLUS

CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

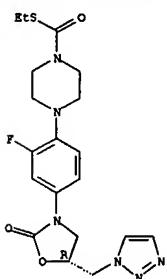
Absolute stereochemistry.



RN 859445-50-4 HCAPLUS

CN 1-Piperazinecarboxhioic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, S-ethyl ester (9CI) (CA INDEX NAME)

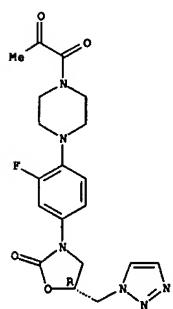
Absolute stereochemistry.



RN 859445-51-5 HCAPLUS

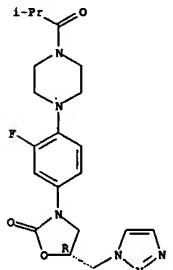
CN Piperazine, 1-(1,2-dioxopropyl)-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 859445-52-6 HCAPLUS
 CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-(2-methyl-1-oxopropyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

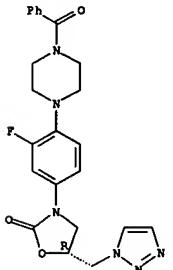


RN 859445-53-7 HCAPLUS
 CN Piperazine, 1-(cyclopentylcarbonyl)-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

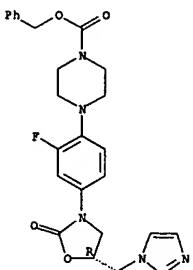
RN 859445-55-9 HCAPLUS
 CN Piperazine, 1-benzoyl-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

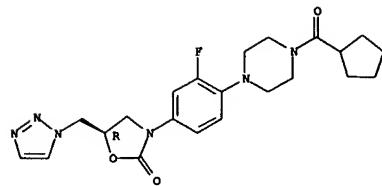


RN 859445-56-0 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



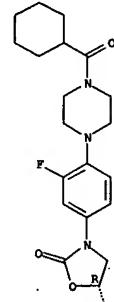
IT 859445-40-2P
 RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (synthesis and antibacterial activity of new N-linked 5-triazolylmethyl



RN 859445-54-8 HCAPLUS
 CN Piperazine, 1-(cyclohexylcarbonyl)-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

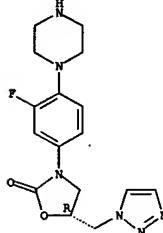


RN 859445-40-2 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1-piperazinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 371195-30-1
 CHF C16 H19 F N6 O2

Absolute stereochemistry.



CM 2

CRN 76-05-1
 CHF C2 H F3 O2

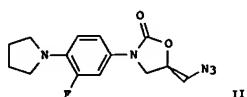
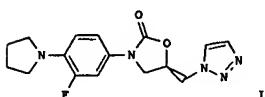
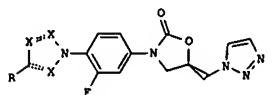


REFERENCE COUNT:

28

THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 13 May 2005
 GI



AB The invention relates to a preparation of novel oxazolidinone derivs. of formula I (R is H, amide, aldehyde, or nitrile, etc.; each X is independently N or CH), useful as antibacterial agents. For instance, oxazolidinone derivative II (MIC (μg/ml): str. pyogenes 77A - 0.4, s. aureus 285 - 0.8, MRSA 2 - 1.61 LD50 >5000 mg/kg) was prepared via 1,3-dipolar cycloaddn. of vinyl acetate to (azidomethyl)oxazolidinone derivative III with a yield of 74%.

ACCESSION NUMBER: 2005409511 HCAPLUS

DOCUMENT NUMBER: 142463731

TITLE: A preparation of novel oxazolidinone derivatives, useful as antibacterial agents

INVENTOR(S): Kang, Jae-Hoon; Park, Chun-Ho; Kwon, Jin-Sun

PATENT ASSIGNEE(S): Il-Dong Pharma. Co., Ltd., S. Korea

SOURCE: PCT Int. Appl., 28 pp.

CODEN: PIXK02

DOCUMENT TYPE: Patent

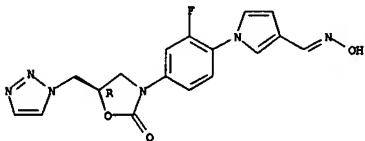
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

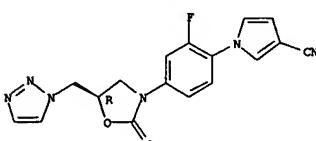
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005042523	A1	20050512	WO 2004-KR2805	20041103
W: AE, AG, AL, AM, AT, AU, AZ, BA, BR, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NA, NI, NO,				

L12 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 Double bond geometry unknown.



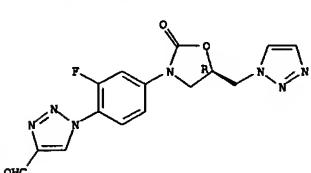
RN 851529-83-4 HCAPLUS
 CN 1H-Pyrrole-3-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851529-97-0 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxaldehyde, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



Absolute stereochemistry.

Double bond geometry unknown.

L12 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SX, SI, SY, TJ, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZM, ZV
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CN, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: KR 2003-77372 A 20031103
 KR 2004-82328 A 20041014

OTHER SOURCE(S): MARPAT 142463731

IT 851529-76-5P 851529-81-2P 851529-82-3P

851529-97-0P 851529-98-1P

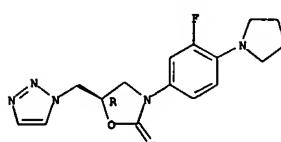
RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851529-76-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(1-pyrrolidinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

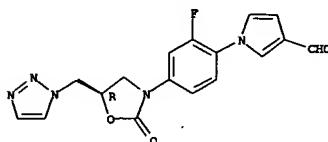
Absolute stereochemistry.



RN 851529-81-2 HCAPLUS

CN 1H-Pyrrole-3-carboxaldehyde, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

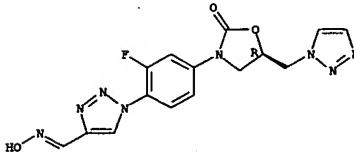


RN 851529-82-3 HCAPLUS

CN 1H-Pyrrole-3-carboxaldehyde, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 3-oxime (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

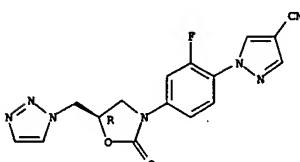


IT 851530-02-4P
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851530-02-4 HCAPLUS

CN 1H-Pyrrole-4-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 851529-77-6P 851529-96-9P 851530-00-2P

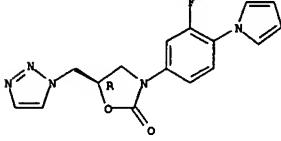
851530-01-3P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851529-77-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(1-pyrrolidinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

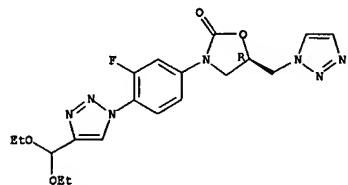
Absolute stereochemistry.



L12 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

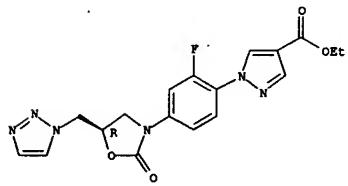
RN 851529-96-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[(4-(diethoxymethyl)-1H-1,2,3-triazol-1-yl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851529-00-2 HCAPLUS
 CN 1H-Pyrazole-4-carboxylic acid, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

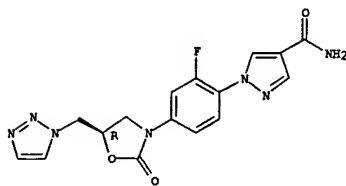
Absolute stereochemistry.



RN 851530-01-3 HCAPLUS
 CN 1H-Pyrazole-4-carboxamide, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

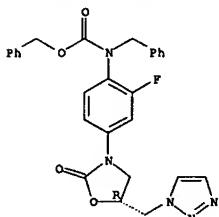


IT 851529-78-7P 851529-85-6P 851529-86-7P
 851529-87-8P 851529-88-9P 851529-89-0P
 851529-90-3P 851529-91-4P 851529-92-5P
 851529-93-6P 851529-94-7P 851529-95-8P
 851529-99-2P

RL: PAC (Pharmacological activity); SRN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses);
 (preparation of novel oxazolidinone derivs. useful as antibacterial agents)

RN 851529-78-7 HCAPLUS
 CN Carboxamic acid, [2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

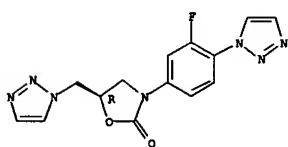
Absolute stereochemistry.



RN 851529-85-6 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-1,2,3-triazol-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

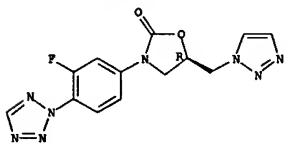
Absolute stereochemistry.

L12 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



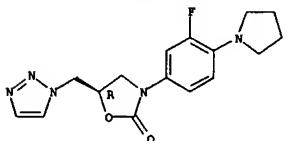
RN 851529-86-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(2H-tetrazol-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 851529-87-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1-pyrrolidinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

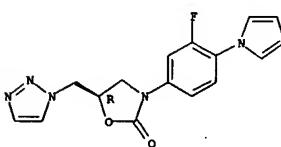


● HCl

RN 851529-88-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-pyrrol-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

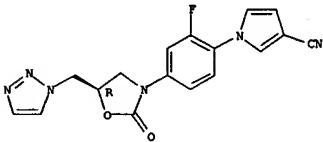
L12 ANSWER 7 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



● HCl

RN 851529-89-0 HCAPLUS
 CN 1H-Pyrole-3-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



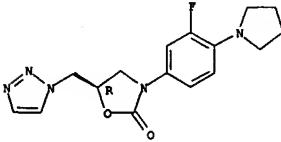
● HCl

RN 851529-90-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1-pyrrolidinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 851529-76-5
 CMF C16 H18 F N5 O2

Absolute stereochemistry.



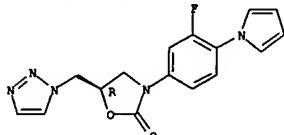
CH 2
CRN 7664-93-9
CNF H2 O4 S



RN 851529-91-4 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-pyrol-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-, sulfate (1:1) (9CI) (CA INDEX NAME)

CH 1
CRN 851529-77-6
CNF C16 H14 F N5 O2

Absolute stereochemistry.



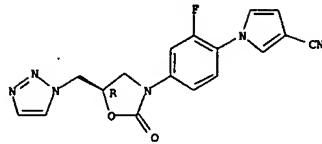
CH 2
CRN 7664-93-9
CNF H2 O4 S



RN 851529-92-5 HCAPLUS
CN 1H-Pyrrole-3-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, sulfate (1:1) (9CI) (CA INDEX NAME)

CH 1

Absolute stereochemistry.



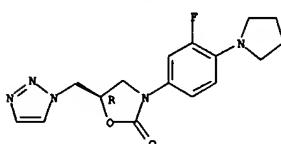
CH 2
CRN 7664-93-9
CNF H2 O4 S



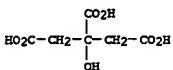
RN 851529-93-6 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(1-pyrrolidinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CH 1
CRN 851529-76-5
CNF C16 H18 F N5 O2

Absolute stereochemistry.



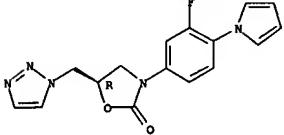
CH 2



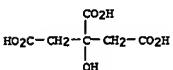
RN 851529-94-7 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-pyrol-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CH 1
CRN 851529-77-6
CNF C16 H14 F N5 O2

Absolute stereochemistry.



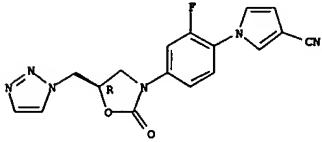
CH 2
CRN 77-92-9
CNF C6 H8 O7



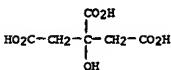
RN 851529-95-8 HCAPLUS
CN 1H-Pyrrole-3-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 2-hydroxy-1,2,3-propanetricarboxylate (1:1) (9CI) (CA INDEX NAME)

CH 1
CRN 851529-83-4
CNF C17 H13 F N6 O2

Absolute stereochemistry.

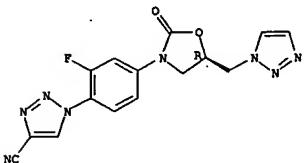


CH 2
CRN 77-92-9
CNF C6 H8 O7



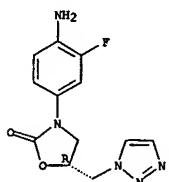
RN 851529-99-2 HCAPLUS
CN 1H-1,2,3-Triazole-4-carbonitrile, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



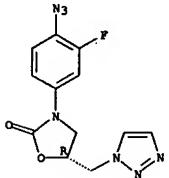
IT 851529-80-1P 851529-84-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation of novel oxazolidinone derivs. useful as antibacterial agents)
RN 851529-80-1 HCAPLUS
CN 2-Oxazolidinone, 3-(4-amino-3-fluorophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

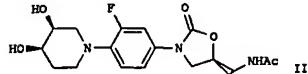
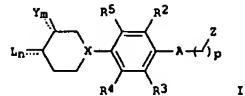


RN 851529-84-5 HCAPLUS
CN 2-Oxazolidinone, 3-[(4-azido-3-fluorophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB Title compds. represented by the formula I [wherein A = isoxazolin-3,5-diyl, 2-oxazolinone-3,5-diyl, 2-furanone-3,5-diyl, 5-isoxazolinone-2,4-diyl; X = N or C; Z = oxy-isoxazolyl, (oxy)-1,2,3-triazolyl, aminocarbonylmethyl, etc.]; R2-R5 = independently H, Cl, F, Me, NHZ, or OH; L, Y = independently H, OH, F, O, NOH, NOalkyl, m, n, p = independently 0 or 1, and pharmaceutically acceptable salts thereof] were prepared as antimicrobial agents. For example, II was given in a multi-step synthesis starting from the reaction of 1,2,3,6-tetrahydropyridine with 3,4-difluorobenzeno. I were tested for inhibition against SAUR 9213, SPNE 9912, HINF 30063 and MC 30603 with MIC values of 0.25-64 μ g/ml. Thus, I and their pharmaceutical compds. are useful as antibacterial agents for the treatment of a gram-neg. microbial infection.

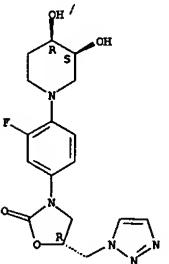
ACCESSION NUMBER: 20051182660 HCAPLUS
DOCUMENT NUMBER: 142:280197
TITLE: Preparation of aryloxazolidinone derivatives as antimicrobial agents
INVENTOR(S): Gordiev, Mikhail; Wang, Qiang
PATENT ASSIGNEE(S): Warner-Lambert Company LLC, USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXX02
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019214	A1	20050303	WO 2004-1B2669	20040813
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KW, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NT, NO, NZ, OM, PG, PE, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				

L12 ANSWER 8 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RW: BW, GH, GR, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2005065187 A1 20050324 US 2004-924752 20040824
PRIORITY AFFINN. INFO.: US 2003-497531P P 20030825
OTHER SOURCE(S): MARPAT 142:280197
IT 847256-81-9P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (preparation of aryloxazolidinone derivs. as antimicrobial agents)

RN 847256-81-9 HCAPLUS
CN 2-Oxazolidinone, 3-[(4-[(3S,4R)-3,4-dihydroxy-1-piperidinyl]-3-fluorophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

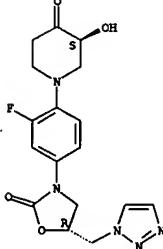
Absolute stereochemistry.



IT 847256-92-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (preparation of aryloxazolidinone derivs. as antimicrobial agents)

RN 847256-92-0 HCAPLUS
CN 4-Piperidinone, 1-[2-fluoro-4-[(SR)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-hydroxy-, (3S)- (9CI) (CA INDEX NAME)

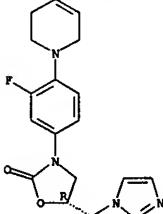
Absolute stereochemistry.



IT 847257-18-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation of aryloxazolidinone derivs. as antimicrobial agents)

RN 847257-18-5 HCAPLUS
CN 2-Oxazolidinone, 3-[(3,6-dihydro-1(2H)-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 9 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 04 Mar 2005
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A and B independently = Ph, pyridyl, pyrazinyl, pyrimidinyl, or pyridazinyl; R1 and R2 = independently = halo, CF₃, CN, NO₂, NR₂, COR₄, etc., R3 = OR₄, NR₂, COR₄, CO₂R₄, CSR₄, etc.; R₄ independently = H, (un)substituted-alkenyl, -alkynyl, -aryl, etc.; M = (un)substituted-alkenyl, (un)saturated carbocycle or aryl heterocycle containing one or more heteroatoms chosen from N, O, and S; L = X, L₁, L₂, L₁X₂, L₁X₂X₃, X₂, X₂L₂ wherein X independently = O, NR₄, NO, N(OR₄), NR₄NR₄, etc., and L₁ and L₂ are independently = (un)substituted-alkenyl, -alkenyl, -alkynyl; m = 0-4; n = 0-4], and their pharmaceutically acceptable salts, are prepared. Thus, e.g., compound II was prepared by conversion of TDBPS protected 1-bromo-4-(2-hydroxyethyl)benzenes to the aryl boronic acid which is coupled with N-[3-(3-fluoro-4-iodophenyl)-2-oxo-oxazolidin-5-ylmethyl]acetamide followed by desilylation, mesylation, and substitution with imidazole. I are disclosed as potential anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents (no data). More particularly, the invention relates to a family of compds. having both a biaryl moiety and at least one heterocyclic moiety that are useful as such agents.

ACCESSION NUMBER: 2005182657 HCAPIUS

DOCUMENT NUMBER: 142280195

TITLE: Preparation of biaryl heterocyclic compounds and methods of making and using the same in pharmaceutical applications

INVENTOR(S): Zhou, Jiecheng; Bhattacharjee, Ashoke; Chen, Shili; Chen, Yit; Farmer, Jay J.; Goldberg, Joel A.; Hamelmann, Roger; Lou, Rongliang; Orbin, Alia; Oysiere, Adelwyna X.; Salvino, Joseph M.; Springer, Daniel M.; Tran, Jennifer; Wang, Deping; Wu, Yusheng

PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA
 SOURCE: PCT Int. Appl., 259 pp.

CODEN: PIXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 5

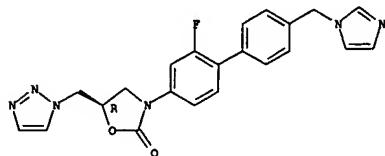
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019211	A2	20050303	WO 2004-US17101	20040602
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, EZ, EC, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MY, MZ, NA, NI, NO, NZ, OM, PG, PE, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, RW: BV, GE, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CP, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

L12 ANSWER 9 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 US 2005203147 A1 20050915
 PRIORITY APPLN. INFO.:
 US 2005-118808 P 20050429
 US 2003-475430P P 20030603
 US 2003-475453P P 20030603
 US 2003-490855P P 20030729
 US 2003-529731P P 20031215
 US 2003-531594P P 20031215
 US 2004-859476 A1 20040602

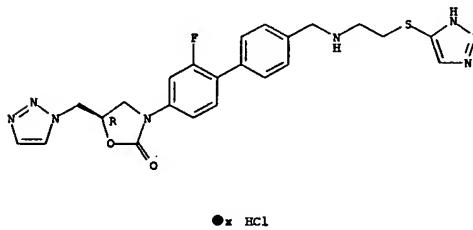
OTHER SOURCE(S): MARPAT 142:280195
 IT 847490-40-1P 847490-40-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of biaryl heterocyclic compound as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents)
 RN 847490-40-1 HCAPIUS
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-(1H-imidazol-1-ylmethyl)[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847490-40-8 HCAPIUS
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-(1H-1,2,3-triazol-1-ylmethyl)-ethyl]amino)methyl)[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, hydrochloride, (5R)- (9CI) (CA INDEX NAME)

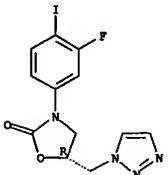
Absolute stereochemistry.



• HCl

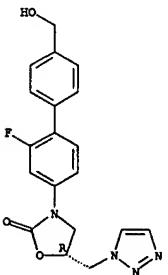
L12 ANSWER 9 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 IT 501939-95-3P 847490-64-6P 847490-65-7P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of biaryl heterocyclic compound as anti-infective, anti-proliferative, anti-inflammatory, and prokinetic agents)
 RN 501939-95-3 HCAPIUS
 CN 2-Oxazolidinone, 3-[2-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 847490-64-6 HCAPIUS
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-(hydroxymethyl)[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

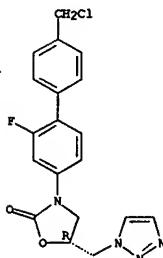
Absolute stereochemistry.



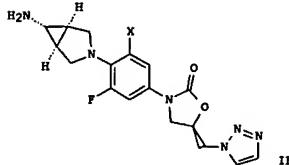
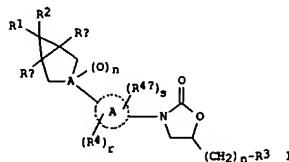
RN 847490-65-7 HCAPIUS
 CN 2-Oxazolidinone, 3-[4'-(chloromethyl)-2-fluoro[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 9 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)

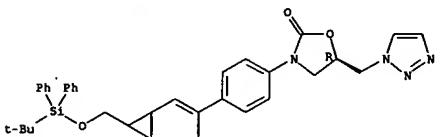


L12 ANSWER 10 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 21 Jan 2005
 GI



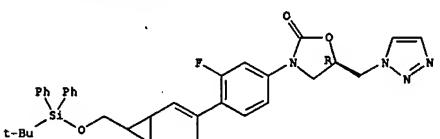
AB New oxazolidinones having a cyclopropyl moiety (I) [R1, R2 = independently H, NR5R6, CR7R8R9, C(O)R13, C(=N)H, C(=NOR13)H, C(=NR13)R13, C(O)N(R13)2, C(=O)N(R13)2, C(=NOR)N(R13)2, HNC(X1)N(R13)2, C(NR13)R13, C(O)N(R13)C(X1)N(R13)2, C2R13, SO2R14, N(R13)SO2R14, N(R13)COR14, cyano-C1-6 alkyl, C(=O)R2, etc.; A = C, CH, N; - represents an optional bond; ring A = aryl, heteroaryl, heterocyclic; R1 = H, C1-6 alkyl, R3 = (un)substituted aromatic heterocyclic group containing at least one nitrogen in the ring which is attached through a bond on any N; R4 = H, halogen, C1-6 alkyl; R5, R6 = H, each (un)substituted C1-6 alkyl, C1-6 acyl, or C1-6 alkylsulfonyl, etc.; R7 = H, halo, cyano, CO2R, CON(R)2, CHO, CH2NHAc, C(=NOR), OH, C1-6 alkyl, C1-6 alkyl, alkenyl, (CH2)nNH2, etc.; R8, R9 = H, cyano, each (un)substituted C1-6 alkyl or Ph; X1 = O, S, NR13, NCR, NCO2R14, or NSO2R14; R13 = H, C1-6 alkyl, C6-10 aryl, NR5R6, SR8, S(O)2R8, cyano, OH, C1-6 alkoxycarbonyl, CO2R, etc.; R14 = amino, C1-6 alkyl, C1-6 haloalkyl, (un)substituted Ph, etc.; R15 = C1-6 alkyl or (un)substituted benzyl; m, n, p, q = 0, 1]; enantiomers, diastereomers, or pharmaceutically acceptable salts, hydrates, or prodrugs thereof are prepared. These compds. are effective as antibacterial agents against aerobic and anaerobic pathogens such as multi-resistant staphylococci, streptococci and enterococci, *Bacteroides* sp., *Clostridium* sp., as well as acid-fast organisms such as *Mycobacterium tuberculosis* and other mycobacterial species. They are coadministered with vitamin B2, vitamin B4, vitamin B12, or folic acid to prevent or treat oxazolidinone-associated side effect such as normocytic anemia, peripheral sensory neuropathy, sideroblastic anemia, peripheral sensory neuropathy, optic neuropathy, seizures, thrombocytopenia, chelosis,

L12 ANSWER 10 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 Absolute stereochemistry.



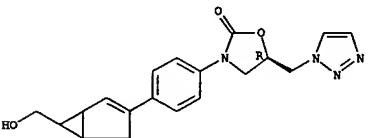
CN 828915-22-6 HCAPIUS
 2-Oxazolidinone, 3-[4-[(6-[(1,1-dimethylethyl)diphenylsilyl]oxy)methyl]bicyclo[3.1.0]hex-2-en-3-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (SCi) (CA INDEX NAME)

Absolute stereochemistry.



CN 828915-23-7 HCAPIUS
 2-Oxazolidinone, 3-[4-[(6-(hydroxymethyl)bicyclo[3.1.0]hex-2-en-3-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (SCi) (CA INDEX NAME)

Absolute stereochemistry.



CN 828915-24-8 HCAPIUS
 2-Oxazolidinone, 3-[3-fluoro-4-[6-(hydroxymethyl)bicyclo[3.1.0]hex-2-en-3-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (SCi) (CA INDEX NAME)

Absolute stereochemistry.

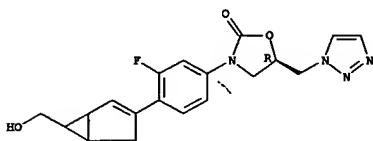
L12 ANSWER 10 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 hypo-regenerative anemia, megaloblastic anemia and seborrheic dermatitis. Thus, (R)-5-azidomethyl-3-[(1a,5a,6a)-6-(tert-butoxycarbonylamo)-3-azabicyclo[3.1.0]hex-3-yl]-3-fluorophenyl]oxazolidin-2-one (370 mg) and 2,5-norbornadiene (891 mg) in dioxane (65 ml) was heated at 70° for 6 h, and then concd. in vacuo. A suspension of the residue in diethylene glycol di-Me ether (18.5 ml) was heated at 140° for 10 min to give 1-(5R)-3-[4-(azabicyclo[3.1.0]hex-3-yl)oxycarbonylamo]-3-1,2,3-triazole which was treated with 12 N HCl/MeOH at room temp. for 10.5 h to give, after workup, compd. (II) (X = H), II (X = F) showed min. inhibitory concn. of 0.25, 0.25, 1, and 1 μ g/ml against methicillin-resistant *Staphylococcus aureus*, penicillin- and quinolone-resistant *S. pneumoniae*, *S. pyogenes*, IID692, vancomycin- and quinolone-resistant *Enterococcus faecium*, and *Moraxella catarrhalis* ATCC25238, resp.

ACCESSION NUMBER: 2005:58200 HCAPIUS
 DOCUMENT NUMBER: 142:155957
 TITLE: Preparation of 3-aryloxazolidin-2-one derivatives as antibiotics
 INVENTOR(S): Hammond, Milton L.; Fukuda, Yasumichi
 PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.
 SOURCE: PCT Int. Appl., 43 pp.
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200505422	A1	20050120	WO 2004-US20738	20040629
W1: AE, AG, AL, AM, AT, AU, AZ, BA, BE, BG, BR, BW, BY, BZ, CA, CH, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, LZ, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PE, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TH, TR, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GR, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BE, BU, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MA, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:			US 2003-483901P	P 20030702
			US 2004-546985P	P 20040224

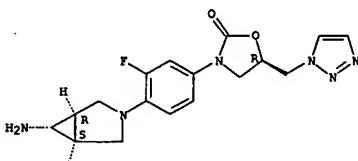
OTHER SOURCE(S): MARPAT 142:155957
 IT 828915-21-SP 828915-22-SP 828915-23-79
 828915-24-09
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (preparation of arylloxazolidin-2-one derivs. as antibacterial agents)
 RN: 828915-21-5 HCAPIUS
 CW: 2-Oxazolidinone, 3-[4-[(6-[(1,1-dimethylethyl)diphenylsilyl]oxy)methyl]bicyclo[3.1.0]hex-2-en-3-ylphenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (SCi) (CA INDEX NAME)

L12 ANSWER 10 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)



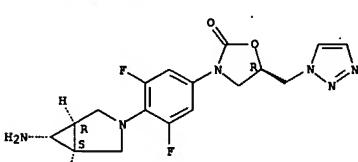
IT: 828915-93-3P 828915-94-4P 828915-25-9P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of arylloxazolidin-2-one derivs. as antibacterial agents)
 RN: 828915-93-3 HCAPIUS
 CW: 2-Oxazolidinone, 3-[4-[(6-[(1,1-dimethylethyl)diphenylsilyl]oxy)methyl]bicyclo[3.1.0]hex-2-en-3-ylphenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (SCi) (CA INDEX NAME)

Absolute stereochemistry.



RN: 828915-94-4 HCAPIUS
 CW: 2-Oxazolidinone, 3-[4-[(1a,5a,6a)-6-amino-3-azabicyclo[3.1.0]hex-3-yl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (SCi) (CA INDEX NAME)

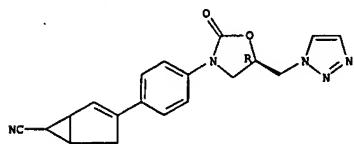
Absolute stereochemistry.



RN: 828915-25-9 HCAPIUS

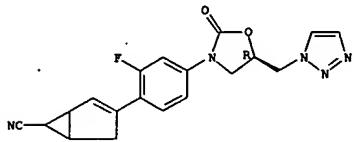
L12 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN Bicyclo[3.1.0]hex-2-ene-6-carbonitrile, 3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 828915-26-0 HCAPLUS
 CN Bicyclo[3.1.0]hex-2-ene-6-carbonitrile, 3-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 501939-70-4P 501939-95-3P 828252-99-9P
 828253-00-5P 828915-27-1P
 RL RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation of arylomazolidin-2-one derivs. as antibacterial agents)
 RN 501939-70-4 HCAPLUS
 CN 2-Oxazolidinone, 3-(4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

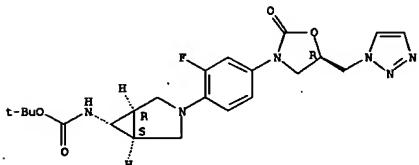
Absolute stereochemistry.



L12 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

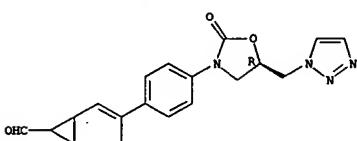
RN 828253-00-5 HCAPLUS
 CN Carbamic acid, [(1a,5a,6a)-3-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-azabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



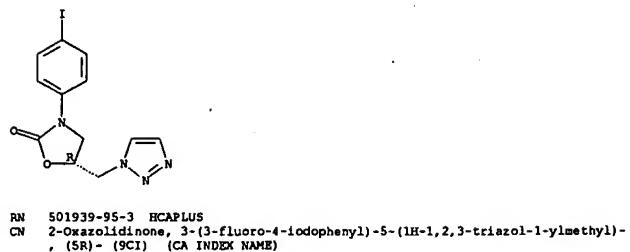
RN 828915-27-1 HCAPLUS
 CN Bicyclo[3.1.0]hex-2-ene-6-carboxaldehyde, 3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



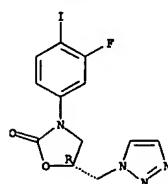
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 10 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



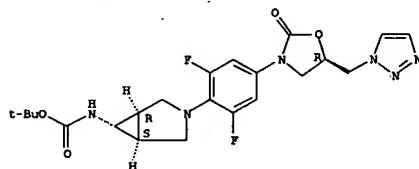
RN 501939-95-3 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 828252-99-9 HCAPLUS
 CN Carbamic acid, [(1a,5a,6a)-3-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-azabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 11 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 21 Jan 2005
 GI

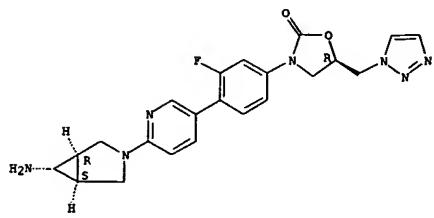
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Oxazolidinones I and II [wherein R1, R2 = independently H, NH2, CH3 and derivs., CHO and derivs., CONH2 and derivs., SO2H and derivs., (un)substituted heterocyclic, etc., Y, Z = (un)substituted arylene, heteroarylene; R1a = defined as R1 less H, Y = O, H, OH, or halo; A = C or N with provisos; R2 = H, alkyl; R3 = NHCO(OH) and derivs., NHCOZ and derivs., (un)substituted NH-heteroaryl, etc.; B = (CH2)n (n = 0-1); and their enantiomers, diastereomers, or their pharmaceutically acceptable salts, esters, hydrates or prodrugs] are effective against aerobic and anaerobic pathogens such as multi-resistant *Staphylococci*, *Streptococci* and *Enterococci*, *Bacteroides*, *Clostridia*, as well as acid-fast organisms such as *Mycobacterium tuberculosis*, and other mycobacterial species. Thus, reacting N-[(5S)-3-(3-fluoro-4-iodophenyl)-2-oxazolidin-5-ylmethyl]acetamide with bis(pinacolato)diboron, and rd-coupling with 5-bromo-2-(1-cyanocyclopropen-1-yl)pyridine gave oxazolidinone III. The prepared oxazolidinones were tested for antibacterial activity against a variety of strains, such as *Staphylococcus aureus*, *Streptococcus pneumoniae* and *Enterococcus faecium*. III inhibited *Staphylococcus aureus* *in vitro* with a *min. inhibitory concentration* of 0.06 µg/mL.

ACCESSION NUMBER: 2005158190 HCAPLUS
 DOCUMENT NUMBER: 142:155938
 TITLE: Preparation of cyclopropyl group substituted oxazolidinones as antibiotics
 INVENTOR(S): Fukuda, Yasumichi
 PATENT ASSIGNER(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.
 SOURCE: PCT Int. Appl., 85 pp.
 CODEN: PIXX02
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

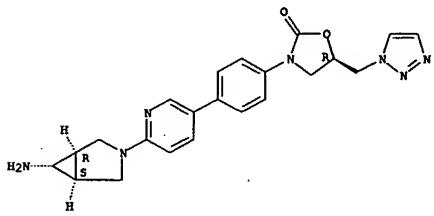
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005420	A1	20050120	WO 2004-20737	20040629
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CU, CO, CR, DE, DK, DM, DZ, EC, ES, FI, GB, GE, GH, GR, HR, HU, ID, IL, IN, IS, JP, KR, KG, KP, MA, KZ, LC, LR, LS, LT, LU, LV, MD, MG, MK, MW, MX, MZ, NA, NI, NO, NG, OM, PG, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, US, UZ, VC, VN, YU, ZA, ZH, ZU				
RW: BY, GH, KE, LS, MZ, NA, SD, SL, ST, TZ, UG, ZA, ZV, AM, AZ, BY, KG, KZ, MD, TH, AT, BE, BG, CL, CY, CZ, DE, DK, EZ, ES, FR, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BU, CE, CO, CI, CH, GA, GN, GO, GW, HU, MR, NB, SN, TD, TG				
PRIORITY APPLN. INFO.: US 2003-483904P				P 20030702
OTHER SOURCE(S): MARPAT 142:155938			US 2004-5469802	P 20040224
IT 827627-78-8P 827627-91-8P 827627-98-8P				

RL PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (antibacterial agent); preparation of cyclopropyl-oxazolidinones as



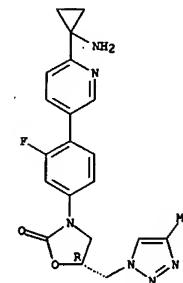
RN 827627-97-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(1a,5a,6a)-6-amino-3-azabicyclo[3.1.0]hex-3-yl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



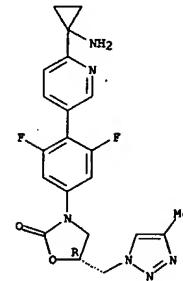
RN 827627-99-6 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-(1-aminocyclopropyl)-3-pyridinyl]-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



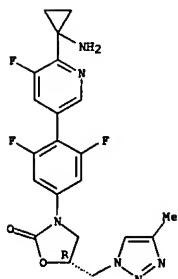
RN 827628-11-5 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-(1-aminocyclopropyl)-3-pyridinyl]-3,5-difluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



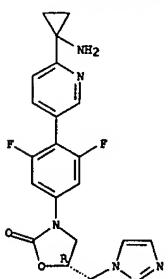
RN 827628-12-6 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-(1-aminocyclopropyl)-5-fluoro-3-pyridinyl]-3,5-difluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 827628-13-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-(1-aminocyclopropyl)-3-pyridinyl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

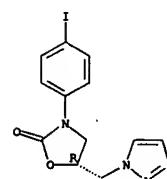
Absolute stereochemistry.



IT 501939-70-4P 501939-98-3P 827628-21-7P
 827628-30-8P 827628-34-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (intermediate); preparation of cyclopropyl-oxazolidinones as antibiotics)

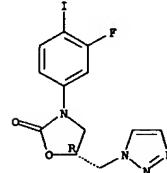
RN 501939-70-4 HCAPLUS
 CN 2-Oxazolidinone, 3-(4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



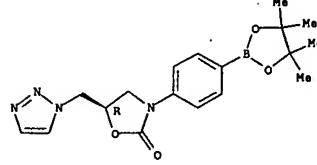
RN 501939-95-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

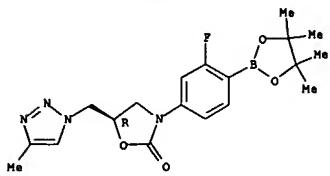


RN 827628-21-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

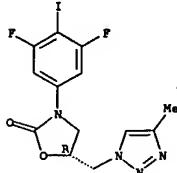
Absolute stereochemistry.



RN 827628-30-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

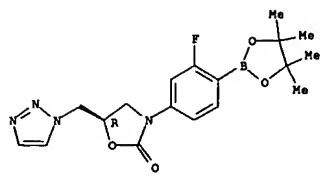
L12 ANSWER 11 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.RN 827628-34-2 HCAPLUS
CN 2-Oxazolidinone, 3-(3,5-difluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

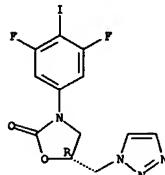
IT 700370-33-8 827628-35-3
RL: RCT (Reactant); PACT (Reactant or reagent)
(preparation of cyclopropyl-oxazolidinones as antibiotics)
RN 700370-33-8 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

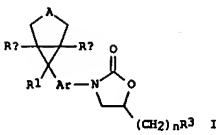
L12 ANSWER 11 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 827628-35-3 HCAPLUS
CN 2-Oxazolidinone, 3-(3,5-difluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 12 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 20 Jan 2005
GI

AB Title compds. {I; R1 = H, NR5R6, CR7R8R9, COR13, (substituted) heterocyclic, etc.; A = NR, O, S, SO2; Ar = (substituted) acyl, heteroacyl, heterocyclic; R3 = NR13(C1X2)R12, NR13SO2R14, (substituted) heteroaryl, etc.; n = 0, 1; Rx = H, alkyl; R5, R6 = H, (substituted) alkyl, acyl, heterocyclic, etc.; R5R6, R7R8 = atoms to form a 3-7 membered heterocyclic ring; R7 = H, halo, cyano, CHO, OH, alkyl, alkoxy, alkyl, etc.; R8, R9 = H, cyano, (substituted) alkyl, Ph; R13 = H, alkyl, acyl, NR5R6, cyano, OH, alkylcarbonyl, CO2H, acyl, (substituted) (heterocyclic) ring, etc.; R14 = amino, alkyl, haloacetyl, (substituted) heterocyclic, Ph, X2 = O, S, NH, NSO2R14}, were prepared. Thus, 1-benzylxycarbonylamino-4-[(1a,5a,6b)-6-(cyano)benzocyclo[3.1.0]hexan-6-yl]benzene in THF at -78° was treated with BuLi and then with (R)-glycidyl butyrate followed by stirring for 2 h gave 5(R)-3-[4-[(1a,5a,6b)-6-(cyano)benzocyclo[3.1.0]hexan-6-yl]phenyl]-5-hydroxymethyloxazolidin-2-one. The latter was stirred 15 min. with Et3N and MeSO2Cl in CH2Cl2 at 0° to give a residue which was stirred at 70° with NaN3 in DMF to give 5(R)-azidomethyl-3-[4-[(1a,5a,6b)-6-(cyano)benzocyclo[3.1.0]hexan-6-yl]phenyl]oxazolidin-2-one. The latter was hydrogenated in THF/MeOH over Lindlar catalyst to give 5(R)-aminomethyl-3-[4-[(1a,5a,6b)-6-(cyano)benzocyclo[3.1.0]hexan-6-yl]phenyl]oxazolidin-2-one. This was stirred with Et3N and Ac2O in THF at 0° room temperature to give N-[5(S)-3-[4-[(1a,5a,6b)-6-(cyano)benzocyclo[3.1.0]hexan-6-yl]phenyl]oxazolidin-5-ylmethyl]acetamide. The latter showed a minimum inhibitory concentration of 0.25 µg/mL against *Staphylococcus Aureus* Smith.

ACCESSION NUMBER: 200555213 HCAPLUS

DOCUMENT NUMBER: 142134582

TITLE: Preparation of oxazolidinone antibacterials

INVENTOR(S): Hammond, Milton L.; Fukuda, Yasumichi

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 58 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005005399	A1	20050120	WO 2004-US20736	20040629
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,				

L12 ANSWER 12 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, ES, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

US 2003-483905P P 20030702

US 2004-546947P P 20040224

US 2004-553963P P 20040318

OTHER SOURCE(S): MARPAT 142:134582

IT 827014-72-2P 827014-78-5P 827014-78-6P

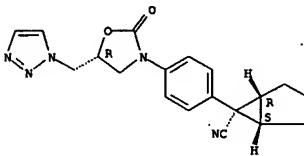
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses)

(claimed compound) preparation of oxazolidinone antibacterials

RN 827014-72-2 HCAPLUS

CN Bicyclo[3.1.0]hexane-6-carbonitrile, 6-[(5(R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

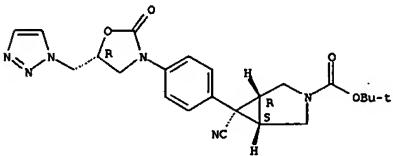
Absolute stereochemistry.



RN 827014-75-5 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[(5(R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]-, 1,1-dimethylethyl ester, (1a,5a,6b)- (9CI) (CA INDEX NAME)

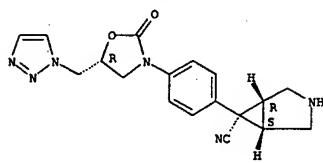
Absolute stereochemistry.



RN 827014-76-6 HCAPLUS

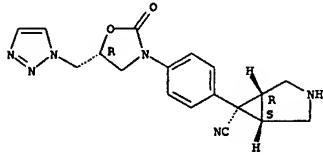
CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[(5(R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]-, (1a,5a,6b)-

Absolute stereochemistry.



RN 827015-45-2 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

OTHER SOURCE(S): MARMAT 142:155937

IT 831201-06-0P 831201-09-2P 831201-09-3P

831201-14-0P 831201-16-3P 831201-28-6P

831201-49-4P 831201-50-5P 831201-54-6P

831201-54-8P 831201-56-9P 831201-58-4P

831201-95-9P 831201-98-9P 831202-92-4P

831202-10-9P 831202-12-1P 831202-28-0P

831202-30-3P 831202-44-1P 831202-54-1P

831202-55-2P 831202-56-3P 831202-58-5P

831202-61-0P 831202-63-4P 831202-67-6P

831202-69-8P 831202-71-2P 831202-73-4P

831202-74-5P 831202-75-6P 831202-76-9P

831222-05-3P 831222-09-4P

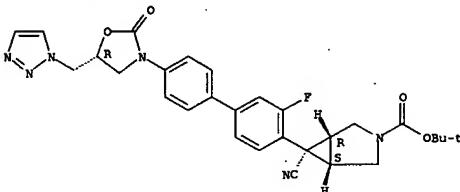
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THER (Therapeutic use); BIOL (Biological study); PAKP (Preparation); RACT (Reactant or reagent); USES (Uses)

(antibacterial agent; preparation of cyclopropyl-oxazolidinones as antibiotics)

RN 831201-06-0 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[3-fluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831201-08-2 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[5-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, 1,1-dimethylethyl ester, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINK PRINT *

AB Oxazolidinones I and II [wherein R1 = H, CH3 and derivs., CHO and derivs., CN, (un)substituted heterocycl; Y = NH and derivs., O, CN, S, SO, SO2; A, B = (un)substituted arylene, heteroarylene, heterocyclylene, etc.]; R = (CH2)n; n = 0-1; R3 = NH2 and derivs.; aryl, NRC(X)2H and derivs.; R = H, alkyl; X2 = O, S, NH, etc.; Z = substituted aromatic heterocyclic group containing 1 to 4 nitrogens and at least one double bond; and their enantiomers, diastereomers, or their pharmaceutically acceptable salts, esters, hydrates or prodrugs] are effective against aerobic and anaerobic pathogens such as multi-resistant *Staphylococci*, *Streptococci* and *Enterococci*, *Bacteroides*, *Clostridia*, as well as acid-fast organisms such as *Mycobacterium tuberculosis*, and other mycobacterial species. Thus, II+HCl was prepared by reacting N-[5(S)-3-(4-iodophenyl)-2-oxazolidin-5-ylmethyl]acetamide (preparation given) with bis(pinacolato)diboron, Pd-coupling with 5-bromo-2-[(1a,5a,6b)-3-tert-butyloxycarbonyl-6-cyano-3-azabicyclo[3.1.0]hexan-6-yl]pyridine (preparation given), and BOC-deprotection. The prepared oxazolidinones were tested for antibacterial activity against a variety of strains, such as *Staphylococcus aureus*, *Streptococcus pneumoniae* and *Enterococcus faecium*. II inhibited *Staphylococcus aureus* Smith *in vitro* with a min. inhibitory concentration of 0.125 µg/mL.

ACCESSION NUMBER: 2005155212 HCAPLUS

DOCUMENT NUMBER: 142:155937

TITLE: Preparation of cyclopropyl group substituted oxazolidinones as antibiotics

INVENTOR(S): Fukuda, Yasumichi

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 170 pp.

CODEN: PIXXD2

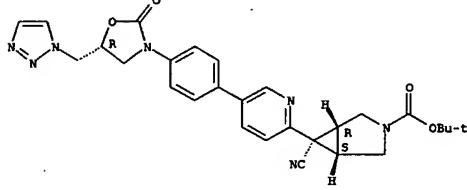
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

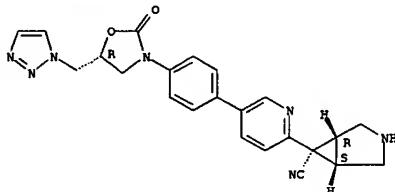
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WO 2005005398	A2	20050120	WO 2004-US20734	20040629
WO 2005005398	A3	20050428		
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US 2005038092	A1	20050217	US 2004-878637	20040629



RN 831201-09-3 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

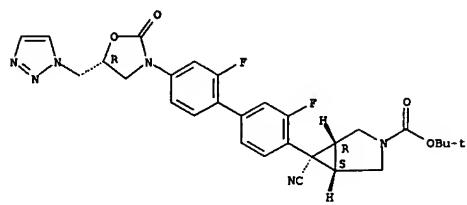
Absolute stereochemistry.



RN 831201-14-0 HCAPLUS

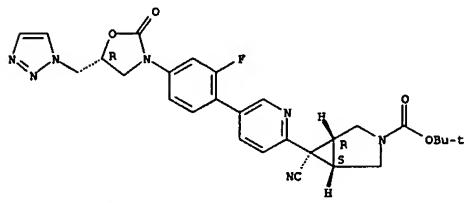
CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[5-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, 1,1-dimethylethyl ester, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831201-16-2 HCAPIUS
 CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-1,1-dimethylethyl ester, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

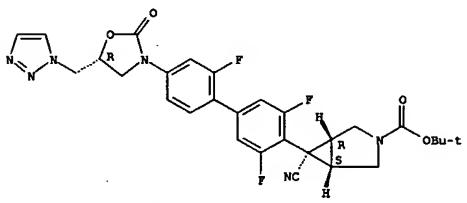


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Absolute stereochemistry.

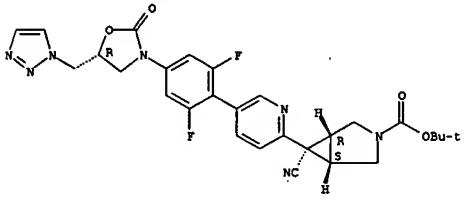
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 CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[2',3,5-trifluoro-4'-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



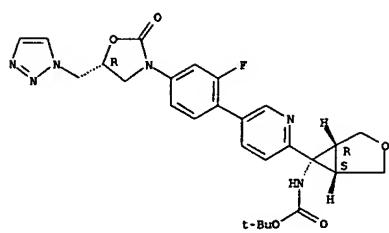
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Absolute stereochemistry.



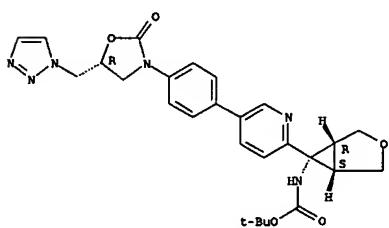
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 CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[2'-fluoro-4'-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



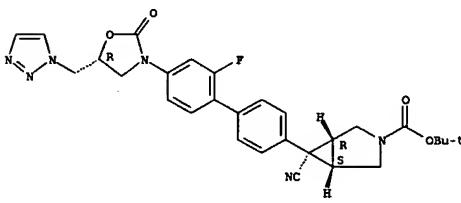
RN 831201-34-4 HCAPIUS
 CN Carbamic acid, [(1a,5a,6b)-6-[5-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-oxabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



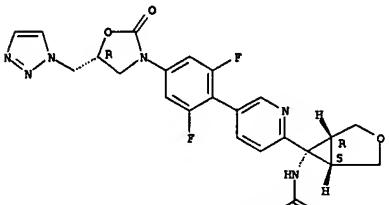
RN 831201-40-2 HCAPIUS
 CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[2',3,5-trifluoro-4'-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



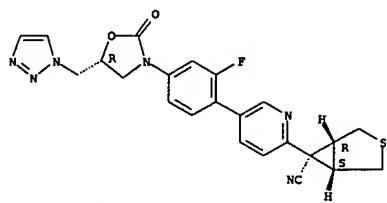
RN 831201-56-0 HCAPIUS
 CN Carbamic acid, [(1a,5a,6b)-6-[5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-oxabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831201-64-0 HCAPIUS
 CN 3-Thiabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

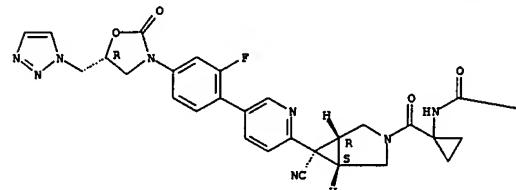
Absolute stereochemistry.



RN 831201-86-6 HCPLUS
 CN Carbamic acid, [1-[(1a,5a,6b)-6-cyano-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]cyclopropyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

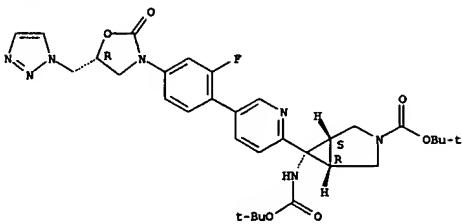
PAGE 1-A



PAGE 1-B

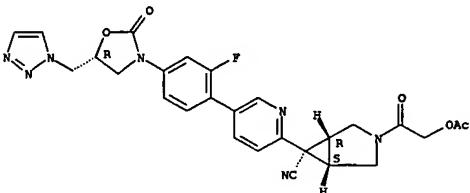
-OBu-t

RN 831201-88-8 HCPLUS



RN 831201-98-0 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-[(acetyloxy)acetyl]-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

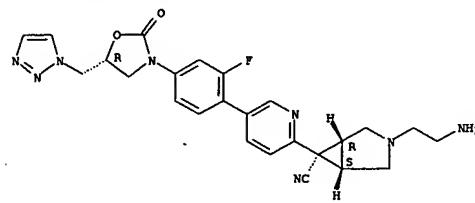


RN 831202-08-5 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[5-[2-fluoro-4-[(4-fluoro-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-2-pyridinyl]-, 1,1-dimethylethyl ester, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

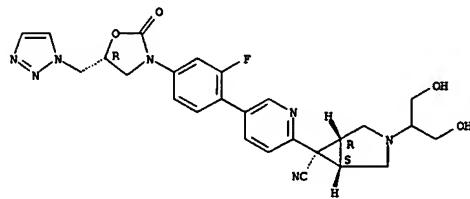
L12 ANSWER 13 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-[(2-aminoethyl)-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



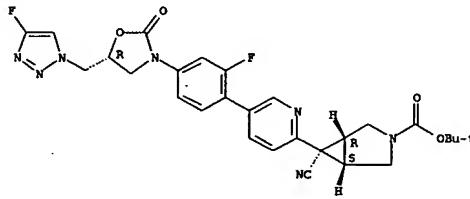
RN 831201-92-4 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-[2-hydroxy-1-(hydroxymethyl)ethyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



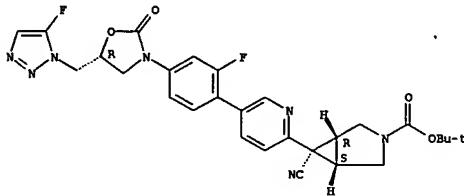
RN 831201-96-8 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-[(1,1-dimethylethoxy)carbonyl]amino-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, 1,1-dimethylethyl ester, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



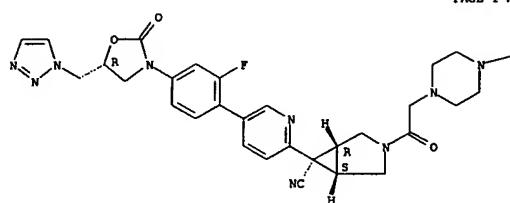
RN 831202-10-9 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[5-[2-fluoro-4-[(5R)-5-(5-fluoro-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-2-pyridinyl]-, 1,1-dimethylethyl ester, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831202-12-1 HCPLUS
 CN 1-Piperazinecarboxylic acid, 4-[2-[(1a,5a,6b)-6-cyano-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-azabicyclo[3.1.0]hex-3-yl]-2-oxoethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

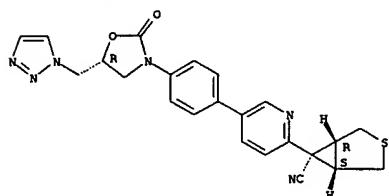


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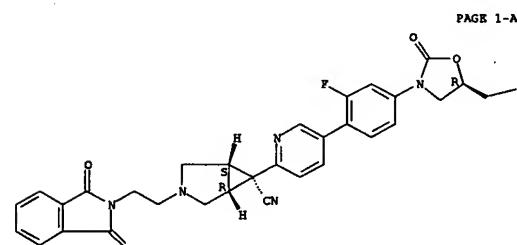
RN 831202-29-9 HCAPIUS
 CN 3-Thiabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831202-30-3 HCAPIUS
 CN 3-Thiabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2,6-difluoro-4-((5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

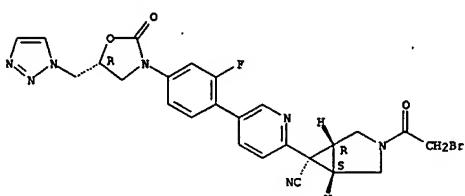


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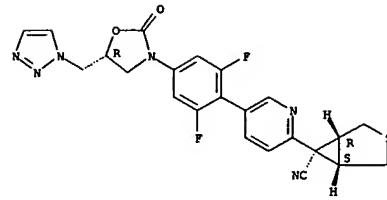


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Absolute stereochemistry.

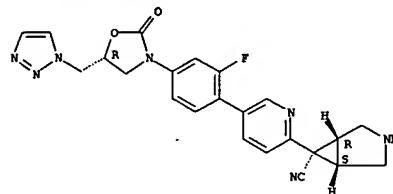


RN 831202-56-3 HCAPIUS
 CN Carbamic acid, [(1a,3b,5a,6b)-6-[5-[2-fluoro-4-((5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-oxido-3-thiabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



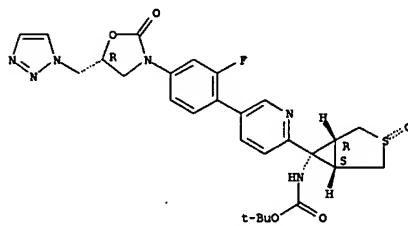
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Absolute stereochemistry.



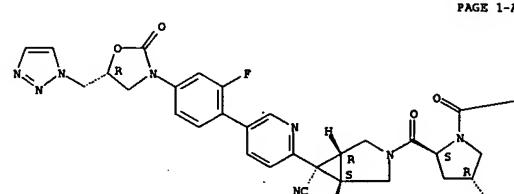
RN 831202-54-1 HCAPIUS
 CN 3-Thiabicyclo[3.1.0]hexane-6-carbonitrile, 3-[2-(1,3-dihydro-1,3-dioxo-2H-isoindol-2-yl)ethyl]-6-[5-[2-fluoro-4-((5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831202-58-5 HCAPIUS
 CN 1-Pyrrolidinocarboxylic acid, 2-[(1a,5a,6b)-6-cyano-6-[5-[2-fluoro-4-((5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]-4-hydroxy-, 1,1-dimethylethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

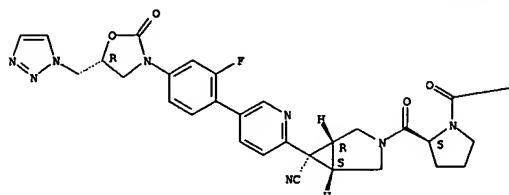
Absolute stereochemistry.



—OBu-t

RN 831202-61-0 HCAPIUS
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Absolute stereochemistry.



—OBu-t

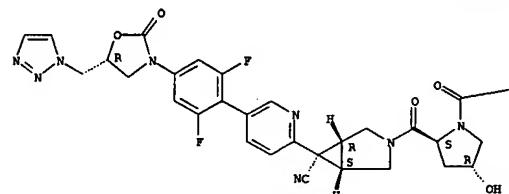
RN 831202-65-4 HCAPIUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[(1a,5a,6b)-6-cyano-6-(5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl)-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]-, 1,1-dimethylethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

—OBu-t

RN 831202-67-6 HCAPIUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[(1a,5a,6b)-6-cyano-6-(5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl)-3-azabicyclo[3.1.0]hex-3-yl]carbonyl]-4-hydroxy-, 1,1-dimethylethyl ester, (2S,4R)- (9CI) (CA INDEX NAME)

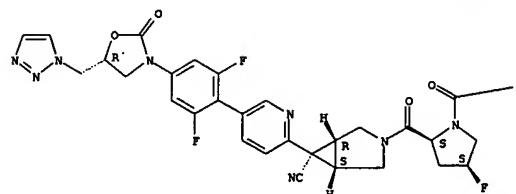
Absolute stereochemistry.



—OBu-t

RN 831202-69-8 HCAPIUS
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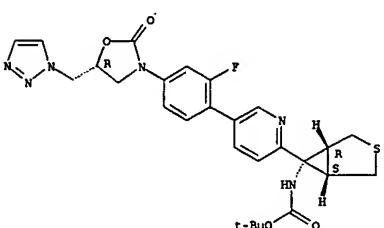
Absolute stereochemistry.



—OBu-t

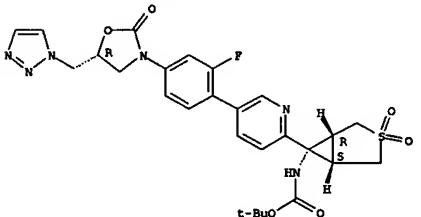
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Absolute stereochemistry.



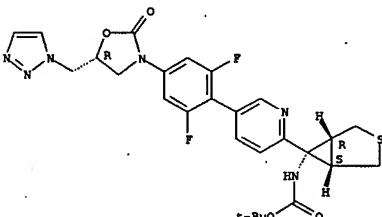
RN 831202-73-4 HCAPIUS
 CN Carbamic acid, [(1a,5a,6b)-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3,3-dioxido-3-thiabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



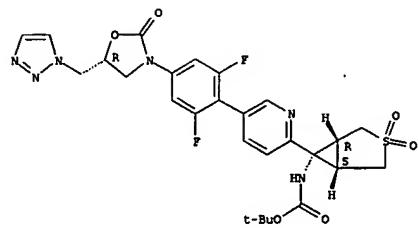
RN 831202-74-5 HCAPIUS
 CN Carbamic acid, [(1a,5a,6b)-6-[5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-thiabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



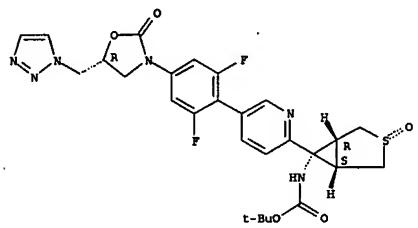
RN 831202-75-6 HCAPIUS
 CN Carbamic acid, [(1a,5a,6b)-6-[5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3,3-dioxido-3-thiabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831202-78-9 HCPLUS
 CN Carbamic acid, [(1a,3b,5a,6b)-6-[5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-thiabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831222-09-3 HCPLUS
 CN Carbamic acid, [(1a,5a,6b)-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-oxido-3-thiabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

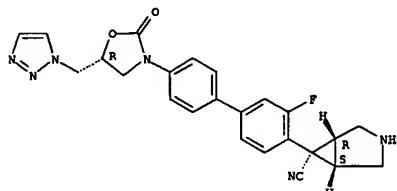
Absolute stereochemistry.

831202-17-6P 831202-18-7P 831202-19-8P
 831202-20-1P 831202-21-2P 831202-22-3P
 831202-23-4P 831202-24-5P 831202-27-8P
 831202-29-0P 831202-31-4P 831202-32-5P
 831202-33-6P 831202-34-7P 831202-37-0P
 831202-42-7P 831202-45-0P 831202-53-0P
 831202-57-4P 831202-59-6P 831202-63-2P
 831202-64-3P 831202-66-5P 831202-61-4P
 831203-07-7P 831221-99-9P 831222-02-7P
 831222-03-0P 831222-06-1P 831222-07-2P
 831222-10-7P 831222-11-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (antibacterial agent; prepn. of cyclopropyl-oxazolidinones as antibiotics)

RN 831201-07-1 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[3-fluoro-4'-([(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-4-yl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

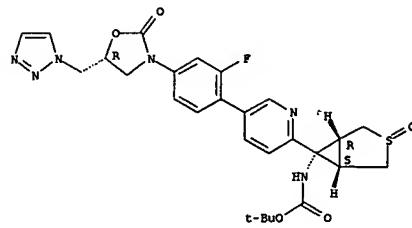
Absolute stereochemistry.



● HCl

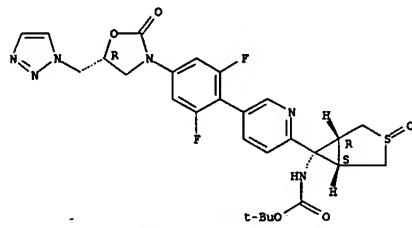
RN 831201-13-9 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

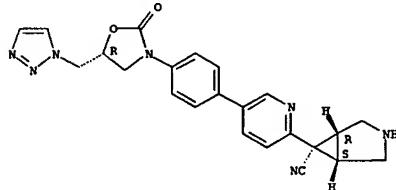


RN 831222-09-4 HCPLUS
 CN Carbamic acid, [(1a,5a,6b)-6-[5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-oxido-3-thiabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



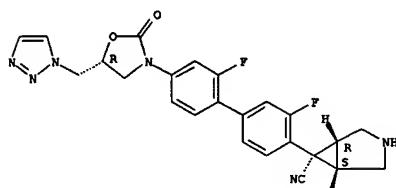
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 831201-35-5P 831201-37-7P 831201-38-8P
 831201-39-9P 831201-41-3P 831201-50-4P
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 831201-89-9P 831201-90-2P 831201-91-3P
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 831202-05-2P 831202-06-3P 831202-07-4P
 831202-09-6P 831202-11-0P 831202-13-2P
 831202-14-3P 831202-15-4P 831202-16-5P



● HCl

RN 831201-15-1 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[2',3-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

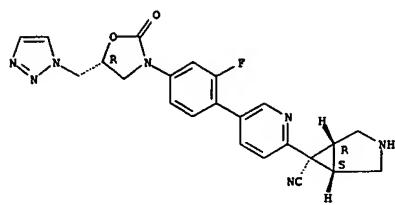
Absolute stereochemistry.



● HCl

RN 831201-17-3 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

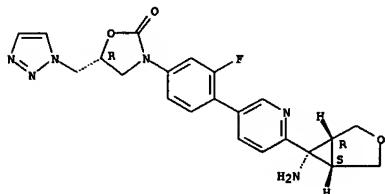
Absolute stereochemistry.



● HCl

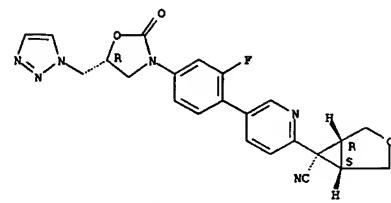
RN 831201-29-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[(1 α ,5 α ,6 β)-6-amino-3-oxabicyclo[3.1.0]hex-6-yl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



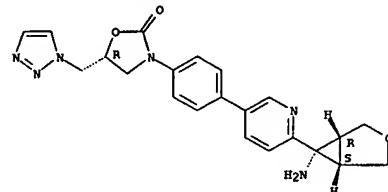
RN 831201-31-1 HCAPLUS
 CN 3-Oxabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1 α ,5 α ,6 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



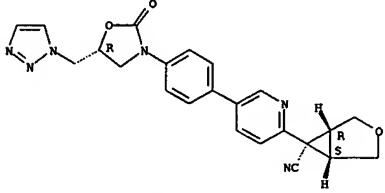
RN 831201-35-5 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[(1 α ,5 α ,6 β)-6-amino-3-oxabicyclo[3.1.0]hex-6-yl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



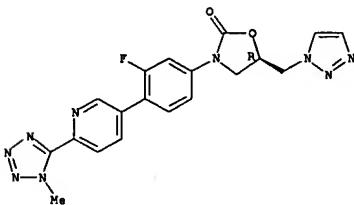
RN 831201-37-7 HCAPLUS
 CN 3-Oxabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1 α ,5 α ,6 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



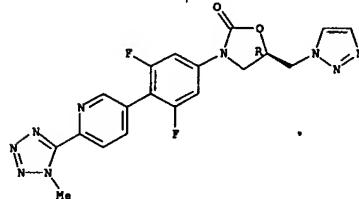
RN 831201-38-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[(1-methyl-1H-tetrazol-5-yl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



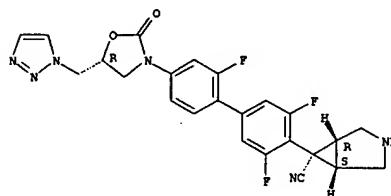
RN 831201-39-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[(1-methyl-1H-tetrazol-5-yl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831201-41-3 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[2',3,5-trifluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, (1 α ,5 α ,6 β)- (9CI) (CA INDEX NAME)

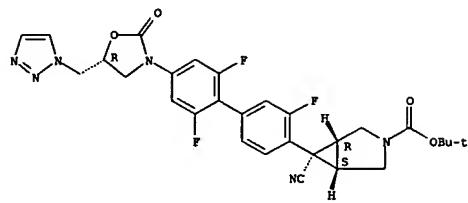
Absolute stereochemistry.



● HCl

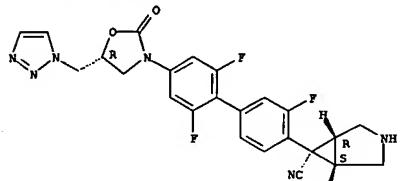
RN 831201-50-4 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-3-carboxylic acid, 6-cyano-6-[2',3,6'-trifluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, 1,1-dimethyl ethyl ester, (1 α ,5 α ,6 β)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831201-51-5 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[2',3,6'-trifluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

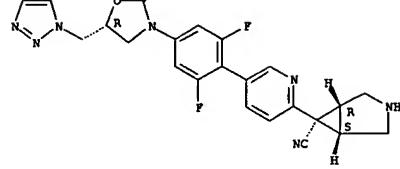
Absolute stereochemistry.



● HCl

RN 831201-53-7 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-(2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

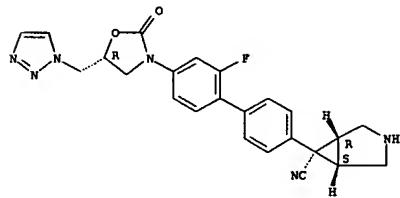
Absolute stereochemistry.



● HCl

RN 831201-55-9 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[2'-fluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

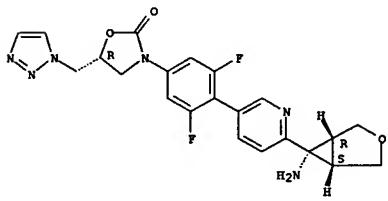
Absolute stereochemistry.



● HCl

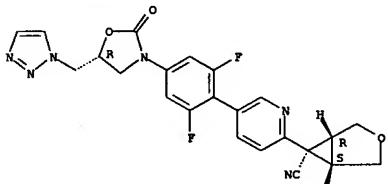
RN 831201-57-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(1a,5a,6b)-6-amino-3-oxabicyclo[3.1.0]hex-6-yl]-3-pyridinyl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



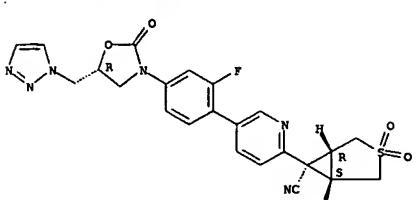
RN 831201-61-7 HCAPLUS
 CN 3-Oxabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



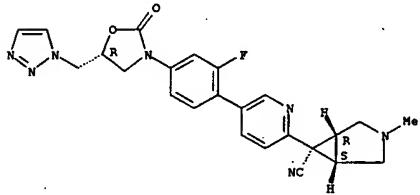
RN 831201-65-1 HCAPLUS
 CN 3-Thiabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, 3,3-dioxide, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



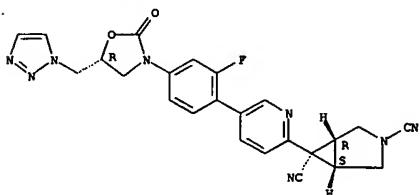
RN 831201-84-4 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-3-methyl-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



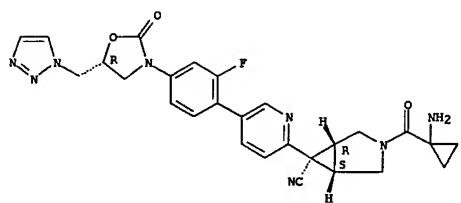
RN 831201-85-5 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-cyano-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831201-87-7 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-[(1-aminocyclopropyl)carbonyl]-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

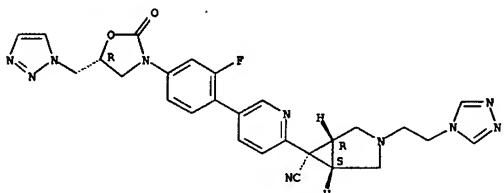
Absolute stereochemistry.



● HCl

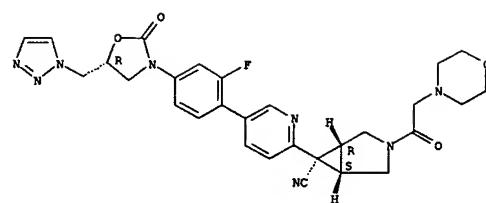
RN 831201-89-9 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-[2-(4H-1,2,4-triazol-4-yl)ethyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



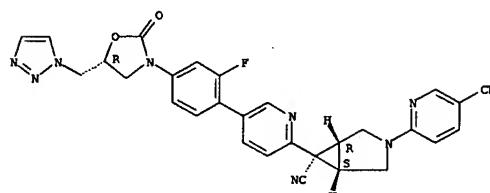
RN 831201-90-2 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-(4-morpholinylacetyl)-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



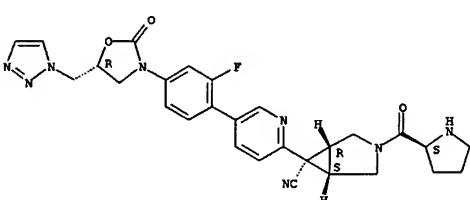
RN 831201-91-3 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-(5-cyano-2-pyridinyl)-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831201-93-5 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-(2-pyrazolidinylcarbonyl)-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

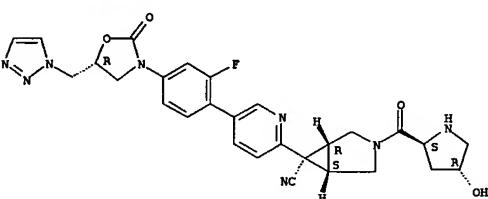
Absolute stereochemistry.



● HCl

RN 831201-94-6 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-[(4-hydroxy-2-pyrazolidinyl)carbonyl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

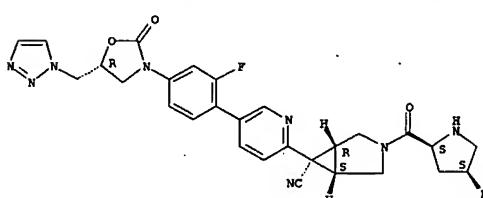
Absolute stereochemistry.



● HCl

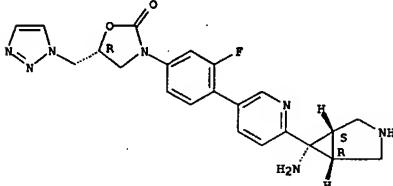
RN 831201-95-7 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-[(4-fluoro-2-pyrazolidinyl)carbonyl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831201-97-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[(6-[(1a,5a,6b)-6-amino-3-azabicyclo[3.1.0]hex-6-yl]-3-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, dihydrochloride, (5R)- (9CI) (CA INDEX NAME)

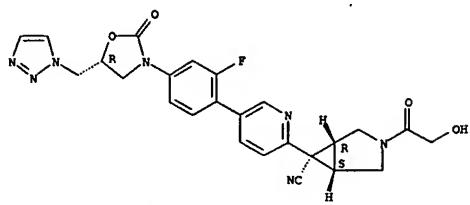
Absolute stereochemistry.



● HCl

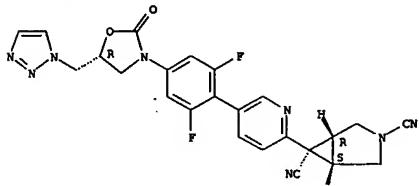
RN 831201-99-1 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-(hydroxyacetyl)-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



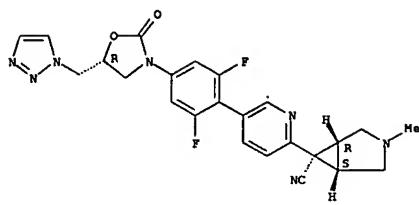
RN 831202-04-1 HCAPIUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-cyano-6-[5-(2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



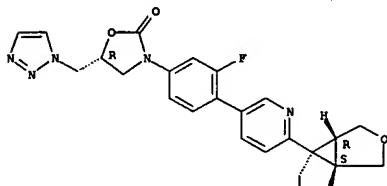
RN 831202-05-2 HCAPIUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-(2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-3-methyl-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



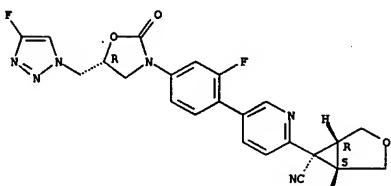
RN 831202-06-3 HCAPIUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[(5R)-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



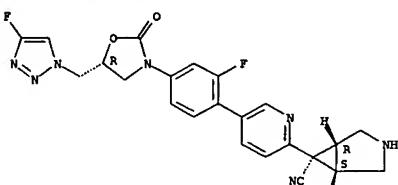
RN 831202-07-4 HCAPIUS
 CN 3-Oxabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-(2-fluoro-4-[(5R)-5-(4-fluoro-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl)-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831202-09-6 HCAPIUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-(2-fluoro-4-[(5R)-5-(4-fluoro-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-2-pyridinyl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

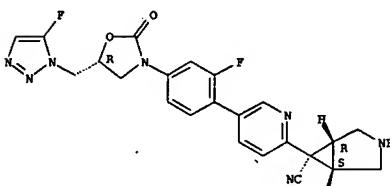
Absolute stereochemistry.



• HCl

RN 831202-11-0 HCAPIUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-(2-fluoro-4-[(5R)-5-(5-fluoro-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-2-pyridinyl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

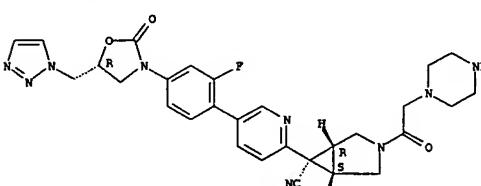
Absolute stereochemistry.



• HCl

RN 831202-13-2 HCAPIUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-3-(1-piperazinylacetyl)-, dihydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

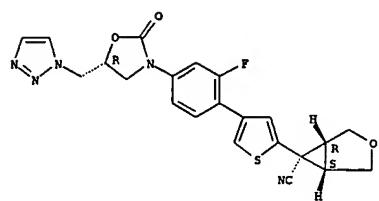
Absolute stereochemistry.



• HCl

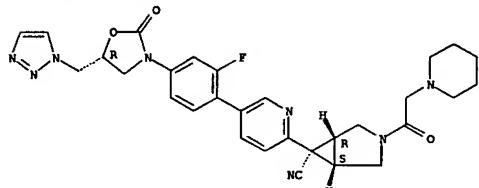
RN 831202-14-3 HCAPIUS
 CN 3-Oxabicyclo[3.1.0]hexane-6-carbonitrile, 6-[4-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-thienyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831202-15-4 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-(1-piperidinylacetyl)-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

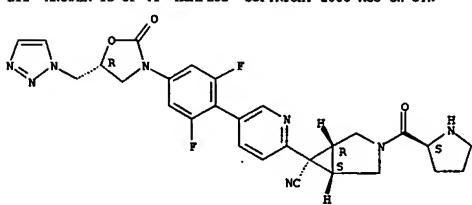


RN 831202-16-5 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-(1-pyrrolidinylacetyl)-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



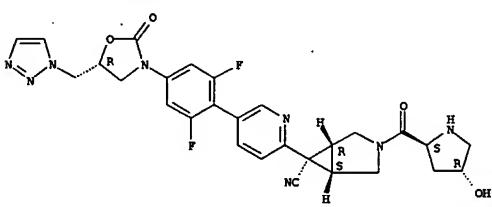
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● HCl

RN 831202-19-8 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-[(4-hydroxy-2-pyrrolidinyl)carbonyl]-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



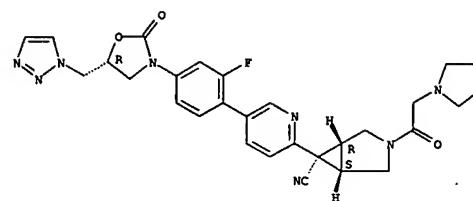
● HCl

RN 831202-20-1 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-[(4-fluoro-2-pyrrolidinyl)carbonyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

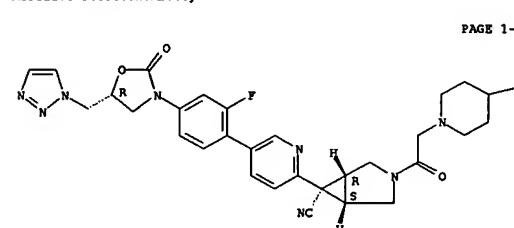


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RN 831202-17-6 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-[[4-(dimethylamino)-1-piperidinyl]acetyl]-6-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

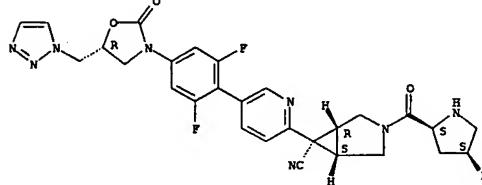


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—NMe₂

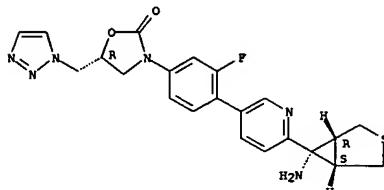
RN 831202-18-7 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-(2-pyrrolidinylcarbonyl)-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



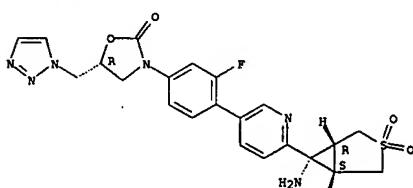
RN 831202-21-2 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[(1a,5a,6b)-6-amino-3-thiabicyclo[3.1.0]hex-6-yl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831202-22-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[(1a,5a,6b)-6-amino-3,3-dioxido-3-thiabicyclo[3.1.0]hex-6-yl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

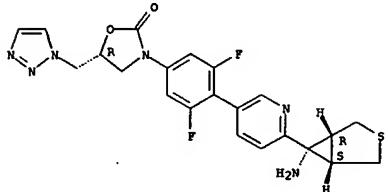
Absolute stereochemistry.



L12 ANSWER 13 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

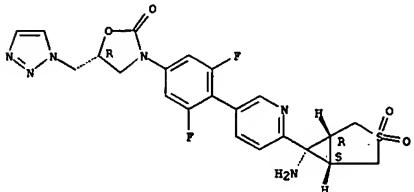
RN 831202-23-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[{(1a,5a,6b)-6-amino-3-thiabicyclo[3.1.0]hex-6-yl}-3-pyridinyl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831202-24-5 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[{(1a,5a,6b)-6-amino-3,3-dioxido-3-thiabicyclo[3.1.0]hex-6-yl}-3-pyridinyl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

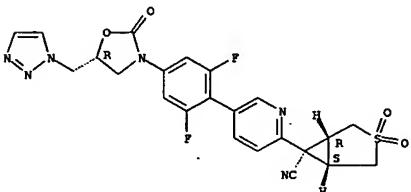
Absolute stereochemistry.



RN 831202-27-8 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-[4-methyl-1-piperazinyl]acetyl-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

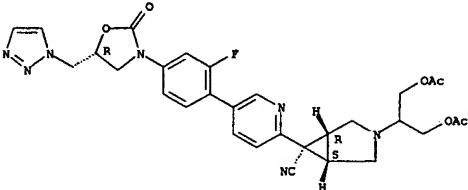
Absolute stereochemistry.

L12 ANSWER 13 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 831202-32-5 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-[2-(acetoxy)-1-[(acetoxy)methyl]ethyl]-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

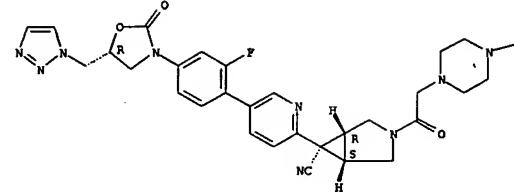


RN 831202-33-6 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-[(1S,3R,4S)-1-azabicyclo[2.2.1]hept-3-ylcarbonyl]-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 13 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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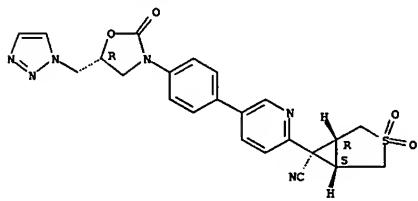


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RN 831202-29-0 HCAPLUS
 CN 3-Thiabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl-, 3,3-dioxide, (1a,5a,6b)- (9CI) (CA INDEX NAME)

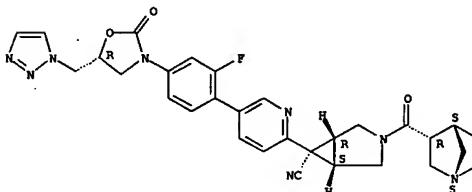
Absolute stereochemistry.



RN 831202-31-4 HCAPLUS
 CN 3-Thiabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, 3,3-dioxide, (1a,5a,6b)- (9CI) (CA INDEX NAME)

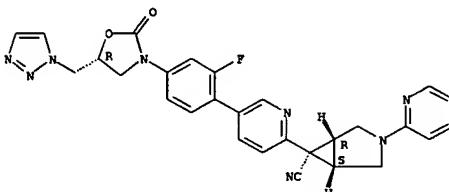
Absolute stereochemistry.

L12 ANSWER 13 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



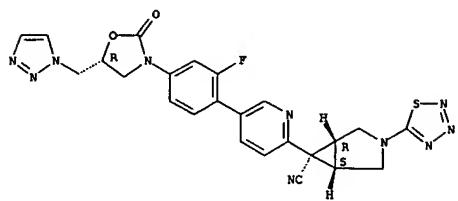
RN 831202-34-7 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-(2-pyridinyl)-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



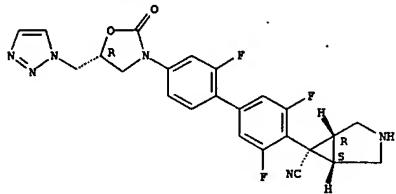
RN 831202-37-0 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-(1,2,3,4-thiatriazol-5-yl)-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



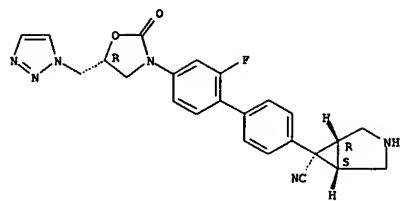
RN 831202-42-7 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[2',3,5-trifluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



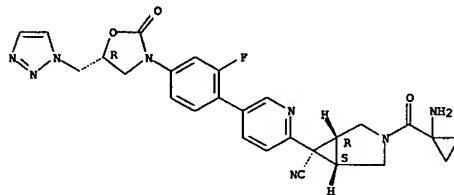
RN 831202-45-0 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[2'-fluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



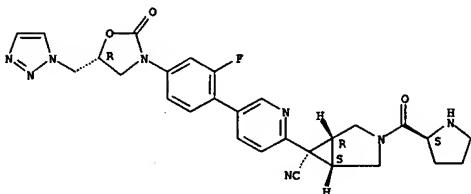
RN 831202-53-0 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 3-[(1-aminocyclopropyl)carbonyl]-6-[5-(2-fluoro-4-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



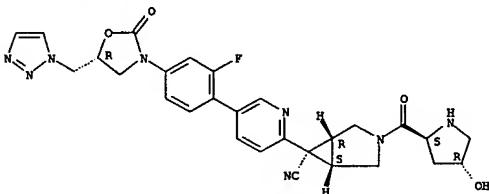
RN 831202-57-4 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-[(2S)-2-pyrrolidinylcarbonyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



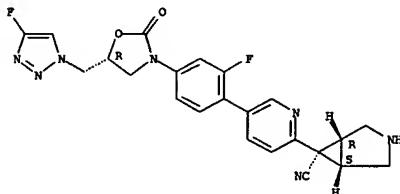
RN 831202-59-6 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-[(2S,4R)-4-hydroxy-2-pyrrolidinylcarbonyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



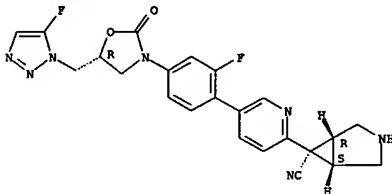
RN 831202-63-2 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-(5R)-5-[(4-fluoro-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



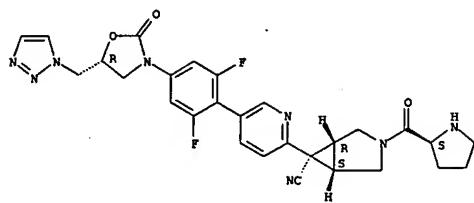
RN 831202-64-3 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-(5R)-5-[(5-fluoro-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



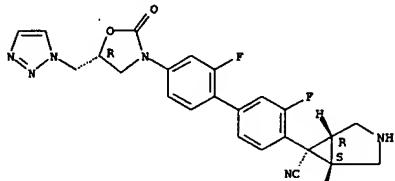
RN 831202-66-5 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2,6-difluoro-4-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-[(2S)-2-pyrrolidinylcarbonyl]-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



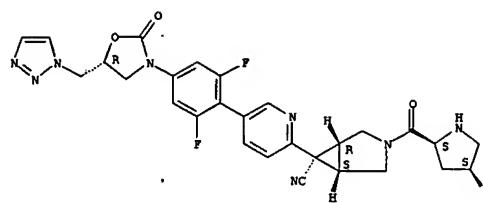
RN 831202-81-4 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[2',3-difluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl-, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831203-07-7 HCPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2,6-difluoro-4-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-[(2S,4S)-4-fluoro-2-pyrrolidinyl]carbonyl-, monohydrochloride, (1a,5a,6b)- (9CI) (CA INDEX NAME)

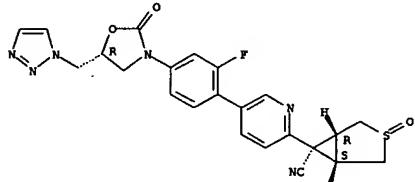
Absolute stereochemistry.



● HCl

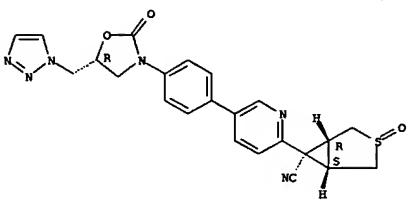
RN 831221-99-9 HCPLUS
 CN 3-Thiabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2-fluoro-4-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-oxido, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



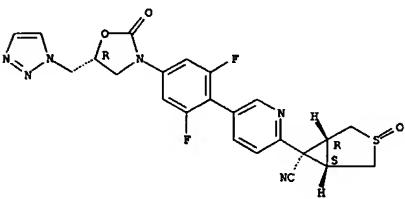
RN 831222-02-7 HCPLUS
 CN 3-Thiabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[4-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-oxido, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



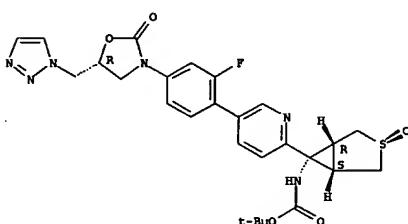
RN 831222-03-8 HCPLUS
 CN 3-Thiabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2,6-difluoro-4-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-oxido, (1a,5a,6b)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



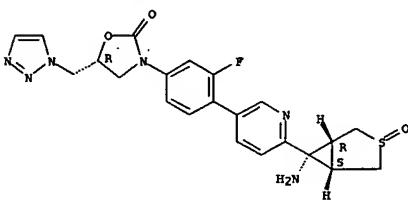
RN 831222-06-1 HCPLUS
 CN Carbamic acid, [(1a,3a,5a,6b)-6-[5-(2-fluoro-4-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]-2-pyridinyl]-3-oxido-3-thiabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



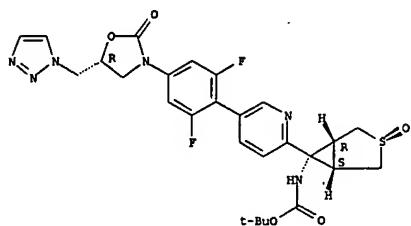
RN 831222-07-2 HCPLUS
 CN 2-Oxazolidinone, 3-[4-[6-(1a,5a,6b)-6-amino-3-oxido-3-thiabicyclo[3.1.0]hex-6-yl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



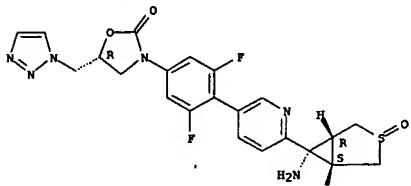
RN 831222-10-7 HCPLUS
 CN Carbamic acid, [(1a,3a,5a,6b)-6-[5-[2,6-difluoro-4-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-oxido-3-thiabicyclo[3.1.0]hex-6-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831222-11-8 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-[6-[(1a,5a,6b)-6-amino-3-oxido-3-thiabicyclo[3.1.0]hex-6-yl]-3-pyridinyl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

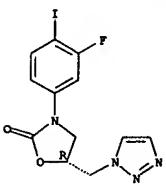
Absolute stereochemistry.



IT 831202-60-9P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (Intermediate; preparation of cyclopropyl-oxazolidinones as antibiotics)
 RN 831202-60-9 HCAPIUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[(1a,5a,6b)-6-cyano-6-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-3-oxabicyclo[3.1.0]hex-3-yl]carbonyl]-4-fluoro-, 1,1-dimethylethyl ester, (25,45)- (9CI) (CA INDEX NAME)

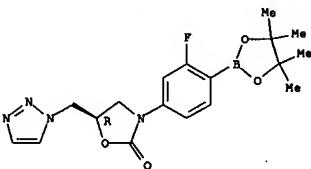
Absolute stereochemistry.

Absolute stereochemistry.



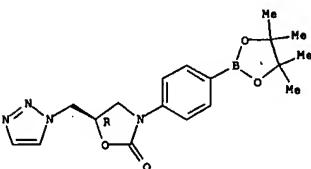
RN 700370-33-8 HCAPIUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

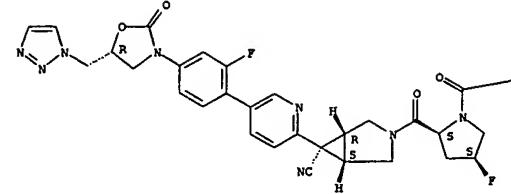


RN 827628-21-7 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



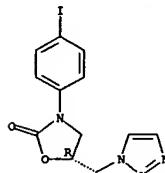
RN 827628-35-3 HCAPIUS
 CN 2-Oxazolidinone, 3-[3,5-difluoro-4-iodophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)



-OBu-t

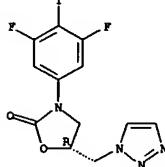
IT 501939-70-4P 501939-95-3P 700370-33-8P
 827628-21-7P 827628-35-3P 831203-03-3P
 831203-04-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (Intermediate; preparation of cyclopropyl-oxazolidinones as antibiotics)
 RN 501939-70-4 HCAPIUS
 CN 2-Oxazolidinone, 3-(4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



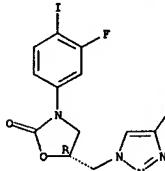
RN 501939-95-3 HCAPIUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



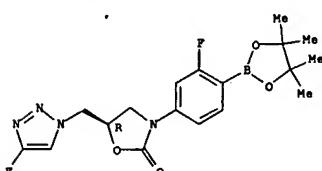
RN 831203-03-3 HCAPIUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-[(4-fluoro-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831203-04-4 HCAPIUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4,4,5,5-tetramethyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

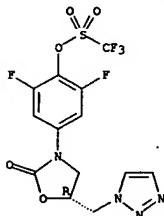
Absolute stereochemistry.



IT 831202-93-8 831203-02-2
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of cyclopropyl-oxazolidinones as antibiotics)

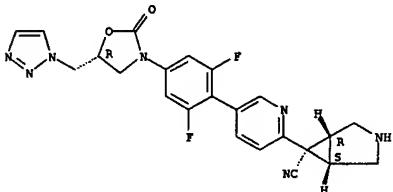
L12 ANSWER 13 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 031202-93-8 HCAPLUS
 CN Methanesulfonic acid, trifluoro-, 2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 831203-02-2 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane-6-carbonitrile, 6-[5-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-, (1a,5a,6B)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 831203-05-5P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of cyclopropyl-oxazolidinones as antibiotics)
 RN 831203-05-5 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-[(5-fluoro-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 04 Jan 2005
 AB Oxazolidinones represent a new and promising class of antibacterial agents. Current research in this area is mainly concentrated on improving the safety profile and the antibacterial spectrum. Many oxazolidinones, including linezolid (marketed as Zyvox), are inhibitors of monoamine oxidase A (MAO-A), which presents an undesired side effect. Recently, it was found that the 1,2,3-triazole is a good replacement for the conventional acetamide functionality found in oxazolidinones. The authors now disclose the finding that 1,2,3-triazoles bearing a substituent like Me, small substituted Me, bromo, or a linear (sp-hybridized) group at the 4 position are good antibacterials with reduced or no activity, within the detection limit of the assay, against MAO-A. The results are especially promising for the development of oxazolidinones with an improved safety profile. The MAO-A SAR can be rationalized on the basis of docking studies to a MAO-A/MAO-homol. model.

ACCESSION NUMBER: 20053760 HCAPLUS

DOCUMENT NUMBER: 1421211423

TITLE: Identification of 4-Substituted 1,2,3-Triazoles as Novel Oxazolidinone Antibacterial Agents with Reduced Activity against Monoamine Oxidase A

AUTHOR(S): Reck, Folkert; Zhou, Fei; Girardot, Marc; Kern, Gunther; Eyermann, Charles J.; Hales, Neil J.; Ramsay, Ron; R.; Gravestock, Michael B.

CORPORATE SOURCE: AstraZeneca Discovery, AstraZeneca R&D Boston,

SOURCE: Waltham, MA, 02451, USA
Journal of Medicinal Chemistry (2005), 48 (2), 499-506
CODEN: JMCHAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:211423

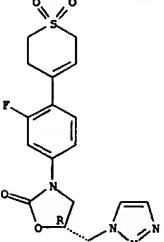
IT 373195-02-7
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); BIO (Biological study)

(Identification of 4-substituted 1,2,3-triazoles as novel oxazolidinone antibacterial agents with reduced activity against monoamine oxidase A)

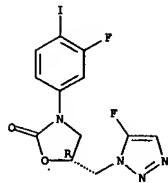
RN 373195-02-7 HCAPLUS

CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

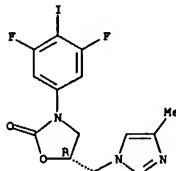


L12 ANSWER 13 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



IT 827628-34-2P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of cyclopropyl-oxazolidinones as antibiotics)
 RN 827628-34-2 HCAPLUS
 CN 2-Oxazolidinone, 3-(3,5-difluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5A)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

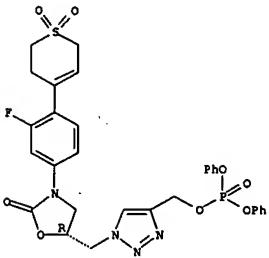
IT 591253-34-8P 591253-50-8P 591253-54-2P

RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); RCT (Reactant); SPN (Synthetic preparation); BIO (Biological study); PREP (Preparation); RACT (Reactant or reagent)
 (identification of 4-substituted 1,2,3-triazoles as novel oxazolidinone antibacterial agents with reduced activity against monoamine oxidase A)

RN 591253-34-8 HCAPLUS

CN Phosphoric acid, 1-[(5R)-3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)methyl diphenyl ester (9CI) (CA INDEX NAME)

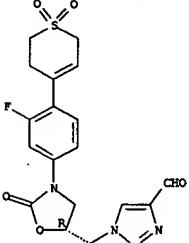
Absolute stereochemistry.



IT 591253-50-8
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); BIO (Biological study)

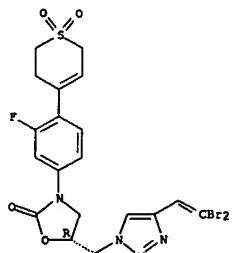
CN 1H-1,2,3-Triazole-4-carboxaldehyde, 1-[(5R)-3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



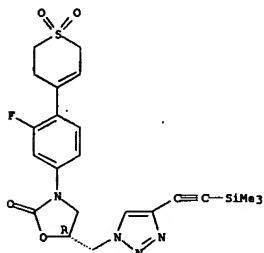
L12 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 591253-54-2 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(2,2-dibromoethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591253-95-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-(trimethylsilyl)ethynyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

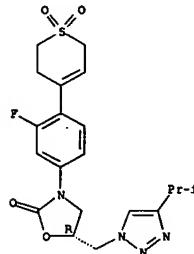
Absolute stereochemistry.



IT 591231-84-4P 591231-97-9P 591232-00-7P
 591253-26-8P 591253-36-0P 591253-60-0P
 591253-77-9P 591253-78-0P 591253-81-5P
 591253-82-6P 591253-94-0P 644493-91-0P

L12 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 844493-92-1P
 RL: ADV (Adverse effect, including toxicity); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PRSP (Preparation);
 (identification of 4-substituted 1,2,3-triazoles as novel oxazolidinone antibacterial agents with reduced activity against monoamine oxidase A)
 RN 591231-84-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-(1-methylethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591231-97-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-ethyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

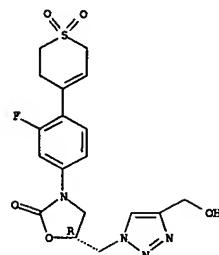
RN 591232-00-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 591253-26-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-(hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

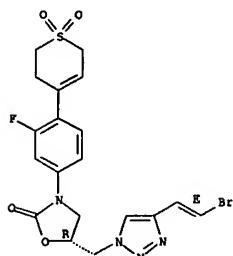
L12 ANSWER 14 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



Absolute stereochemistry.

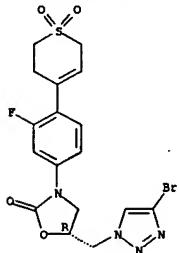
RN 591253-26-0 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-[(1E)-2-bromoethyl]-1H-1,2,3-triazol-1-yl)methyl]-3-(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



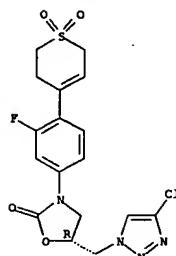
RN 591253-77-9 HCAPIUS
 CN 2-Oxazolidinone, 5-[(4-bromo-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



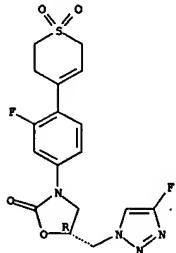
RN 591253-78-0 HCAPIUS
 CN 2-Oxazolidinone, 5-[(4-chloro-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



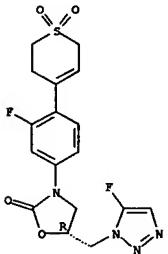
RN 591253-81-5 HCAPIUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-fluoro-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



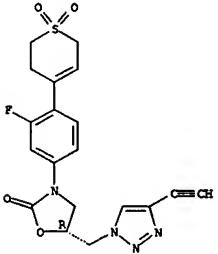
RN 591253-82-6 HCAPIUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(5-fluoro-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



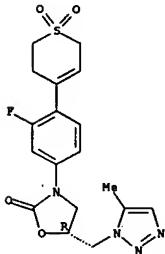
RN 591253-94-0 HCAPIUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-ethynyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



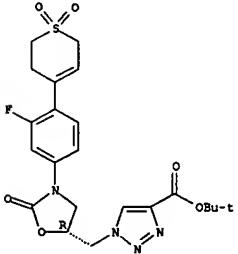
RN 844493-91-0 HCAPIUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(5-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



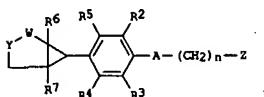
RN 844493-92-1 HCAPIUS
 CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[(5R)-3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-2-oxo-5-oxazolidinyl)methyl]-, 1,1-dimethyl-ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

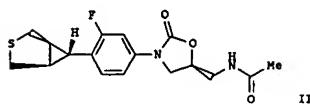


REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 15 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 21 Oct 2004
 GI



I



II

AB Title compds. I [A = oxazolyl, isoxazolyl, etc.], n = 0-1, Y = SOO-2, O, amino, Z = formyl, thiomethyl, acyl, etc.; Y = CH2, CO, oximino, etc.; R1 = H, OH, amino, etc.; R2-3 = H, F; R4-5 = H, Cl, F, Me, NH2, OH; R6-7 = H, alkyl are prepared. For example, II is prepared in 9 steps from 2-fluoro-4-nitrobenzaldehyde. II has MIC = 4 μ g/mL for S. aureus (UC9213). I are antibacterial agents.

ACCESSION NUMBER: 2004-872795 HCAPLUS

DOCUMENT NUMBER: 141366217

TITLE: Preparation of [3.1.0]bicyclohexylphenyloxazolidinone derivatives as antimicrobials

INVENTOR(S): Renzo, Adam Robert; Gordeev, Mikhail Fedor; Patel, Dinesh Vinoochhai; Gao, Hongwu; Josyula, Varu Prassad Venkata Nagendra

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, LLC, USA

SOURCE: PCT Int. Appl., 187 pp.

CODEN: PIXX02

DOCUMENT TYPE: Patent

LANGUAGE: English

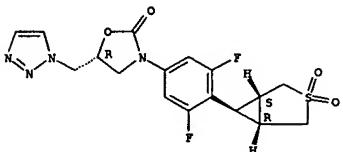
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004089943	AI	20041021	WO 2004-181135	20040330
WO 2004089943	CL	20050929		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GR, HR, HU, ID, IL, IN, IS, JP, KK, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZV, RW: BW, GH, GR, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,			

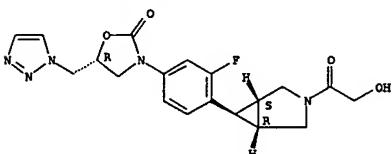
L12 ANSWER 15 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 thiabicyclo[3.1.0]hex-6-yl]-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



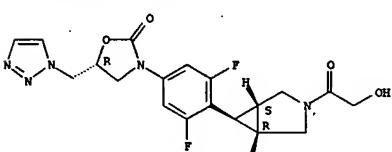
RN 777089-15-3 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane, 6-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-(hydroxymethyl)-, (1a,5a,6a)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 777089-16-4 HCAPLUS
 CN 3-Azabicyclo[3.1.0]hexane, 6-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-(hydroxymethyl)-, (1a,5a,6a)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 777089-17-5 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[(1a,5a,6a)-3-oxabicyclo[3.1.0]hex-6-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Page 7429/11/2005

L12 ANSWER 15 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BP, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005192325 A1 20050901 US 2004-815589 20040401
 PRIORITY APPLN. INFO.: US 2003-461134P P 20030409

OTHER SOURCE(S): MARPAT 141:366217

IT 777089-83-2P 777089-13-1P 777089-14-2P

777089-15-3P 777089-16-4P 777089-17-5P

777089-18-6P 777089-23-3P 777089-24-4P

780766-88-3P 780766-89-4P

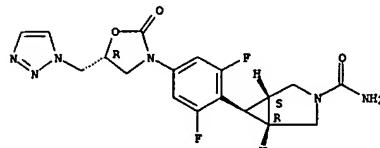
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of [3.1.0]bicyclohexylphenyloxazolidinone derivs. as antimicrobials)

RN 777089-83-2 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane-3-carboxamide, 6-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, (1R,5S)- (9CI) (CA INDEX NAME)

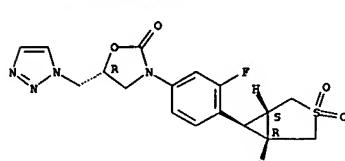
Absolute stereochemistry.



RN 777089-13-1 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[(1a,5a,6a)-3,3-dioxido-3-thiabicyclo[3.1.0]hex-6-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

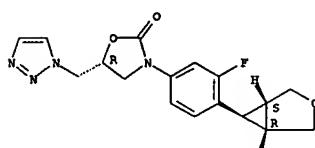


RN 777089-14-2 HCAPLUS

CN 2-Oxazolidinone, 3-[4-[(1a,5a,6a)-3,3-dioxido-3-

L12 ANSWER 15 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

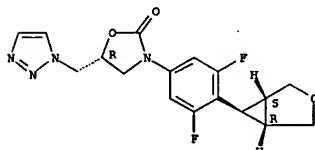
Absolute stereochemistry.



RN 777089-15-3 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[(1a,5a,6a)-3-oxabicyclo[3.1.0]hex-6-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

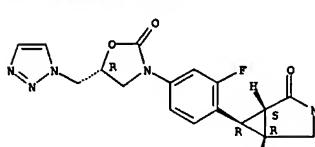
Absolute stereochemistry.



RN 777089-16-4 HCAPLUS

CN 3-Azabicyclo[3.1.0]hexane, 6-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-(hydroxymethyl)-, (1S,5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

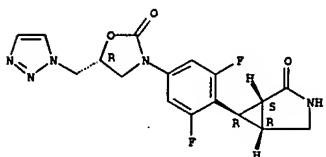


RN 777089-17-5 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[(1a,5a,6a)-3-oxabicyclo[3.1.0]hex-6-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

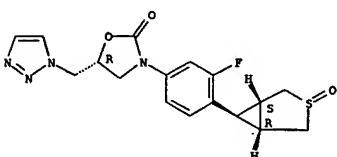
Absolute stereochemistry.

L12 ANSWER 15 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



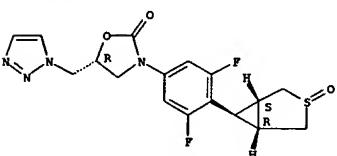
RN 780766-88-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-((1e,5e,6b)-3-oxido-3-thiabicyclo[3.1.0]hex-6-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

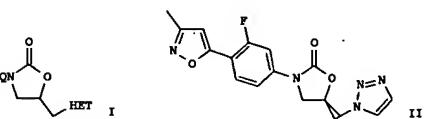


RN 780766-89-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[3,5-difluoro-4-((1e,5e,6b)-3-oxido-3-thiabicyclo[3.1.0]hex-6-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 777090-03-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of [3.1.0]bicyclohexylphenyloxazolidinone derivs. as

L12 ANSWER 16 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 30 Sep 2004
 GI

AB Title compds. [I; HET = pyrazolyl, imidazolyl, triazolyl, tetrazolyl; Q = (substituted) azolylphenyl, azolylpyridinyl, azolylloxazolyl, acetylazolyl, etc.], were prepared. Thus, (R)-3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given), (PbH2)2PbCl2, and 5-*n*-butylstannyl-3-methylisoxazole were heated together at 100°C in dioxane for 16 h to give title compound (II). II showed a min. inhibitory concentration of 1 µg/ml against *Staphylococcus aureus* MSQS (methicillinone resistant and quinolone resistant).

ACCESSION NUMBER: 2004-799584 HCAPLUS

DOCUMENT NUMBER: 141-286028

TITLE: Preparation of azolylmethyloxazolidinones as antibiotics

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene

PATENT ASSIGNEE(S): AstraZeneca AB, Swe.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXX02

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200403206	A1	2004-03-16	WO 2004-GB1132	2004-03-16
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KW, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MV, HK, HZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZA, ZF, ZW				
BY, GH, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BB, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BY, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, HL, MR, NZ, SN, TD, TG				

PRIORITY APPLN. INFO.: MARPAT 141-296028
 GB 2003-6357 A 20030320
 OTHER SOURCE(S): 765286-96-2P 765286-97-3P 765286-98-4P
 765286-99-5P 765287-00-1P 765287-01-2P
 765287-02-3P 765287-03-4P 765287-04-5P
 765287-05-6P 765287-06-7P 765287-19-1P

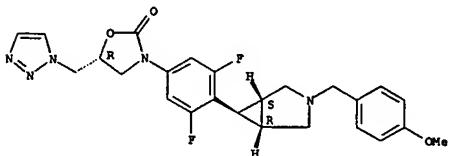
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USE5 (Uses)

L12 ANSWER 15 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 777090-03-6 HCAPLUS

CN 2-Oxazolidinone, 3-[3,5-difluoro-4-((1e,5e,6b)-3-[(4-methoxyphenyl)methyl]-3-azabicyclo[3.1.0]hex-6-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



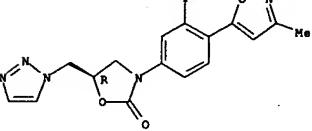
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 (prepn. of azolylmethyloxazolidinones as antibacterials)

RN 765286-96-2 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(3-methyl-5-isoxazolyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

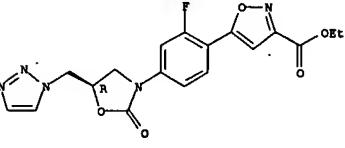
Absolute stereochemistry.



RN 765286-97-3 HCAPLUS

CN 3-Isoxazolecarboxylic acid, 5-[2-fluoro-4-((5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]-, ethyl ester (9CI) (CA INDEX NAME)

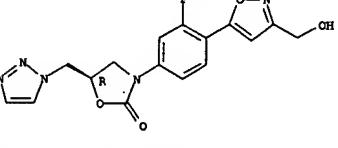
Absolute stereochemistry.



RN 765286-98-4 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-[(3-hydroxymethyl)-5-isoxazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

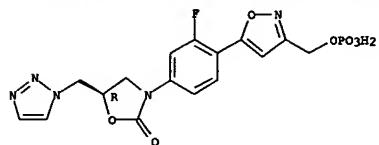
Absolute stereochemistry.



RN 765286-99-5 HCAPLUS

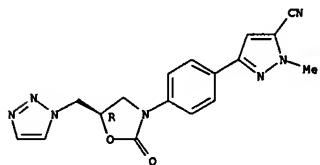
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(4-phosphonoxy)methyl]-5-isoxazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



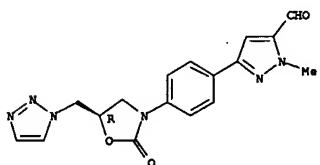
RN 765287-00-1 HCAPLUS
 CN 1H-Pyrazole-5-carbonitrile, 1-methyl-3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



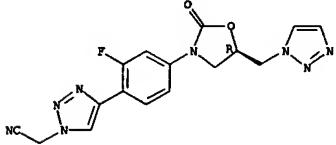
RN 765287-01-2 HCAPLUS
 CN 1H-Pyrazole-5-carboxaldehyde, 1-methyl-3-[4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



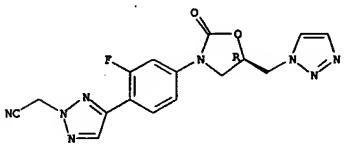
RN 765287-02-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



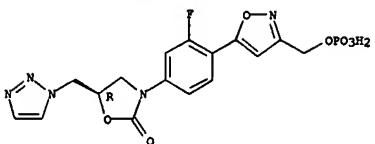
RN 765287-06-7 HCAPLUS
 CN 2H-1,2,3-Triazole-2-acetonitrile, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 765287-18-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[3-[(phosphonoxy)methyl]-5-isoxazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, disodium salt, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 Na

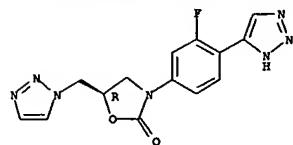
IT 501939-98-3P 765287-07-8P 765287-15-8P

765287-16-8P 765287-17-0P

RL: RCT (Reactant), SPN (Synthetic preparation), PREP (Preparation), RACT (Reactant or reagent)
 (preparation of oxazolymethyloxazolidinones as antibiotics)

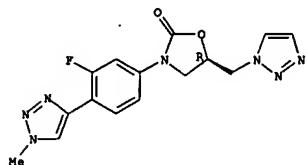
RN 501939-99-3 HCAPLUS

CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-



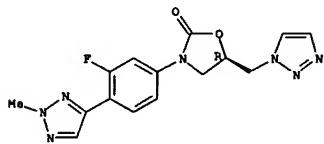
RN 765287-03-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1-methyl-1H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 765287-04-5 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(2-methyl-2H-1,2,3-triazol-4-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

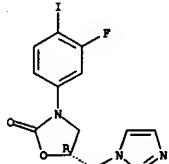


RN 765287-05-6 HCAPLUS
 CN 1H-1,2,3-Triazole-1-acetonitrile, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

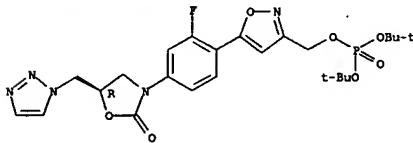
, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



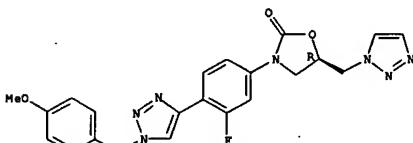
RN 765287-07-8 HCAPLUS
 CN Phosphoric acid, bis(1,1-dimethylethyl)[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



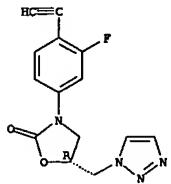
RN 765287-15-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[1-[(4-methoxyphenyl)methyl]-1H-1,2,3-triazol-4-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



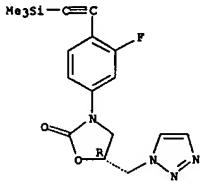
RN 765287-16-9 HCAPLUS
 CN 2-Oxazolidinone, 3-(4-ethynyl-3-fluorophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

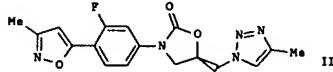
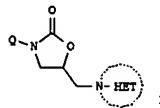


RN 765287-17-0 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-((trimethylsilyl)ethynyl)phenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB Title compds. represented by the formula I [wherein N-HET = (un)substituted 1-pyrazolyl, 1-imidazolyl, 1,3,2-triazol-1-yl, etc.; Q = (un)substituted heteroaryl Ph, pyridinyl, thiaryl, etc.; and pharmaceutically acceptable salts or an in-vivo hydrolyzable ester thereof] were prepared as MAO-A (mono-amine oxidase) inhibitors. For example, coupling reaction of (SR)-3-(3-Fluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one with 5-(tributylstannyl)-3-methylisoxazole gave II. II showed decreased MAO-A potency with K_i value of $21 \mu\text{M}$ /ml. Thus, I and their pharmaceutical compns. are useful as antibacterial agents.

ACCESSION NUMBER: 20040930 HCAPLUS

DOCUMENT NUMBER: 141:314336

TITLE: Preparation of 1,3-oxazolidin-2-one derivatives as antibacterial agents

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Hauck, Sheila Irene

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXD02

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004083205	A1	20040930	WO 2004-GB1119	20040316
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SK, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, ES,				

PRIORITY APPLN. INFO.: GB 2003-6358 A 20030320

OTHER SOURCE(S): MARPAT 141:314336

IT 765912-32-1P 765912-34-3P 765912-36-5P

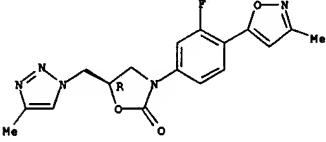
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES: (Uses)

(preparation of 1,3-oxazolidin-2-one derivs. as MAO-A inhibitors)

RN 765912-32-1 HCAPLUS

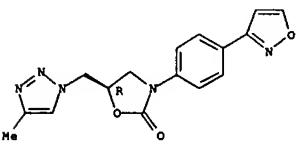
CN 2-Oxazolidinone, 3-[3-fluoro-4-(3-methyl-5-isoxazolyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



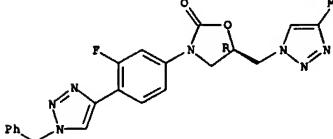
RN 765912-34-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3-isoxazolyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 765912-36-5 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-[(phenylmethyl)-1H-1,2,3-triazol-4-yl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 501939-98-5P 501940-28-9P 765912-54-7P

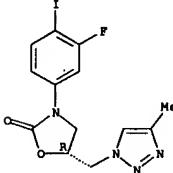
765912-55-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation of 1,3-oxazolidin-2-one derivs. as MAO-A inhibitors)

RN 501939-98-6 HCAPLUS

CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

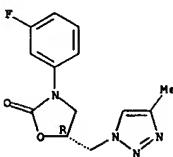
Absolute stereochemistry.



RN 501940-28-9 HCAPLUS

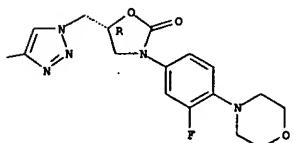
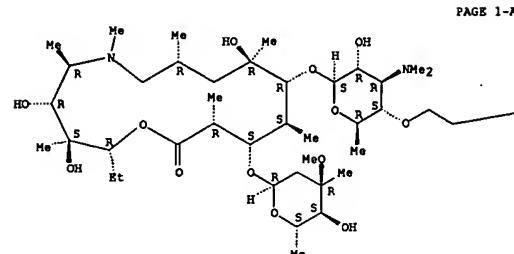
CN 2-Oxazolidinone, 3-(3-fluorophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



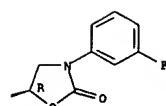
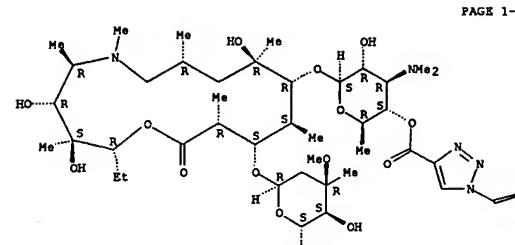
RN 765912-54-7 HCAPLUS

CN 2-Oxazolidinone, 3-(4-ethynyl-3-fluorophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

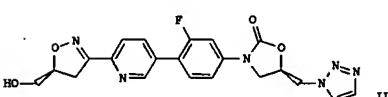
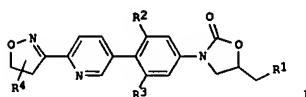


RN 756825-26-0 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[[3,6-dideoxy-3-(dimethylamino)-4-O-[[1-[(5-(3-fluorophenyl)-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl]carbonyl]-β-D-glucopyranosyl]oxy]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-1-L-ribopyranosyl)oxy]-2-ethyl-3,4,10,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-1-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB The title compds. I [R1 = -NH(C=O)R5 or (substituted)1,2,3-Triazolyl; W = O or S; R2, R3 = H, F, Cl, Br, OMe, and Et; R5 = H, alkyl, Me, 5-halo-2-thienyl, etc.; R6 = hydroxymethyl] were prepared as antibacterial agents. For example, compound II was prepared from (SR)-3-(3-fluorophenyl)-5-hydroxymethylisoxazolidin-2-one in a multi-step synthesis. Antibacterial properties of II against several types of bacteria were determined [MIC (μg/mL): methicillin sensitive and quinolone sensitive *staphylococcus aureus* (0.25), methicillin sensitive and quinolone resistant *staphylococcus aureus* (0.5), *streptococcus pneumoniae* (0.02), *haemophilus influenzae* (4), and *Moraxella catarrhalis* (0.5)].

ACCESSION NUMBER: 2004756715 HCPLUS

DOCUMENT NUMBER: 141260739

TITLE: Preparation of hydroxymethyl dihydroisoxazole derivatives useful as antibiotic agents

INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Carcangue, Daniel Robert

PATENT ASSIGNEE(S): Astrazeneca AB, Med.; Astrazeneca UK Limited

SOURCE: PCT Int. Appl., 107 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

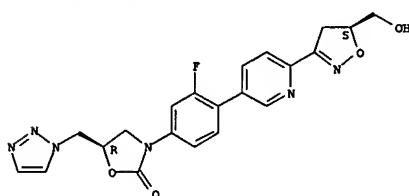
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004078753	A1	20040916	WO 2004-GB730	20040224
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GR, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, H2, NA, NI, RW, SV, TR, TW, UA, VE, YU, ZA, ZW				
RW: BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IS, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, Q, GW, HL, MR, NE, SN, TD, TG				

OTHER SOURCE(S): MARPAT 141:260739

IT 702681-58-1P RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

RN 702681-58-1 HCPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

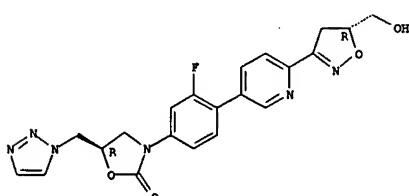
Absolute stereochemistry.



IT 756873-54-8P 756873-57-1P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

RN 756873-54-8 HCPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5R)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

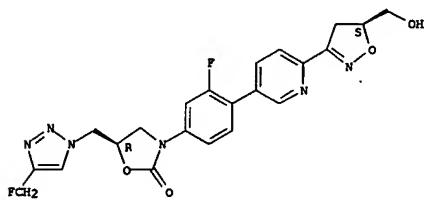
Absolute stereochemistry.



L12 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 756874-57-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl-, (5R)- (9CI) (CA INDEX NAME)

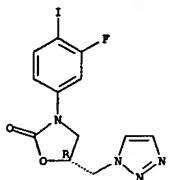
Absolute stereochemistry.



IT 501939-95-3P 700370-33-8P 700370-36-1P
 700370-37-2P 700370-39-4P 700370-40-7P
 756874-06-3P 756874-09-6P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

RN 501939-95-3 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

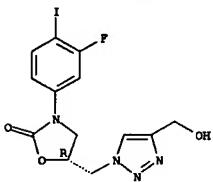


RN 700370-33-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

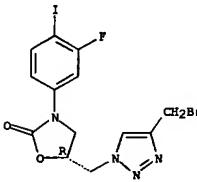
L12 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



RN 700370-40-7 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(bromomethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-(3-fluoro-4-iodophenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



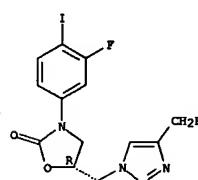
RN 756874-06-3 HCAPLUS
 CN Phosphoric acid, bis(1,1-dimethylethyl) [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

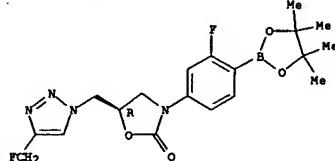
RN 700370-36-1 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



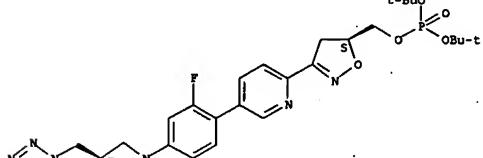
RN 700370-37-2 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



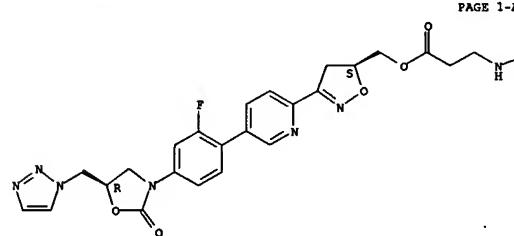
RN 700370-39-4 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-[(4-(hydroxymethyl)-1H-1,2,3-

L12 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 756874-09-6 HCAPLUS
 CN β -Alanine, N-[(1,1-dimethylethoxy)carbonyl]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A
 IT 756873-76-4P 756873-84-4P 756873-87-7P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (pro-drug; preparation of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)

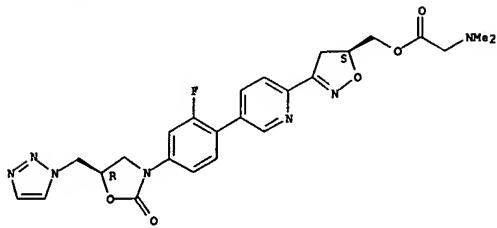
RN 756873-76-4 HCAPLUS
 CN Glycine, N,N-dimethyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-



PAGE 1-B

L12 ANSWER 19 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
isoxazolyl)methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

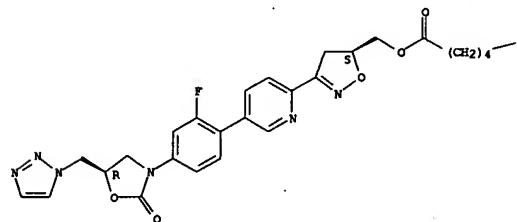


● HC1

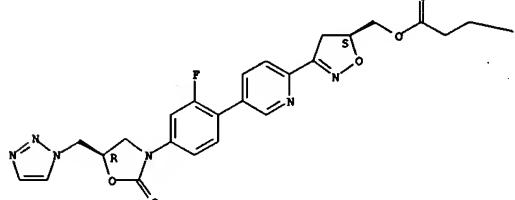
RN 756873-84-4 HCAPIUS
CN Pentanoic acid, 5-[(1,1-dimethylallyl)carbonyl]methylamino-, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-A



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~NET₂

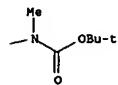
RN 702681-66-1 HCAPIUS
CN 3-Pyridinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

L12 ANSWER 19 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)

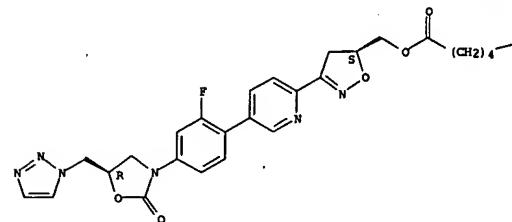
PAGE 1-B



RN 756873-87-7 HCAPIUS
CN Pentanoic acid, 5-(methylamino)-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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~NHMe

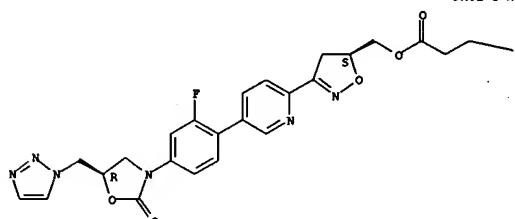
IT 702681-56-9P 702681-66-1P 702682-78-8P
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756873-61-7P 756873-62-8P 756873-63-9P
756873-64-0P 756873-65-1P 756873-66-2P
756873-67-3P 756873-68-4P 756873-69-5P
756873-70-6P 756873-71-9P 756873-72-0P
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756873-80-0P 756873-81-1P 756873-82-2P
756873-83-3P 756873-85-5P 756873-86-6P
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756873-95-7P 756873-96-8P 756873-97-9P
756873-98-0P 756873-99-1P 756874-00-7P
756874-01-8P 756874-02-9P 756874-03-0P

L12 ANSWER 19 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)

756874-04-1P 756874-05-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pro-drug; prepn. of hydroxymethyl dihydroisoxazole derivs. useful as antibiotic agents)
RN 702681-56-9 HCAPIUS
CN β -Alanine, N,N-diethyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

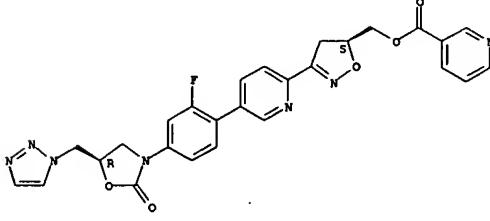
Absolute stereochemistry.

PAGE 1-A



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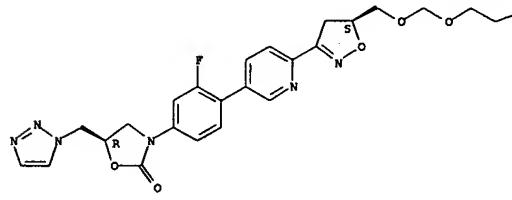
L12 ANSWER 19 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)



RN 702682-78-8 HCAPIUS
CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-methoxyethyl)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

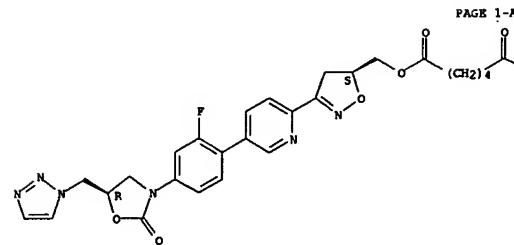
PAGE 1-A



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RN 756873-58-2 HCAPIUS
CN Hexanedioic acid, ethyl [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

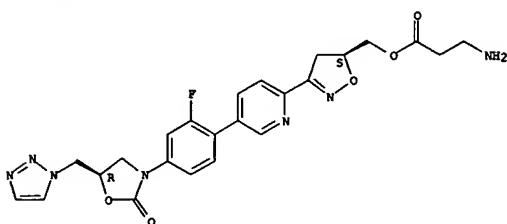


PAGE 1-B

-OEt

RN 756873-59-3 HCAPIUS
 CN β -Alanine, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



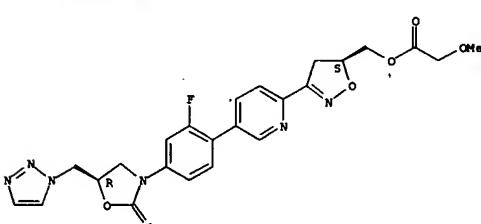
RN 756873-60-6 HCAPIUS
 CN Butanoic acid, 4-(dimethylamino)-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

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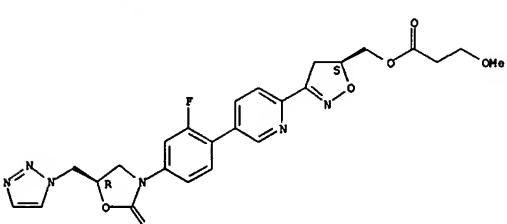
RN 756873-62-8 HCAPIUS
 CN Acetic acid, methoxy-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

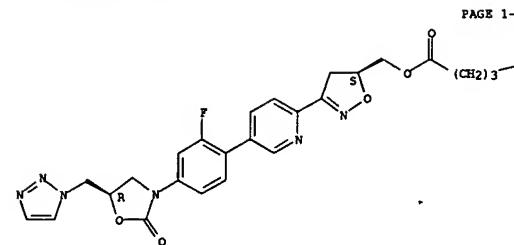


RN 756873-63-9 HCAPIUS
 CN Propanoic acid, 3-methoxy-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 756873-64-0 HCAPIUS
 CN Carbonic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-

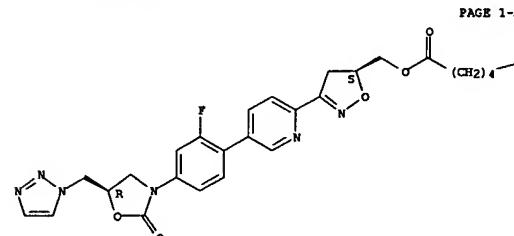


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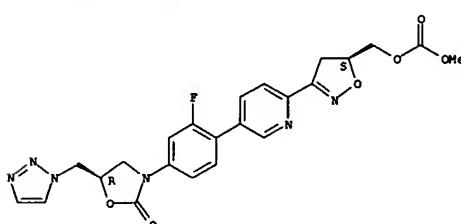
-NMe₂

RN 756873-61-7 HCAPIUS
 CN Pentanoic acid, 5-[(methoxycarbonyl)amino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

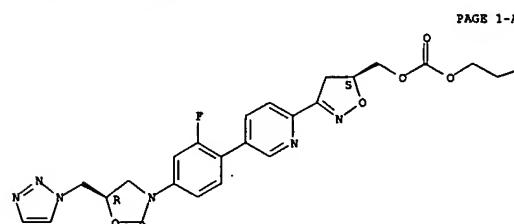


Absolute stereochemistry.



RN 756873-65-1 HCAPIUS
 CN Carbonic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl 2-methoxyethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

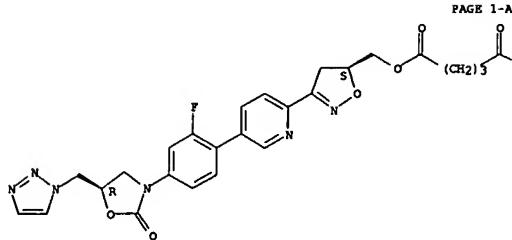


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-OMe

RN 756873-66-2 HCAPIUS
 CN Pentanedioic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

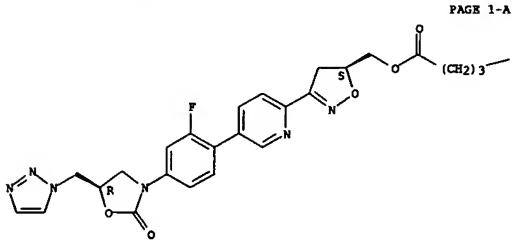


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—OMe

RN 756873-67-3 HCAPLUS
 CN Butanoic acid, 4-methoxy-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

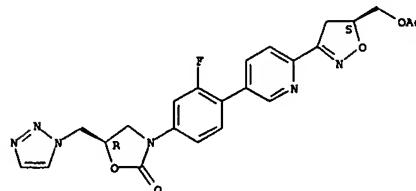


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—OMe

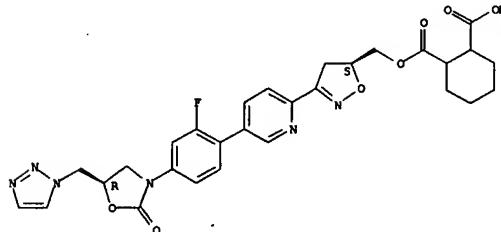
RN 756873-68-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(acetyl oxy)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



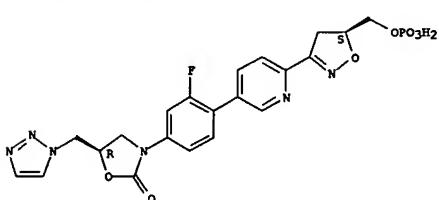
RN 756873-69-5 HCAPLUS
 CN 1,2-Cyclohexanedicarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 756873-70-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(phosphonoxy)methyl]-3-

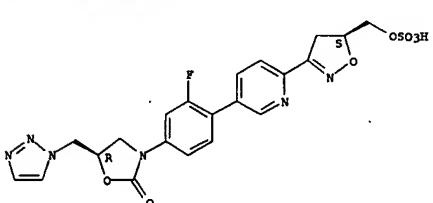
Absolute stereochemistry.



● 2 NH3

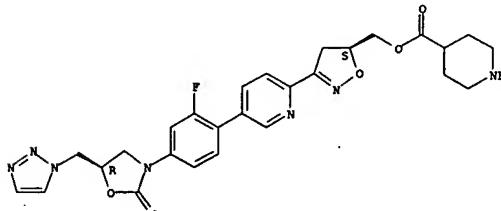
RN 756873-71-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(sulfoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



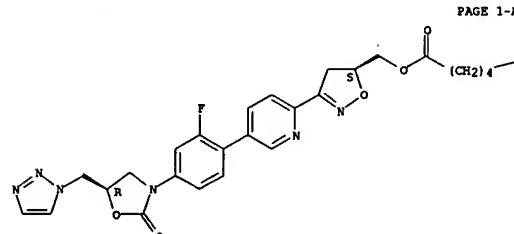
RN 756873-72-0 HCAPLUS
 CN 4-Piperidinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 756873-73-1 HCAPLUS
 CN Pentanoic acid, 5-(dimethylamino)-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

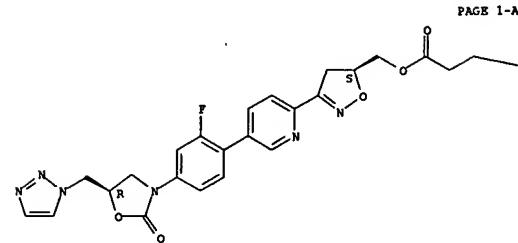


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—NMe2

RN 756873-74-2 HCAPLUS
 CN Butanedioic acid, mono[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

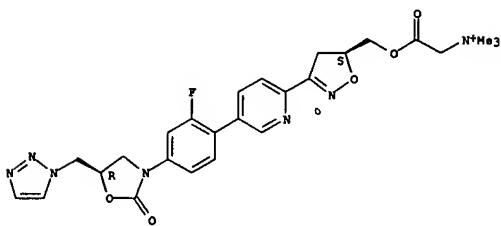
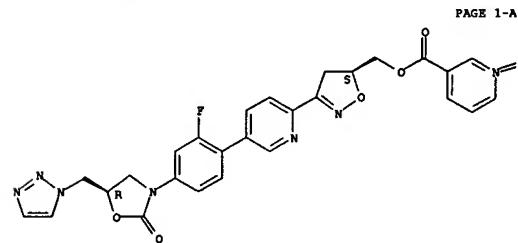


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-CO₂H

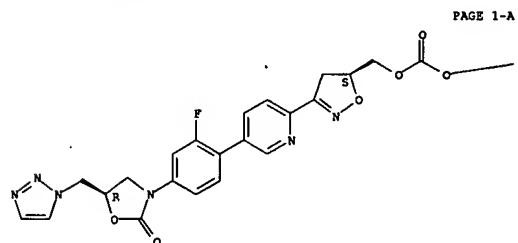
RN 756873-75-3 HCAPLUS
 CN 3-Pyridinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● Cl⁻

RN 756873-79-7 HCAPLUS
 CN Carbonic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl 3-methoxypropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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-(CH₂)₃OH₂

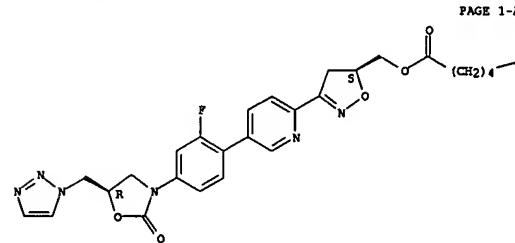
RN 756873-80-0 HCAPLUS
 CN Pyrazinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

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=>

RN 756873-77-5 HCAPLUS
 CN Pentanoic acid, 5-[(ethoxycarbonyl)amino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



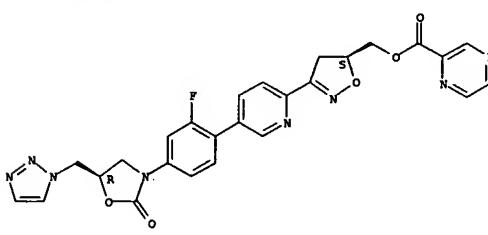
PAGE 1-B



RN 756873-78-6 HCAPLUS
 CN Ethanaminium, 2-[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy-N,N,N-trimethyl-2-oxo-, chloride (9CI) (CA INDEX NAME)

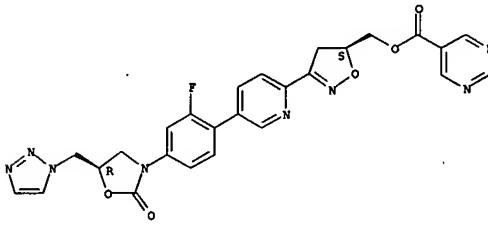
Absolute stereochemistry.

Absolute stereochemistry.



RN 756873-81-1 HCAPLUS
 CN 5-Pyrimidinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



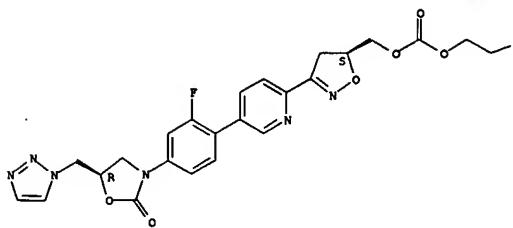
RN 756873-82-2 HCAPLUS
 CN Ethanaminium, 2-[(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methoxy-carbonyl-oxo-N,N,N-trimethyl-, chloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

-(CH₂)₃OH₂

RN 756873-80-0 HCAPLUS
 CN Pyrazinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

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● Cl-

—N+Me3

RN 756873-83-3 HCPLUS
 CN Pentanoic acid, 5-[(methoxycarbonyl)methylamino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

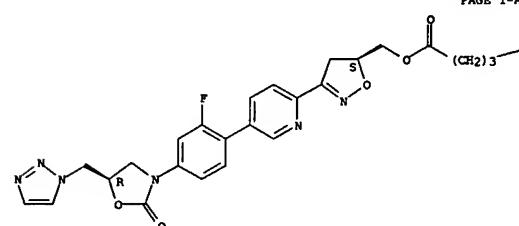
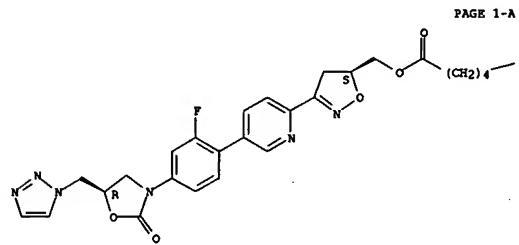
PAGE 1-B



RN 756873-95-5 HCPLUS
 CN Butanoic acid, 4-[(methoxycarbonyl)methylamino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

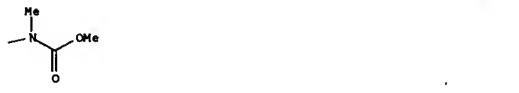
Absolute stereochemistry.

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● Cl-

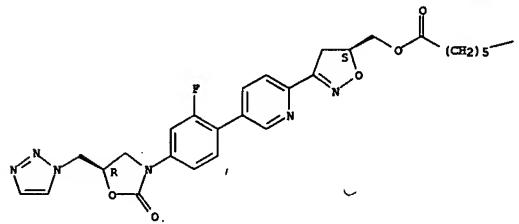
PAGE 1-A



RN 756873-86-6 HCPLUS
 CN Hexanoic acid, 6-[(methoxycarbonyl)methylamino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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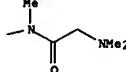
PAGE 1-B



RN 756873-88-9 HCPLUS
 CN Pentanoic acid, 5-[(dimethylamino)acetyl]methylamino]-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

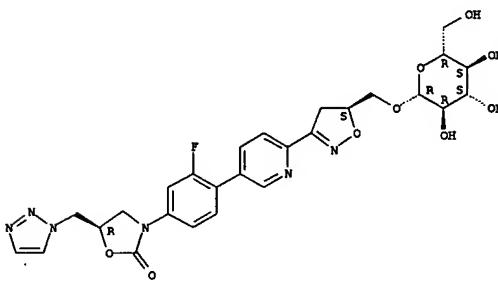
Absolute stereochemistry.

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RN 756873-89-9 HCPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[(5S)-5-[(β -D-glucopyranosyloxy)methyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

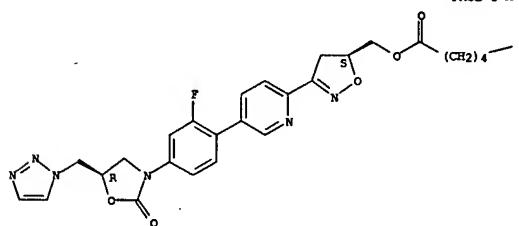
Absolute stereochemistry.

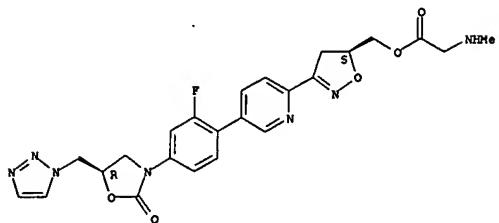


RN 756873-91-3 HCPLUS
 CN Glycine, N-methyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

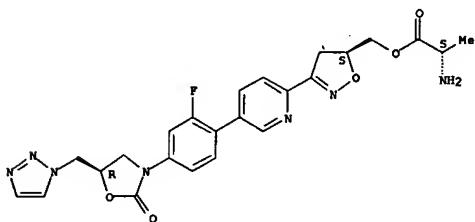
PAGE 1-A





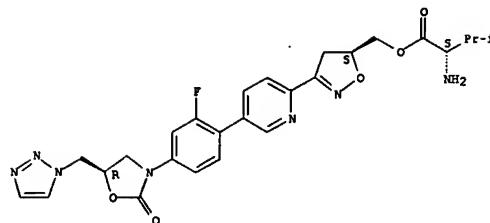
RN 756873-92-4 HCAPLUS
 CN L-Alanine, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 756873-93-5 HCAPLUS
 CN L-Valine, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

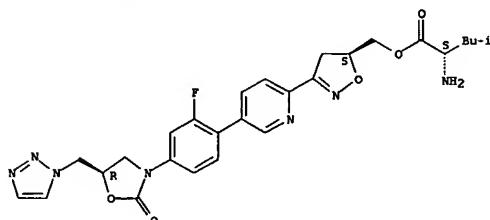
Absolute stereochemistry.



● HCl

RN 756873-94-6 HCAPLUS
 CN L-Leucine, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

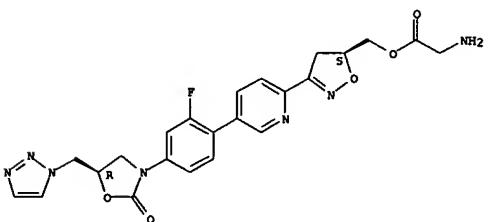
Absolute stereochemistry.



● HCl

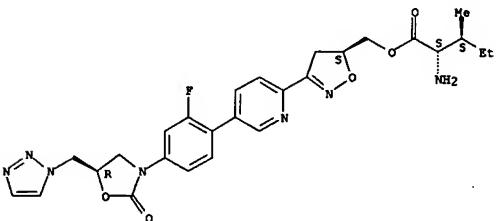
RN 756873-95-7 HCAPLUS
 CN Glycine, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 756873-96-8 HCAPLUS
 CN L-isoleucine, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

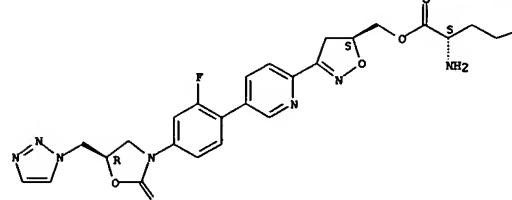
Absolute stereochemistry.



RN 756873-97-9 HCAPLUS
 CN L-Glutamine, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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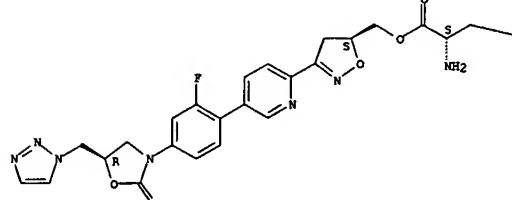
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RN 756873-98-0 HCAPLUS
 CN L-Aspartic acid, 1-[(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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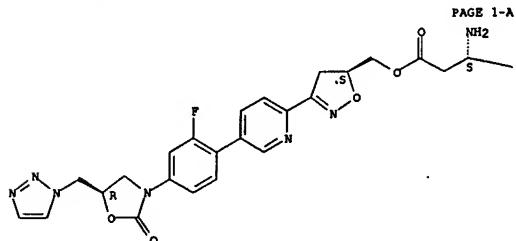


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—CO2H

L12 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 756873-99-1 HCAPLUS
 CN L-aspartic acid, 4-[(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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-CO₂H

RN 756874-00-7 HCAPLUS
 CN L-lysine, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

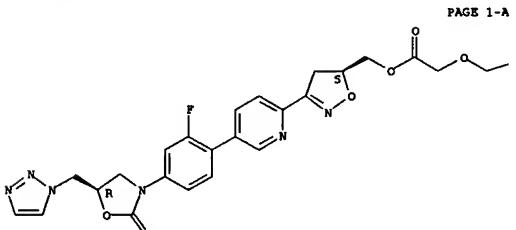
Absolute stereochemistry.



L12 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 Absolute stereochemistry.

RN 756874-03-0 HCAPLUS
 CN Acetic acid, [2-[(methoxycarbonyl)methylamino]ethoxy]-, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

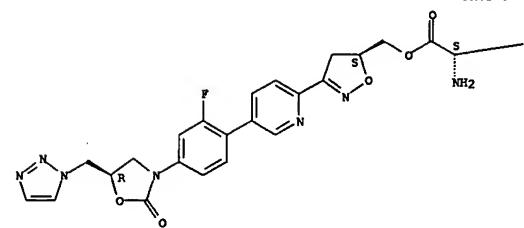
Absolute stereochemistry.



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RN 756874-04-1 HCAPLUS
 CN Acetic acid, [2-(methylamino)ethoxy]-, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-

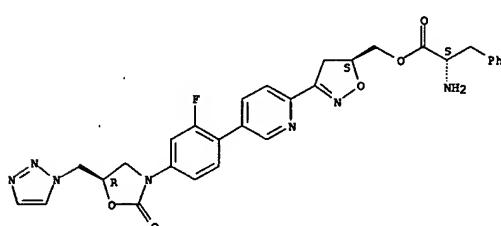
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RN 756874-01-0 HCAPLUS
 CN L-Phenylalanine, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

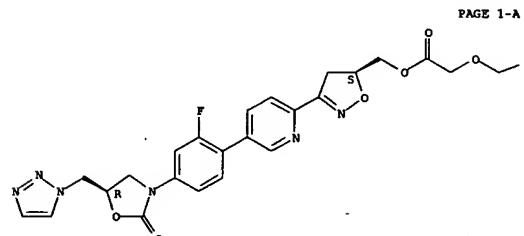


RN 756874-02-9 HCAPLUS
 CN L-Proline, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

L12 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 Absolute stereochemistry.

L12 ANSWER 19 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

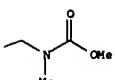


● HCl

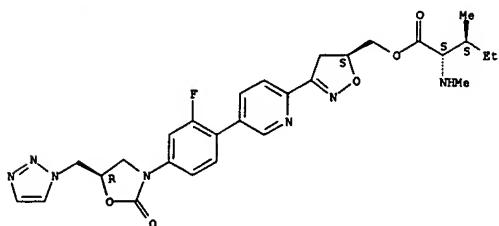
PAGE 1-B

RN 756874-05-2 HCAPLUS
 CN L-Isoleucine, N-methyl-, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 756874-04-1 HCAPLUS
 CN Acetic acid, [2-(methylamino)ethoxy]-, [(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-



● HC1

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R1-R8 = H, halo, NH2, glycylamino, (un)substituted alkony; T, O = halo, OH, (un)substituted Cl-8 alkony, (un)substituted Cl-8 alkanoxyloxy, NH2, N3, (un)substituted unstd. heterocycl, etc.], useful for controlling (drug-resistant) bacteria, are prepared by conversion of 3-(substituted phenyl)-2-oxooxazolidines II (R1-R4, O = same as above; X = iodine, Br, Cl, haloalkylsulfonyloxy, diaryl phosphate residue) into 3-(boron-substituted phenyl)-2-oxooxazolidines II (R1-R4, O = same as above; X = BrOR10, R9-R10 = OH, Cl-8 linear alkyl(oxy), Cl-8 branched alkyl(oxy) may be linked], then coupling with oxazolidinylbenzenes III (R5-R8, T = same as above; Y = iodine, Br, Cl, haloalkylsulfonyloxy, diaryl phosphate residue). Thus, coupling of 3-(3-fluoro-4-iodophenyl)-2-oxo-5-(R)-phthalimidomethylloxazolidine with 5(S)-acetamidomethyl-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-2-oxooxazolidine in the presence of K phosphite and tetrakis(triphenylphosphine)palladium in DMF gave the corresponding biphenyl compound with 66% yield, which showed MIC of 2 μ g/mL against methicillin-resistant *Staphylococcus aureus*.

ACCESSION NUMBER: 20041588234 HCAPLUS

DOCUMENT NUMBER: 1411140417

TITLE: Preparation of novel biphenyls, their intermediates, and their use as bactericides

INVENTOR(S): Shikawa, Sojiro; Ishikawa, Makoto; Yanagisawa, Yumiko; Kawaguchi, Masami; Fujita, Toshiaki; Hashashi, Kazuhiko; Yoshida, Satoshi

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 185 pp.

CODEN: JKOGAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004203809	A2	20040722	JP 2002-376218	20021226
PRIORITY APPLN. INFO.:			JP 2002-376218	20021226

OTHER SOURCE(S): MARPAT 141:140417

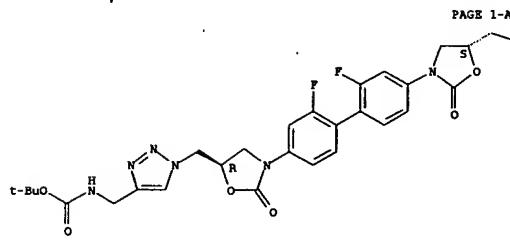
IT 724793-19-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (oxazolidinyl)biphenyls as bactericides for (drug-resistant) bacteria)

RN 724793-19-5 HCAPLUS

CN Carbamic acid, [(1-[(5R)-3-[4-[(5S)-5-[(acetylamino)methyl]-2-oxo-3-oxazolidinyl]-2,2'-difluoro[1,1'-biphenyl]-4-yl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

-NHAc

IT 724793-94-3P 724793-13-9P 724793-15-1P

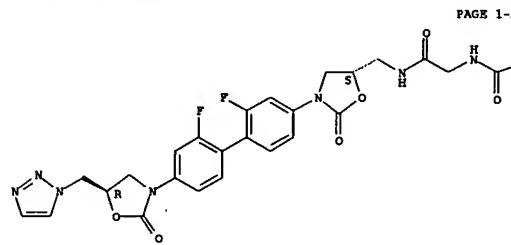
724793-20-8P 724793-78-6P 724793-79-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of (oxazolidinyl)biphenyls as bactericides for (drug-resistant) bacteria)

RN 724793-94-3 HCAPLUS

CN Acetamide, N-[(5S)-3-[2,2'-difluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

-OBu-t

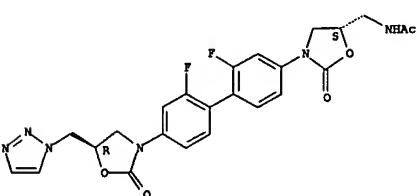
RN 724793-15-1 HCAPLUS

CN Acetamide, 2-amino-N-[(5S)-3-[2,2'-difluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-2-oxo-5-oxazolidinyl)methyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

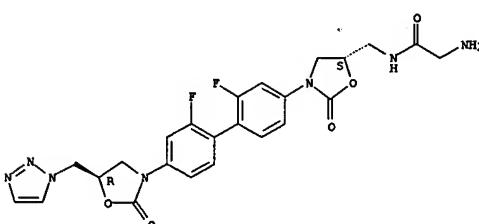
CRN 724793-14-0
CHF C24 H23 F2 N7 O5

Absolute stereochemistry.



RN 724793-13-9 HCAPLUS

CN Carbamic acid, [2-[(5S)-3-[2,2'-difluoro-4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-2-oxo-5-oxazolidinyl)methyl]amino]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



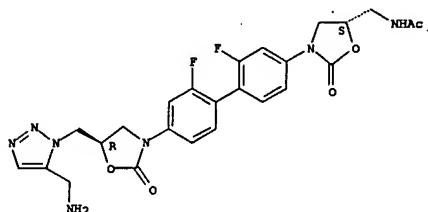
CH 2

CRN 76-05-1



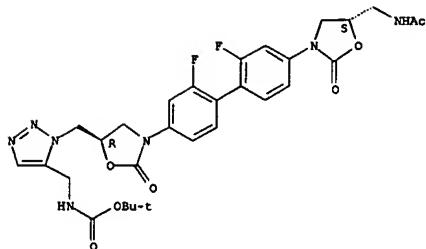
RN 724793-20-8 HCAPLUS
 CN Acetamide, N-[(5S)-3-[4'-(5S)-5-[(5-(aminomethyl)-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]-2',2'-difluoro[1,1'-biphenyl]-4-yl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



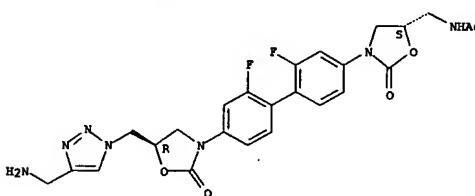
RN 724793-78-6 HCAPLUS
 CN Carbamic acid, [(1-[(5R)-3-[4'-(5S)-5-[(acetylaminomethyl)-2-oxo-3-oxazolidinyl]-2',2'-difluoro[1,1'-biphenyl]-4-yl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-5-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



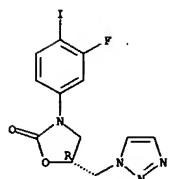
RN 724793-79-7 HCAPLUS
 CN Acetamide, N-[(5S)-3-[4'-(5R)-5-[(4-(aminomethyl)-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]-2',2'-difluoro[1,1'-biphenyl]-4-yl]-2-oxo-5-oxazolidinyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



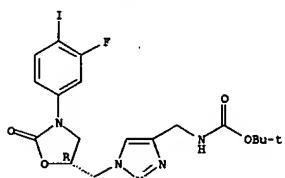
IT 501939-95-3P 724793-90-2P 724793-91-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of (oxocoxazolidinyl)biphenyls as bactericides for
 (drug-resistant) bacteria)
 RN 501939-95-3 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-
 , (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



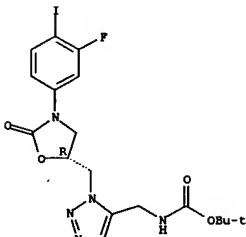
RN 724793-90-2 HCAPLUS
 CN Carbamic acid, [(1-[(5R)-3-(3-fluoro-4-iodophenyl)-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



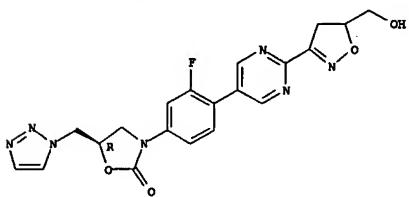
RN 724793-91-3 HCAPLUS
 CN Carbamic acid, [(1-[(5R)-3-(3-fluoro-4-iodophenyl)-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



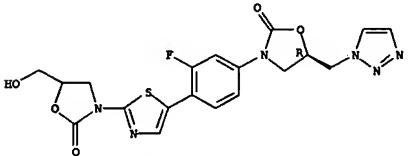
L12 ANSWER 21 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 pyrimidinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI)
 (CA INDEX NAME)

Absolute stereochemistry.

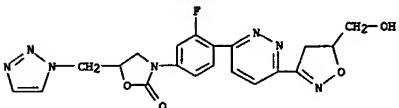


RN 716379-05-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[2-[5-(hydroxymethyl)-2-oxo-3-oxazolidinyl]-5-thiazolyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



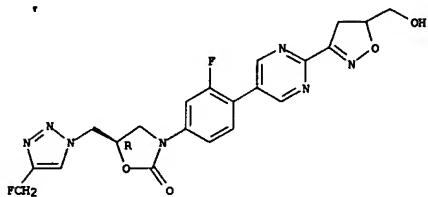
RN 716379-09-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)- (9CI) (CA INDEX NAME)



RN 716379-12-3 HCAPLUS

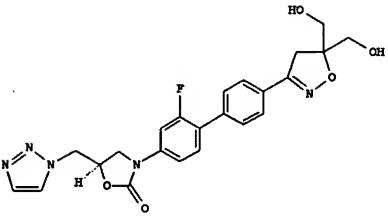
L12 ANSWER 21 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 2-Oxazolidinone, 3-[2-[4-[2-(4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl)-5-pyrimidinyl]-3-fluorophenyl]-5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

L12 ANSWER 22 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 10 Jun 2004
 GI

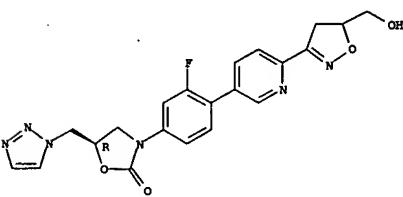


AB Title compds. (R1a)m-A-C-B-CH2-R1b [C = biaryl group; A, B = dihydroisoxazoles, oxazolidinones; R1a = CN, carbonyl, alkoxycarbonyl, aryl, etc.; m = 0-2; R1b = OH, silyl oxy, etc.; I] are prepared. For instance, (5R)-3-(3-fluorophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given) is coupled to 5,5-bis([(tert-butylidimethylsilyl)oxy]methyl)-4,5-dihydroisoxazol-3-yl)-2-fluoro-1,1'-biphenyl-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 702679-98-9, (5R)-3-[4'-(5,5-Bis([(tert-butylidimethylsilyl)oxy]methyl)-4,5-dihydroisoxazol-3-yl)-2-fluoro-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 702680-99-5, 702680-93-1P 702681-63-2P, (5R)-3-[4-[6-[(1R)-1,2-Dihydroxymethyl]-4,5-dihydroisoxazol-3-yl]pyridazin-3-yl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one

R11 PCT (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PRPF (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of oxazolidinone/isoxazoline derive. as antibacterial agents)
 RN 501940-91-6 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridazinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

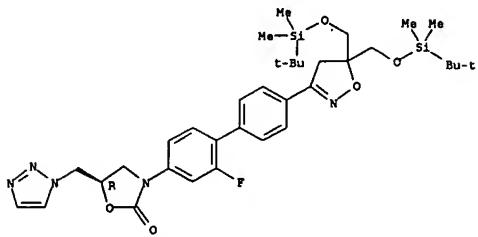
Absolute stereochemistry.



RN 702679-77-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[4'-[5,5-bis[[{(1,1-dimethylethyl)dimethylsilyl}oxy]methyl]-4,5-dihydro-3-isoxazolyl]-2-fluoro[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

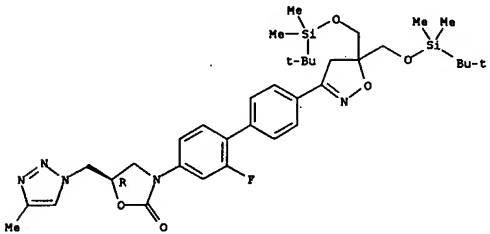
Absolute stereochemistry.

PATENT NO. KIND DATE APPLICATION NO. DATE
 WO 200408392 A1 20040610 WO 2003-GB5087 20031124
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 CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
 GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
 LR, LS, LT, LU, LV, MA, MD, MG, HK, MW, MX, MZ, NI, NO, NZ,
 OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
 TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,
 RW: BW, GH, GM, KS, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ,
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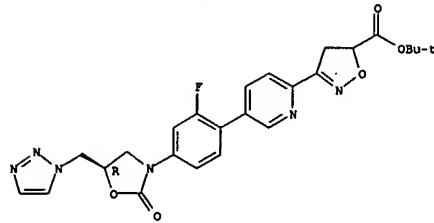
RN 702679-98-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[4'-(5,5-bis([(1,1-dimethylethyl)dimethylsilyl]oxy)methyl)-4,5-dihydro-3-isoxazolyl]-2-fluoro[1,1'-biphenyl]-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



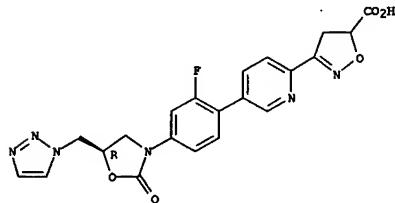
RN 702680-89-5 HCAPLUS
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Absolute stereochemistry.



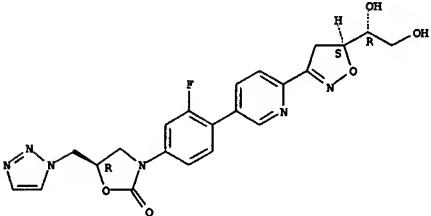
RN 702680-93-1 HCAPLUS
 CN 5-Isoxazolcarboxylic acid, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 702681-83-2 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[(5S)-5-[(1R)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

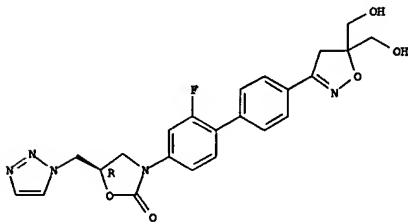


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 (5R)-3-[4'-(5,5-Bis(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl)-2-fluoro-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 702680-11-3P 702680-16-8P, (5R)-3-[4'-(4,5-Dihydroisoxazol-3-yl)-2-fluoro-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 702680-21-5P, (5R)-3-[4'-(4,5-Dihydroisoxazol-3-yl)-2-fluoro-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 702680-22-7P, (5R)-3-[4'-(5,5-Bis(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl)-2,2'-difluoro-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 702680-33-1P, (5R)-3-[4'-(5,5-Bis(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl)-2,2'-difluoro-1,1'-biphenyl-4-yl]-5-[(4-fluoromethyl)-1H-1,2,3-triazol-1-ylmethyl]-1,3-oxazolidin-2-one 702680-61-3P, 702680-65-4P 702680-51-1P 702680-61-3P, 702680-65-7P 702680-69-1P 702680-73-7P, (5R)-3-[3-Fluoro-4-[(6,6-bis(Hydroxymethyl)-4,5-dihydroisoxazol-3-yl)pyridin-3-yl]phenyl]-5-[(4-fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 702680-85-1P 702680-93-3P 702680-99-7P 702681-07-4P 702681-07-0P
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L12 ANSWER 22 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (prep. of oxazolidinone/isoxazoline derivs. as antibacterial agents)

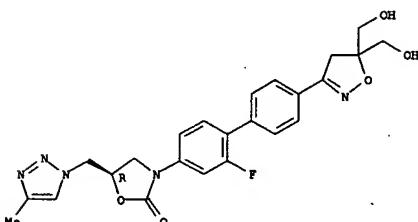
RN 702680-03-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[(4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl)-2-fluoro-1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 702680-03-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[(4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl)-2-fluoro-1,1'-biphenyl]-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

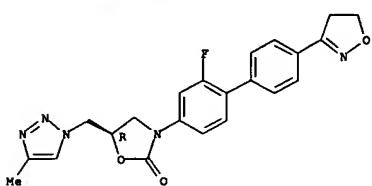


RN 702680-11-3 HCAPLUS
 CN 5-Isoxazolacetonitrile, 3-[2'-fluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-4,5-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 702680-16-8 HCAPIUS
 CN 2-Oxazolidinone, 3-[4'-(4,5-dihydro-3-isoxazolyl)-2-fluoro(1,1'-biphenyl)-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



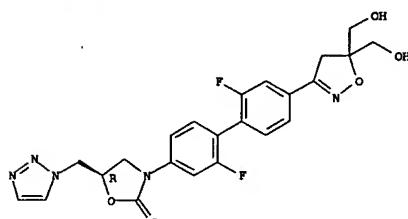
RN 702680-21-5 HCAPIUS
 CN 2-Oxazolidinone, 3-[4'-(4,5-dihydro-3-isoxazolyl)-2-fluoro(1,1'-biphenyl)-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 702680-23-7 HCAPIUS
 CN 2-Oxazolidinone, 3-[4'-(4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl)-2,2'-difluoro(1,1'-biphenyl)-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

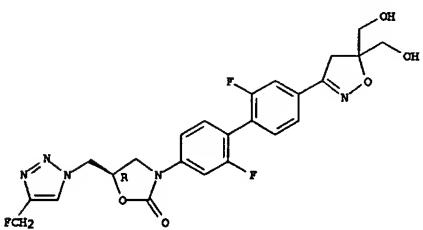
RN 702680-23-7 HCAPIUS
 CN 2-Oxazolidinone, 3-[4'-(4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl)-2,2'-difluoro(1,1'-biphenyl)-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



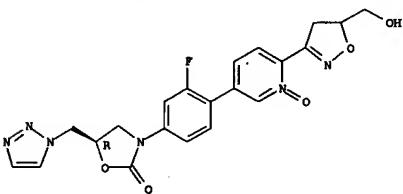
RN 702680-35-1 HCAPIUS
 CN 2-Oxazolidinone, 3-[4'-(4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl)-2,2'-difluoro(1,1'-biphenyl)-4-yl]-5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



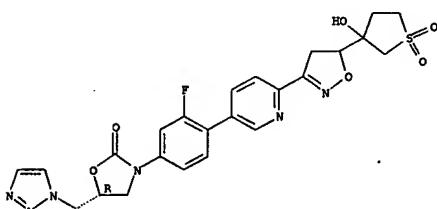
RN 702680-46-4 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-1-oxido-5-(pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



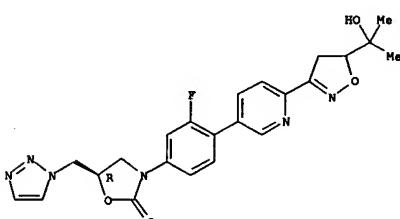
RN 702680-51-1 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(tetrahydro-3-hydroxy-1,1-dioxido-3-thienyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



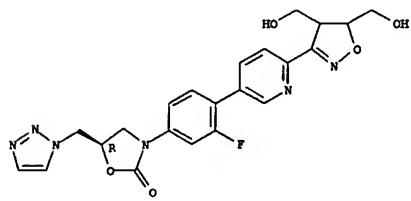
RN 702680-61-3 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-(1-hydroxy-1-methylethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



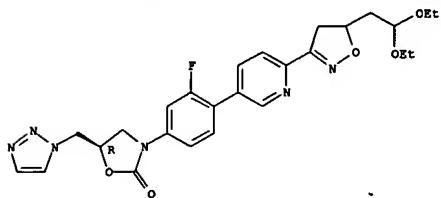
RN 702680-65-7 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-4,5-bis(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 702680-69-1 HCPLUS
 CN 2-Oxazolidinone, 3-[(4-[5-(2,2-dieethoxyethyl)-4,5-dihydro-3-isoxazolyl]-3-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

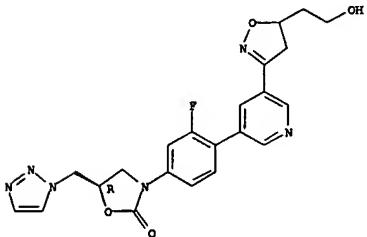


RN 702680-73-7 HCPLUS
 CN 2-Oxazolidinone, 3-[(4-[4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl)-3-fluorophenyl]-5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

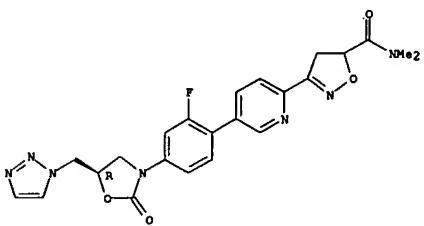
RN 702680-95-3 HCPLUS
 CN 5-Isoxazolecarboxamide, 3-[5-[2-fluoro-4-[(SR)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



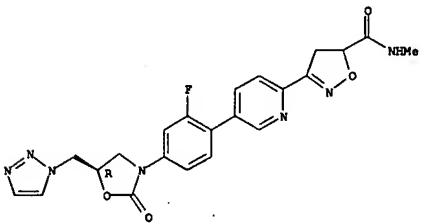
RN 702680-95-3 HCPLUS
 CN 5-Isoxazolecarboxamide, 3-[5-[2-fluoro-4-[(SR)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



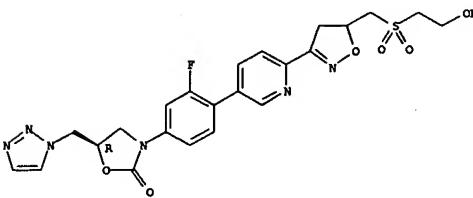
RN 702680-99-7 HCPLUS
 CN 5-Isoxazolecarboxamide, 3-[5-[2-fluoro-4-[(SR)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



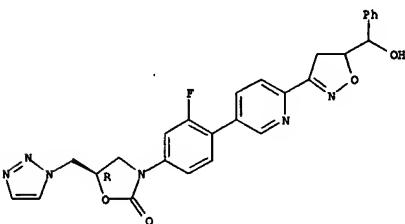
RN 702681-01-4 HCPLUS
 CN 2-Oxazolidinone, 3-[(4-[6-[4,5-dihydro-5-[(2-hydroxyethyl)sulfonyl]methyl]-3-isoxazolyl]-3-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



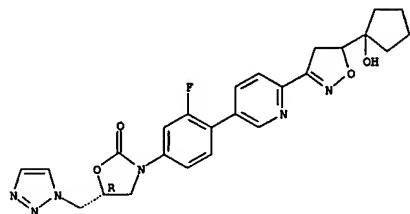
RN 702681-07-0 HCPLUS
 CN 2-Oxazolidinone, 3-[(4-[6-[4,5-dihydro-5-(hydroxyphenylmethyl)-3-isoxazolyl]-3-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



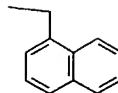
RN 702681-11-6 HCPLUS
 CN 2-Oxazolidinone, 3-[(4-[6-[4,5-dihydro-5-(1-hydroxycyclopentyl)-3-isoxazolyl]-3-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



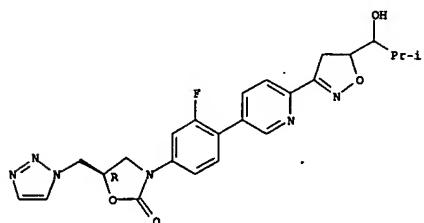
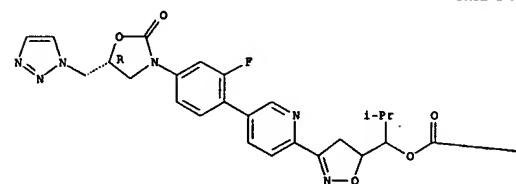
RN 702681-15-0 HCPLUS
 CN 1-Naphthaleneacetic acid, 1-[3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-2-methylpropyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



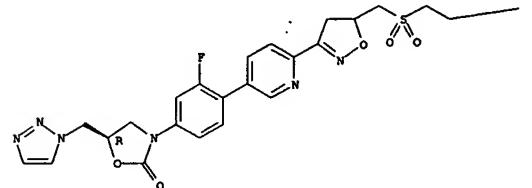
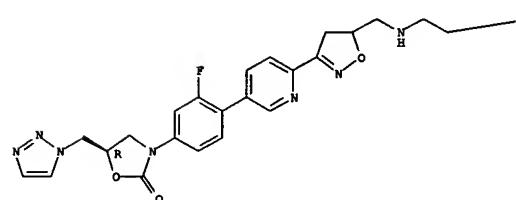
RN 702681-20-7 HCPLUS
 CN 2-Oxazolidinone, 3-[(6-[4,5-dihydro-5-(1-hydroxy-2-methylpropyl)-3-isoxazolyl]-3-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



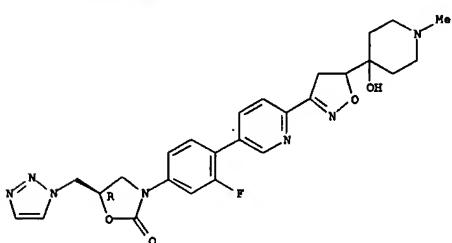
RN 702681-22-9 HCPLUS
 CN 2-Oxazolidinone, 3-[(6-[4,5-dihydro-5-[[2-(4-pyridinyl)ethyl]amino]methyl]-3-isoxazolyl)-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 702681-26-3 HCPLUS
 CN 2-Oxazolidinone, 3-[(6-[4,5-dihydro-5-(4-hydroxy-1-methyl-4-piperidinyl)-3-isoxazolyl]-3-fluorophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 702681-31-0 HCPLUS
 CN 2-Oxazolidinone, 3-[(6-[4,5-dihydro-5-[[2-(4-pyridinyl)ethyl]sulfonyl]methyl]-3-isoxazolyl)-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

RN 702681-39-8 HCPLUS
 CN Glycine, N,N-dimethyl-, [3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

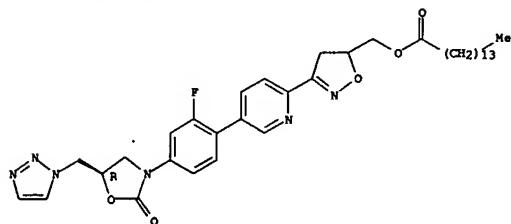


• HCl

RN 702681-40-1 HCPLUS
 CN Pentadecanoic acid, [3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-

L12 ANSWER 22 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 4-Piperidinocarboxylic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

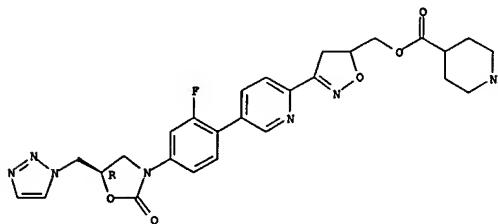


RN 702681-42-3 HCPLUS
 CN 2,5,6,11,14-Pentaoxapentadecanoic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

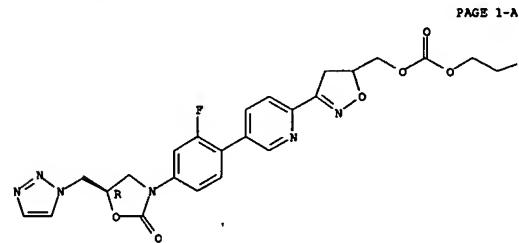
L12 ANSWER 22 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 4-Piperidinocarboxylic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

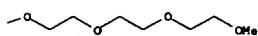


RN 702681-47-8 HCPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5-[(phosphonoxy)methyl]-3-isoxazolyl]-3-pyridinyl]-5-(1H-1,2,3-triazol-1-ylmethyl)]-, di ammonium salt, (5R)- (9CI) (CA INDEX NAME)

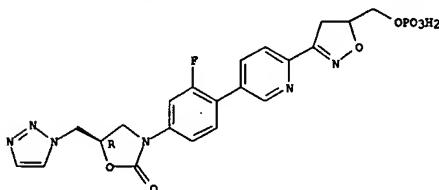
Absolute stereochemistry.



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RN 702681-44-5 HCPLUS



● 2 NH3

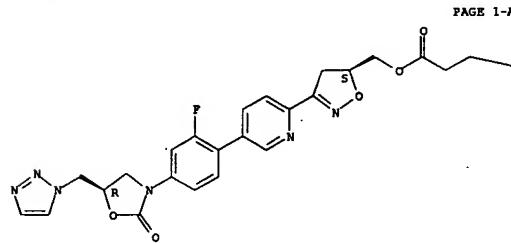
RN 702681-54-7 HCPLUS
 CN Propanoic acid, 2,2-dimethyl-, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 22 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 702681-56-9 HCPLUS
 CN β -Alanine, N,N-diethyl-, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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-NET2

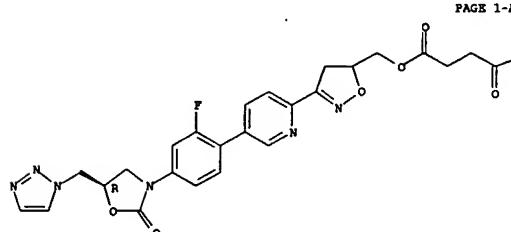
RN 702681-61-6 HCPLUS
 CN Butanedioic acid, [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 702681-60-5
 CMF C26 H25 F N6 O7

L12 ANSWER 22 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)

Absolute stereochemistry.



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-OMe

CH 2
 CRN 76-05-1
 CMF C2 H F3 O2

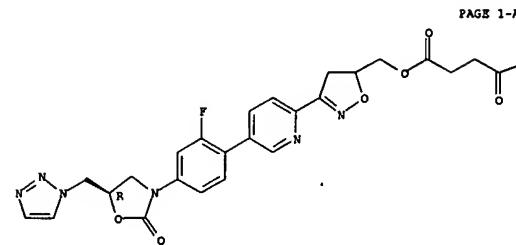


RN 702681-64-9 HCPLUS
 CN Butanedioic acid, ethyl [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CH 1

CRN 702681-63-8
 CMF C27 H27 F N6 O7

Absolute stereochemistry.



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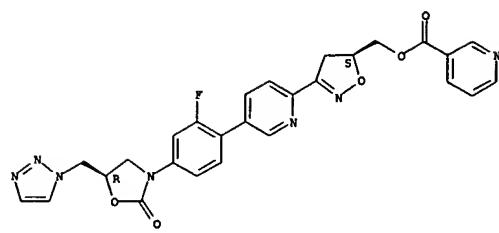
-OEt

CM 2

CRN 76-05-1
CHF C2 H F3 O2

RN 702681-66-1 HCAPLUS
CN 3-Pyridinecarboxylic acid, [(5S)-3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



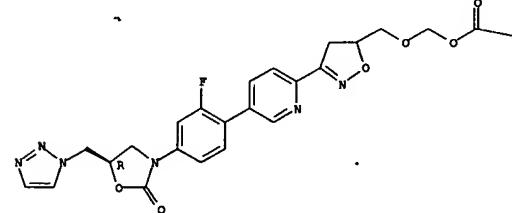
RN 702681-69-4 HCAPLUS
Propanoic acid, 2,2-dimethyl-, [(3-[5-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl)-4,5-dihydro-5-isoxazolyl)methoxy]methyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 702681-68-3
CHF C27 H29 F N6 O6

Absolute stereochemistry.

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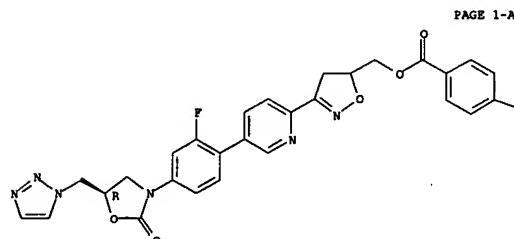
-Bu-t

CM 2

CRN 76-05-1
CHF C2 H F3 O2

RN 702681-71-8 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[6-[(4,5-dihydro-5-[(4-nitrobenzoyl)oxy]methyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



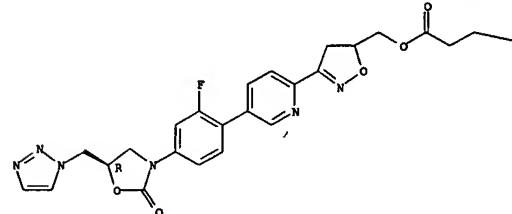
PAGE 1-B

-NO2

RN 702681-73-0 HCAPLUS
CN Butanedioic acid, mono[(3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl)-4,5-dihydro-5-isoxazolyl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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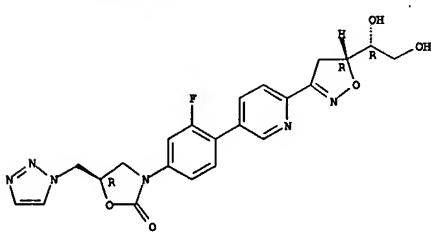


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-CO2H

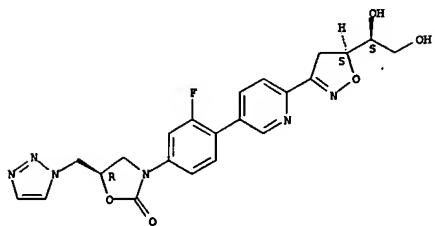
RN 702681-87-6 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[6-[(5R)-5-[(1R)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



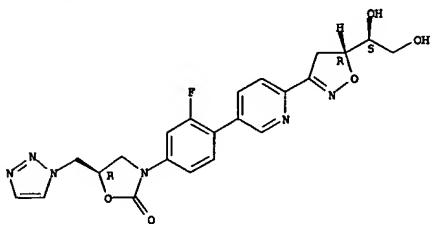
RN 702681-97-8 HCAPLUS
CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(1S)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



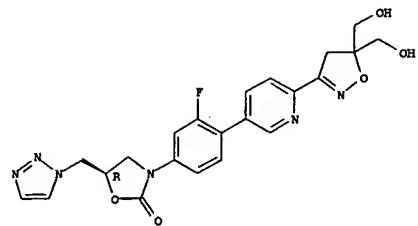
RN 702682-01-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5R)-5-[(1S)-1,2-dihydroxyethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



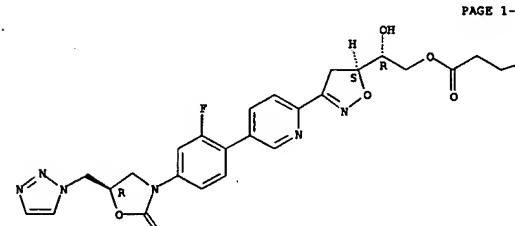
RN 702682-13-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[4,5-dihydro-5,5-bis(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 702682-21-1 HCAPLUS
 CN Propanoic acid, 3-methoxy-, (2R)-2-[(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-2-hydroxyethyl ester (9CI) (CA INDEX NAME)

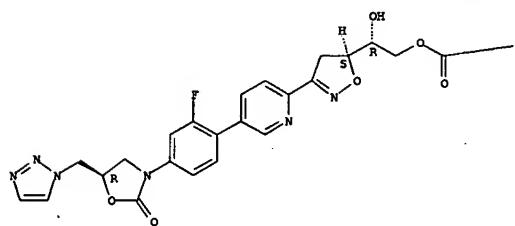
Absolute stereochemistry.



PAGE 1-A

PAGE 1-B

PAGE 1-A

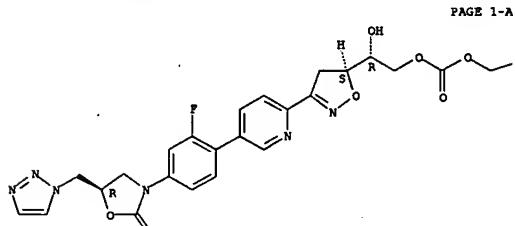


PAGE 1-B



RN 702682-29-9 HCAPLUS
 CN Carbonic acid, (2R)-2-[(5S)-3-[5-(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-2-hydroxyethyl 2-methoxyethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

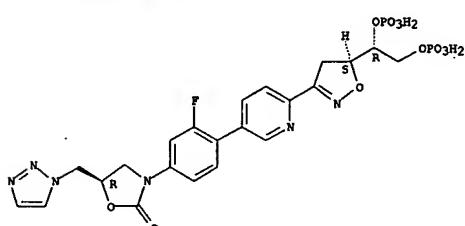


PAGE 1-B

—OMe

RN 702682-32-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(1R)-1,2-bis(phosphonoxy)ethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, tetraammonium salt, (5R)- (9CI) (CA INDEX NAME)

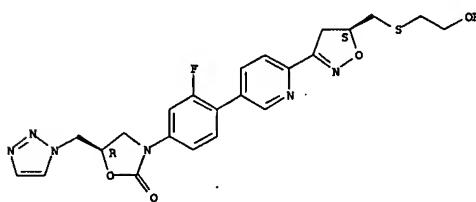
Absolute stereochemistry.



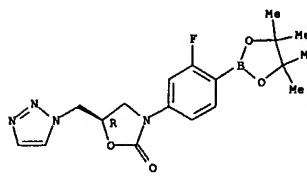
●4 NH₃

RN 702682-43-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(2-hydroxyethyl)thiomethyl]-3-isoxazolyl]-3-pyridinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

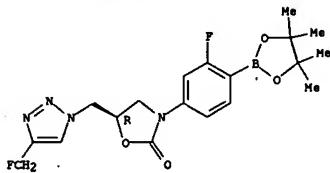


RN 702682-56-2 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-4,5-dihydro-5-[(trifluoromethoxy)methyl]-3-



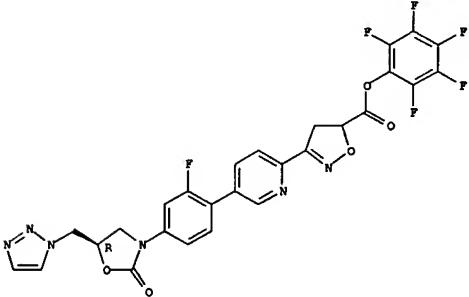
RN 700370-37-2 HCAPLUS
CN 2-Oxazolidinone, 5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-(4,5,5-tetramethyl-1,3-dioxaborolan-2-yl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



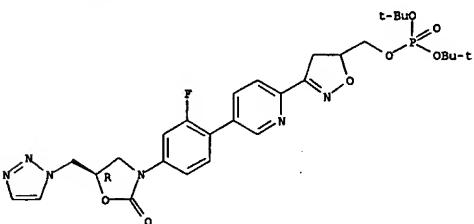
RN 702680-25-9 HCAPLUS
CN 2-Oxazolidinone, 3-[(4'-(5,5-bis([(1,1-dimethylethyl)dimethylsilyl]oxy)methyl)-4,5-dihydro-3-isoxazolyl)-2,2'-difluoro[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



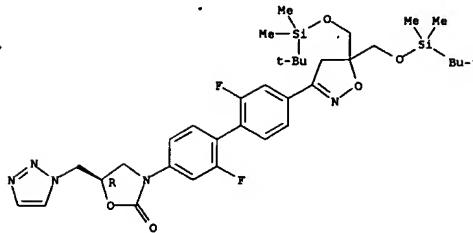
RN 702681-49-0 HCAPLUS
CN Phosphoric acid, bis(1,1-dimethylethyl) [3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



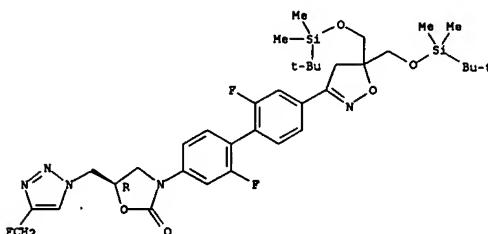
RN 702681-59-1 HCAPLUS
CN 2-Oxazolidinone, 3-[(6-[(5S)-4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl]-3-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



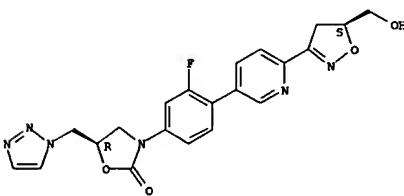
RN 702680-37-3 HCAPLUS
CN 2-Oxazolidinone, 3-[(4'-(5,5-bis([(1,1-dimethylethyl)dimethylsilyl]oxy)methyl)-4,5-dihydro-3-isoxazolyl)-2,2'-difluoro[1,1'-biphenyl]-4-yl]-5-(4-(fluoromethyl)-1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



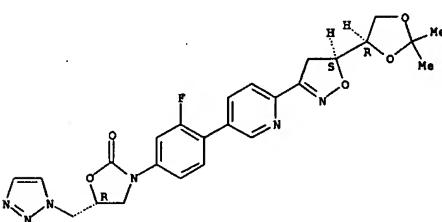
RN 702680-97-5 HCAPLUS
CN 5-Isoxazolecarboxylic acid, 3-[5-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2-pyridinyl]-4,5-dihydro-pentafluorophenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



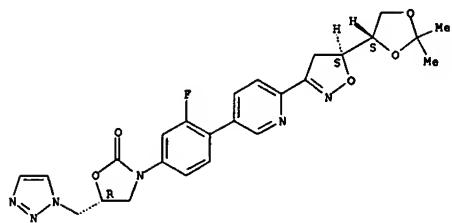
RN 702681-85-4 HCAPLUS
CN 2-Oxazolidinone, 3-[(6-[(5S)-5-[(4R)-2,2-dimethyl-1,3-dioxolan-4-yl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



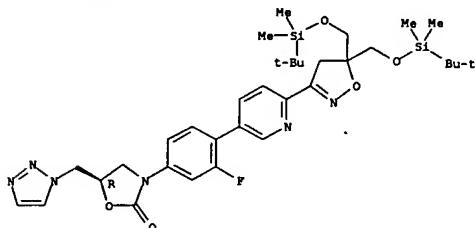
RN 702681-99-0 HCAPLUS
CN 2-Oxazolidinone, 3-[(6-[(5S)-5-[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



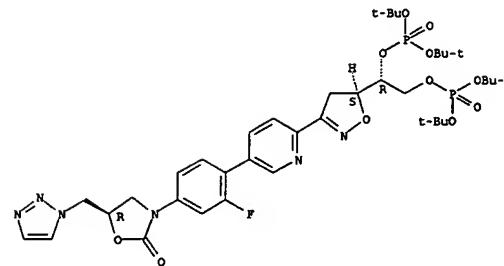
RN 702682-16-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[5,5-bis([(1,1-dimethylethyl)dimethylsilyl]oxy)ethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



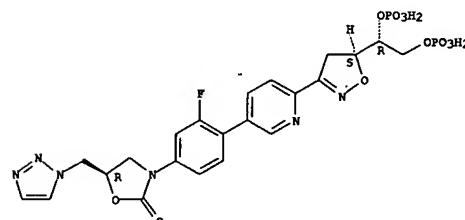
RN 702682-35-7 HCAPLUS
 CN Phosphoric acid, (IR)-1-[(5S)-3-[5-(2-fluoro-4-[(SR)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-2-pyridinyl]-4,5-dihydro-5-isoxazolyl]-1,2-ethanediyl tetrakis(1,1-dimethylethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



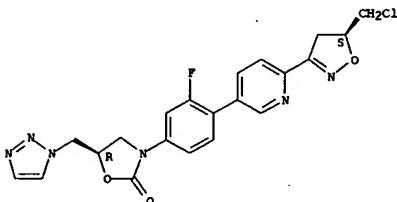
RN 702682-37-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-[(1R)-1,2-bis(phosphonoxy)ethyl]-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

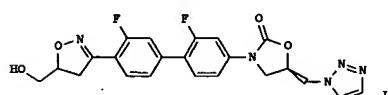


RN 702682-47-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[6-[(5S)-5-(chloromethyl)-4,5-dihydro-3-isoxazolyl]-3-pyridinyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

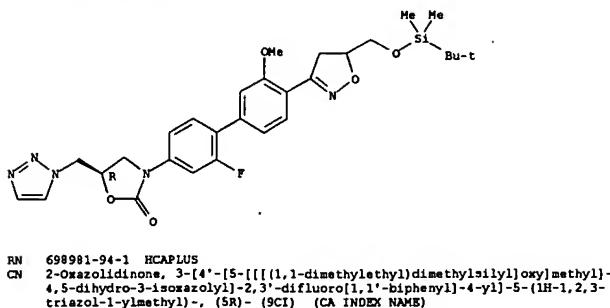


AB Isoxazolinyl oxazolidinone compds. of formula I ($RICH_2-A-C-B-CH_2R_2$ [A, B = oxazolidinone, isoxazoline; C = (substituted) diaryl group; $R_1, R_2 = OH$, trialkylsilyloxy, acyloxy, heteroaryl, etc.]) are prepared as antibacterial agents. Methods for making compds. of formula I, compns. containing them and their use as antibacterial agents are also described. Thus, II was prepared, and had MIC of 0.5 μ g/mL against *Staphylococcus aureus*.

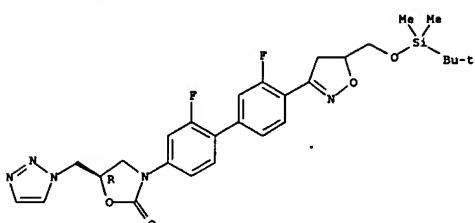
ACCESSION NUMBER: 2004167887 HCAPLUS
 DOCUMENT NUMBER: 141:23522
 TITLE: Preparation of isoxazolinyl oxazolidinone antibacterial agents
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James
 PATENT ASSIGNEE(S): AstraZeneca AB, Sved.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 78 pp.
 CODEN: PIXUD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004040370	A1	20040610	WO 2003-GB5082	20031124
W: AB, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GR, HR, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TH, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW, RW: BW, GH, GM, KE, LS, MW, SD, SZ, TZ, UG, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IL, LU, MC, MD, PT, RO, SE, SI, SK, TR, BE, BU, CF, CG, CI, CH, GA, GN, GU, MD, MR, NE, SN, TD, TG	2004167887	20031124		
EP 1567521	A1	20050831	EP 2003-811806	20031124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, MK, CY, AL, TR, BG, CZ, KK, HU, SK	2002-27701	GB 2002-27701	A 20021128	
PRIORITY APPLN. INFO.:	WO 2003-GB5082	WO 2003-GB5082	V 20031124	

OTHER SOURCE(S): MARPAT 141:23522
 IT 698981-84-9P 698981-84-1P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PRP (Preparation); RACT (Reactant or reagent); USES (Uses);
 (preparation of isoxazolinyl oxazolidinone antibacterial agents)
 698981-84-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[5-[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4,5-dihydro-3-isoxazolyl]-2-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

L12 ANSWER 23 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
Absolute stereochemistry.

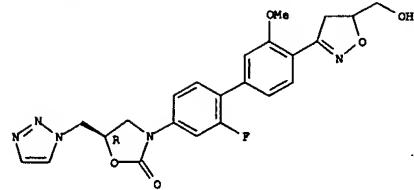
Absolute stereochemistry.



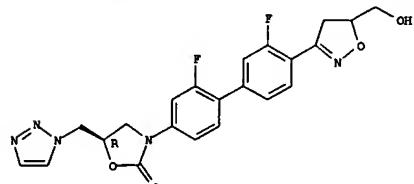
IT 698981-99-4P 698981-99-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of isoxazolinyl oxazolidinone antibacterial agents)
RN 698981-99-4 HCAPIUS
CN 2-Oxazolidinone, 3-[4'-(4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl)-2-fluoro-3'-methoxy[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 23 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)



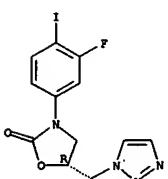
Absolute stereochemistry.



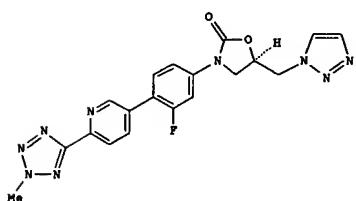
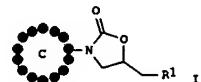
IT 501939-95-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of isoxazolinyl oxazolidinone antibacterial agents)
RN 501939-95-3 HCAPIUS
CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 23 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 24 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 10 Jun 2004
GI

AB Title compds. I [C = substituted biaryl; R1 = HET1, HET2; HET1 = N-linked 5-membered (un)saturated heterocyclic ring, etc., HET2 = N-linked 6-membered dihydronaphthalene ring, etc.] are prepared. For instance, (SR)-3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one (preparation given) is coupled to bis(pinacolato)diboron (DMso, Pdc12(dppf)-CH2Cl2, KOtBu) and the resulting adduct coupled to 5-bromo-2-(2-biphenyl-2-yl)pyridine (DMF, H2O, K2CO3, (PPh3)4Pd) to give II. Compds. of the invention inhibit antibacterial activity with MIC = 0.01 - 256 µg/mL; II has MIC < 0.06 for Streptococcus pneumoniae.

ACCESSION NUMBER: 2004-67878 HCAPIUS
DOCUMENT NUMBER: 141:23521
TITLE: Preparation of substituted oxazolidinones as antibiotics
INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Reck, Folkert; Zhou, Fei
PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
SOURCE: PCT Int. Appl., 96 pp.
CODEN: PIXKD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004040350	A2	20040610	WO 2003-GB5091	20031124
WO 2004040350	A3	20041021		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,

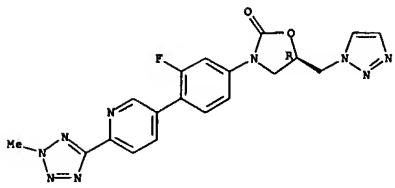
L12 ANSWER 24 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)

LR, LS, LT, LU, LV, HA, MD, MG, MX, MN, MV, MZ, NI, NO, NZ,
OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TI, TT, TZ, UA, UC, US, UZ, VC, VN, YU, ZA, ZM, ZW,
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TN, BF, BJ, CG, CI, CM, GA, GN, GQ, GH, ML, MN, NE, SN, TD, TG
CA 2507628 A 2004610 CA 2003-2507628 20031124
EP 1581524 A2 20051005 EP 2003-778306 20031124
R: AT, BE, CH, DE, DK, ES, FR, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, MT, TR, BG, CZ, HU, SK
BR 2003016690 A 20051018 BR 2003-166690 20031124
PRIORITY APPLN. INFO.: WO 2003-G55091 WO 2003-166690 20031124
OTHER SOURCE(S): MARPAT 141:23521
IT 700370-32-7P, (SR)-3-[2-(2-methyl-2H-tetrazol-5-yl)pyridin-3-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 700370-33-0P, (SR)-3-[3-Fluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)pyridin-3-yl]phenyl]-5-[(4-fluoromethyl)-1H-1,2,3-triazol-1-ylmethyl]-1,3-oxazolidin-2-one 700370-41-8P, (SR)-3-[3-Fluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)pyridin-3-yl]phenyl]-5-(4-chloro-1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 700370-44-1P,
(SR)-3-[3-Fluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)-1-oxopyridin-3-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 700370-46-3P, (SR)-3-[3-Fluoro-4-[6-(2-hydroxyethyl)-2H-1,2,3,4-tetrazol-5-yl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)oxazolidin-2-one 700370-48-5P, (SR)-3-[3-Fluoro-4-[6-(1-[propane-1,3-diol-2-yl]-1H-1,2,3,4-tetrazol-5-yl)-3-pyridinyl]phenyl]-5-[(4-fluoromethyl)-1H-1,2,3-triazol-1-yl]oxazolidin-2-one 700370-51-0P, (SR)-5-[(4-(difluoromethyl)-1H-1,2,3-triazol-1-ylmethyl)-3-[3-fluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)pyridin-3-yl]phenyl]-5-(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 700370-58-4P,
(SR)-3-[3-Fluoro-4-[2-methyl-6-(4-methyl-1H-1,2,3-triazol-1-yl)pyridin-3-yl]phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PRE (Preparation); USES (Uses)
(preparation of substituted oxazolidinones as antibiotics)

RN 700370-32-7 HCAPIUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

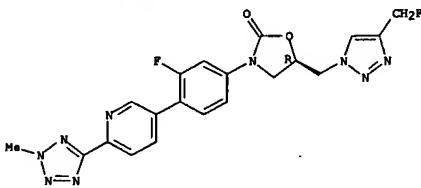
Absolute stereochemistry.

L12 ANSWER 24 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)



RN 700370-35-0 HCAPIUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)-3-pyridinyl]phenyl]-5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

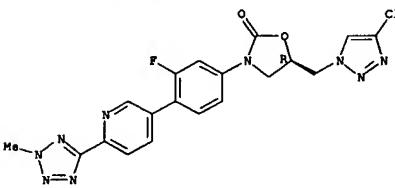
Absolute stereochemistry.



RN 700370-41-8 HCAPIUS
CN 2-Oxazolidinone, 5-[(4-chloro-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)-3-pyridinyl]phenyl]-, (SR)- (9CI) (CA INDEX NAME)

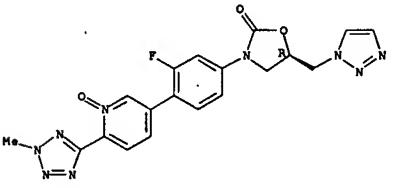
Absolute stereochemistry.

L12 ANSWER 24 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)



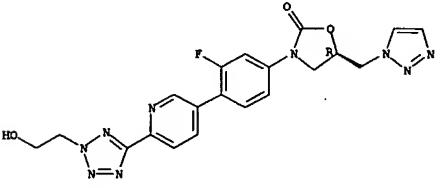
RN 700370-44-1 HCAPIUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)-1-oxido-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 700370-46-3 HCAPIUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(2-hydroxyethyl)-2H-tetrazol-5-yl]-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

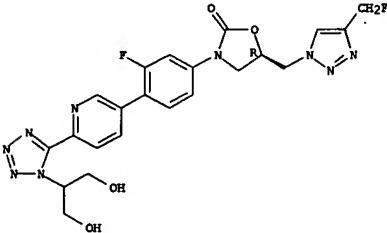
Absolute stereochemistry.



RN 700370-48-5 HCAPIUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[6-(2-hydroxy-1-(hydroxymethyl)ethyl)-1H-

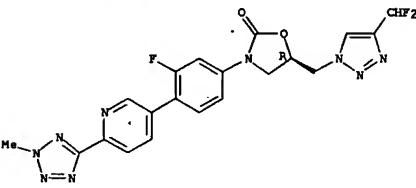
L12 ANSWER 24 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
tetrazol-5-yl]-3-pyridinyl]phenyl]-5-[(4-(difluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



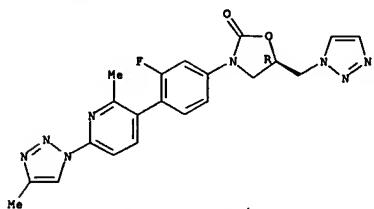
RN 700370-51-0 HCAPIUS
CN 2-Oxazolidinone, 5-[(4-(difluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-[6-(2-methyl-2H-tetrazol-5-yl)-3-pyridinyl]phenyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 700370-55-4 HCAPIUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-[2-methyl-6-(4-methyl-1H-1,2,3-triazol-1-yl)-3-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

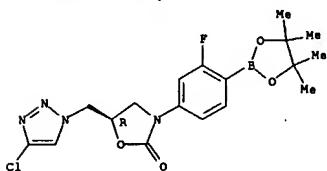
Absolute stereochemistry.



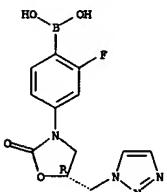
IT 700370-42-9, (5R)-3-[3-Fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-[(4-chloro-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of substituted oxazolidinones as antibiotics)

RN 700370-42-9 HCAPLUS
CN 2-Oxazolidinone, 5-[(4-chloro-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

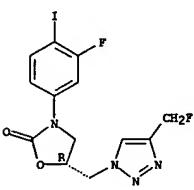


IT 501939-95-3P, (5R)-3-[3-Fluoro-4-iodophenyl]-5-[(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 700370-33-8P 700370-34-9P
700370-34-1P, (5R)-3-[3-Fluoro-4-iodophenyl]-5-[(4-fluoromethyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 700370-37-2P,
(5R)-3-[3-Fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-[(4-fluoromethyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
700370-38-3P 700370-39-4P, (5R)-3-[3-Fluoro-4-iodophenyl]-5-[(4-hydromethyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
700370-43-0P, (5R)-3-[3-Fluoro-4-iodophenyl]-5-[(4-chloro-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
700370-43-0P, (5R)-3-[3-Fluoro-4-iodophenyl]-5-[(4-hydromethyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
700370-43-0P, (5R)-3-[3-Fluoro-4-iodophenyl]-5-[(4-bromomethyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
700370-43-0P, (5R)-3-[3-Fluoro-4-iodophenyl]-5-[(4-chloro-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
700370-43-0P, (5R)-3-[3-Fluoro-4-iodophenyl]-5-[(4-(Difluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-1,3-oxazolidin-2-one
700370-53-2P, (5R)-5-[(4-(Difluoromethyl)-1H-1,2,3-triazol-1-



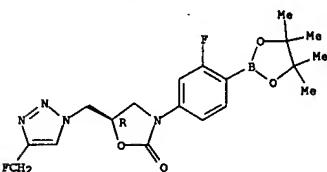
RN 700370-36-1 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-iodophenyl]-5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 700370-37-2 HCAPLUS
CN 2-Oxazolidinone, 5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

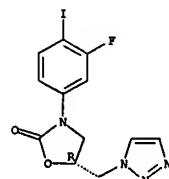


RN 700370-38-3 HCAPLUS
CN Boronic acid, [2-fluoro-4-((5R)-5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

L12 ANSWER 24 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
700370-54-3P, 1-[(5R)-3-(3-fluoro-4-iodophenyl)-2-oxo-1,3-oxazolidin-5-yl)methyl]-1H-1,2,3-triazole-4-carboxaldehyde
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn of substituted oxazolidinones as antibiotics)

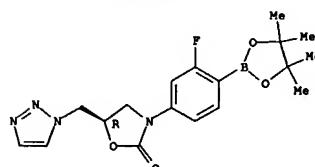
RN 501939-95-3 HCAPLUS
CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



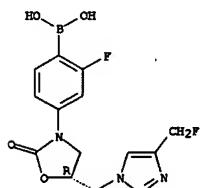
RN 700370-33-8 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



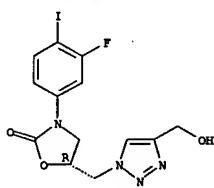
RN 700370-34-9 HCAPLUS
CN Boronic acid, [2-fluoro-4-((5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



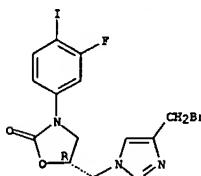
RN 700370-39-4 HCAPLUS
CN 2-Oxazolidinone, 3-[3-fluoro-4-iodophenyl]-5-[(4-(hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 700370-40-7 HCAPLUS
CN 2-Oxazolidinone, 5-[(4-(bromomethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-iodophenyl]-, (5R)- (9CI) (CA INDEX NAME)

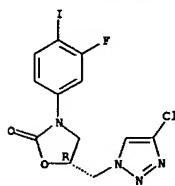
Absolute stereochemistry.



RN 700370-43-0 HCAPLUS

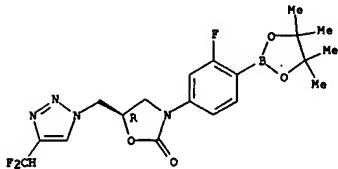
L12 ANSWER 24 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 2-Oxazolidinone, 5-[(4-chloro-1H-1,2,3-triazol-1-yl)methyl]-3-(3-fluoro-4-iodophenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 700370-52-1 HCAPIUS
 CN 2-Oxazolidinone, 5-[(4-(difluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

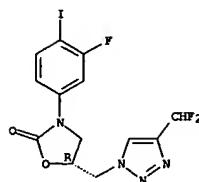
Absolute stereochemistry.



RN 700370-53-2 HCAPIUS
 CN 2-Oxazolidinone, 5-[(4-(difluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-(3-fluoro-4-iodophenyl)-, (5R)- (9CI) (CA INDEX NAME)

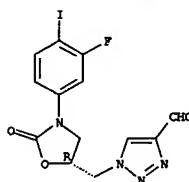
Absolute stereochemistry.

L12 ANSWER 24 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)



RN 700370-54-3 HCAPIUS
 CN 1H-1,2,3-Triazol-4-carbomethoxy, 1-[(5R)-3-(3-fluoro-4-iodophenyl)-2-oxo-5-oxazolidinyl]methane- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 25 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 23 Apr 2004
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [R2, R3 = H, F; R4, R5 = H, Cl, F, etc.; R6, R7 = H, F, OH, etc.; R8 = H, F, OH, etc.; A = 5-methyl-2-oxazolidinonyl, 4,5-dihydro-5-methyl-2(3H)-furanonyl, etc.; B = (CH2)n, n = 0-1; X = N, CH; Y = N, O, S; Z = NHCO1, NHCS1, CONH1, etc.; R1 = H, NH2, NH-alkyl, etc.] and their pharmaceutically acceptable salts and formulations were prepared. For example, condensation of CB2-protected benzeneamine II, e.g., prepared from benzyl 3-pyrroline-1-carboxylate in 5-steps, and (S)-acetic acid 2-acetylaminoo-1-chloromethyl ethyl ester afforded oxazolidinone III in 62% yield. In S. aureus Min. Inhibitory Concentration (MIC) growth studies, 6-examples of compds. I exhibited MIC values ranging from 1-8 µg/ml, i.e., the MIC value of oxazolidinone III was 1 µg/ml. Compds. I are claimed useful for the treatment of skin and eye infections.

ACCESSION NUMBER: 2004:333714 HCAPIUS

DOCUMENT NUMBER: 1401357327

TITLE: Preparation of bicyclic[3.1.0]oxazolidinones and related compounds as antibacterial agents

INVENTOR(S): Gordov, Mikhail Fedor; Renslo, Adam; Patel, Dinesh Vinooobhai

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: PCT Int. Appl., 156 pp.

CODEN: PIKK02

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004033451	A1	20040422	WO 2003-US28560	20031003
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KW, KZ, LC, LI, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MM, MK, MZ, NL, NO, NZ, OM, PG, PE, PL, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TR, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RU: GH, GM, KE, MM, MZ, SD, SU, SZ, VZ, UD, ZM, ZU, AM, AZ, BY, KG, KZ, MD, RU, TU, TM, AT, BG, CH, CY, CZ, DE, DK, ES, ES, FI, FR, GB, GA, HU, IE, IT, LU, MC, NL, PT, RO, SB, SI, SK, TR, BF, BU, CF, CO, CI, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004127530	A1	20040701	US 2003-677451	20031002
US 6975784	B2	20050405		
CA 2501352	AA	20040422	CA 2003-2501352	20031003
EP 1549641	A1	20050706	EP 2003-770310	20031003
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015106	A	20050809	BR 2003-15106	20031003
PRIORITY APPLN. INFO.:			US 2002-417735P	P 20021009
			WO 2003-US28560	W 20031003

OTHER SOURCE(S): MARPAT 140:357327

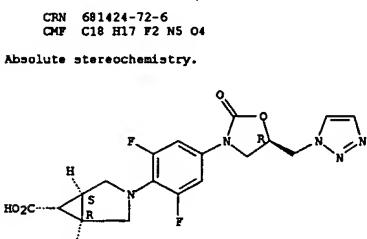
IT 681424-72-79
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

L12 ANSWER 25 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 (prepn. of bicyclic[3.1.0]oxazolidinones and related compds. as antibacterial agents)

RN 681424-73-7 HCAPIUS

CN 3-Azabicyclo[3.1.0]hexane-6-carboxylic acid, 3-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, (1a,5a,6a)-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CH 1

CRN 681424-72-6

CHF C18 H17 F2 N5 O4

Absolute stereochemistry.

CH 2

CRN 76-05-1

CHF C2 H F3 O2



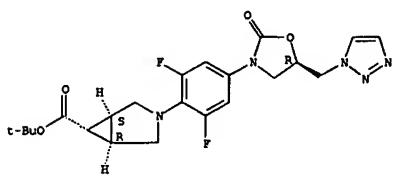
IT 681425-60-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (prepn. of bicyclic[3.1.0]oxazolidinones and related compds. as antibacterial agents)

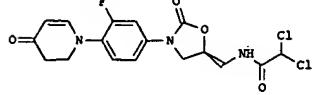
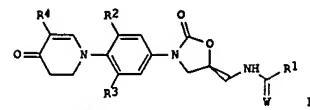
RN 681425-60-5 HCAPIUS

CN 3-Azabicyclo[3.1.0]hexane-6-carboxylic acid, 3-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester, (1a,5a,6a)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB Oxopyridinylphenyl oxazolidinones, e.g. of formula I [W = O, S; R1 = NH2, NH-alkyl, alkyl, alkoxy, etc.; R2, R3 = H, Cl, F, Me, NH2, OH; R4 = H, halo, NH2, OH, CN, alkyl, alkoxy, etc.], are prepared as antibacterial agents which have activity against both Gram-pos. and Gram-neg. bacteria. The II was prepared, and had MIC of 1 μ g/mL against HINF-30063.

ACCESSION NUMBER: 2004-339312 HCAPLUS

DOCUMENT NUMBER: 1401339312

TITLE: Preparation of oxopyridinylphenyl oxazolidinones as

INVENTOR(S): Gram-positive and Gram-negative antibacterial agents

Gordeev, Mikhail Fedor; Singh, Upinder; Patel, Dinesh

Vinoochhai May, Paul Dennis

PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA

SOURCE: Patent

DOCUMENT TYPE: PCT Int. Appl., 53 pp.

CODEN: PXXXD2

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 200403449	A1	20040422	WO 2003-IB4380	20031003
W: AE, AG, AL, AM, AT, AU, NZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, ES, ET, FI, GB, GO, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KW, LC, LZ, LR, LS, LT, LU, LV, MA, MD, MG, MK, MM, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VH, YU, ZA, ZM, ZW				
RW: GH, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CL, CY, CZ, DE, DK, KE, KS, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG				
CA 2499105	AA	20040422	CA 2003-2499105	20031003
EP 1554273	A1	20050720	EP 2003-807940	20031003

BR 2003015206 A 20050816 BR 2003-15206 20031003

US 2005070580 A1 20050331 US 2004-497626 20040603

PRIORITY APPLN. INFO.: US 2002-417492P P 20021010

WO 2003-IB4380 W 20031003

OTHER SOURCE(S): MARPAT 140:339319

IT 680614-95-3P 680614-96-4P

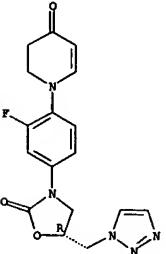
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of oxopyridinylphenyl oxazolidinones as antibacterial agents)

RN 680614-95-3 HCAPLUS

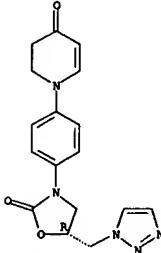
CN 4(1H)-Pyridinone, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-2,3-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 680614-96-4 HCAPLUS
CN 4(1H)-Pyridinone, 2,3-dihydro-1-[4-((5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 09 Apr 2004
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention provides a family of bifunctional heterocyclic compds., e.g., I (A = C, S, O, N (with proviso, that at least one A = O); B = O, NR2, S(O)r2, C(=O), C(=S), C(=NOR3); p = 0, 1; q = 0, 1; r = 0 - 2; R2 = H, S(O)r4, CHO, Cl-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, Cl-8-alkoxy, Cl-8-alkylthio, Cl-8-acyl, (un)saturated or aromatic C3-8-carbocycle, (un)saturated or aromatic 5 to 10-membered heterocycle (containing one or more N, S, O); NR2R3 = 5 to 8-membered (un)saturated carbocycle or heterocycle (containing one or more N, S, O); R3 = H, Cl-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, Cl-8-acyl, (un)saturated or aromatic C3-8-carbocycle, (un)saturated or aromatic 5 to 7-membered heterocycle (containing one or more N, S, O); NR3R3 = 5 to (un)saturated 7-membered carbocycle or heterocycle (containing one or more N, S, O); R4 = H, NR3R3, NR3OR3, NR3NR3R3, NHCOOR3, C(=O)NR3R3, Cl-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, etc.; D = D1, D2, D3, D4; E = di- or para-substituted Ph, substituted 4-vinylphenyl; G = Cl-4-alkyl, C5-8-alkyl, C2-8-alkenyl, C2-8-alkynyl, Cl-8-alkoxy, Cl-8-alkylthio, Cl-8-acyl, (un)saturated or aromatic C5-10-carbocycle, (un)saturated or aromatic 5 to 10-membered heterocycle (containing one or more N, S, O); Z = C, N, O, S; dashed line = single or double bond) or a pharmaceutically acceptable salt, ester or prodrug thereof, useful as antiinfective, antiproliferative, antiinflammatory and prokinetic agents (no data). The invention also provides methods of making the bifunctional heterocyclic compds., and methods of using such compds. as antiinfective, antiproliferative, antiinflammatory and/or prokinetic agents. Thus, erythromycin derivative II was prepared from N-(desmethylerythromycin), via N-alkylation with EC-1bipbnd.CC(=O)CH2OEt, and cycloaddn. with azide III.

ACCESSION NUMBER: 2004292029 HCAPLUS

DOCUMENT NUMBER: 140-321158

TITLE: Methods of preparation of bifunctional heterocyclic compounds for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents

INVENTOR(S): Wan, Deping; Sutcliffe, Joyce A.; Oyelere, Adegboyega K.; McConnell, Timothy S.; Ippolito, Joseph A.; Abelson, John H.

PATENT ASSIGNEE(S): Rib-X Pharmaceuticals, Inc., USA

SOURCE: PCT Int. Appl., 363 pp.

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

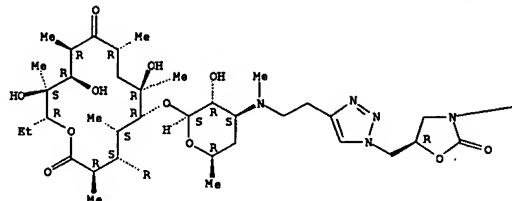
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WO 2004029066	A2	20040408	WO 2003-US30478	20030925
WO 2004029066	C1	20040513		
WO 2004029066	A3	20040826		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,

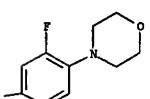
L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 morpholinyl-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

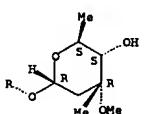
PAGE 1-A



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PAGE 2-A



IT 677726-17-9P 677726-31-7P 677726-85-1P
 677726-86-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (preparation and hydrolysis of; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

RN 677726-17-9 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl)methylamino]- β -D-xylo-hexopyranosyl]oxy)-(2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, M1, M2, N1, NO, NZ, LR, LS, LT, LV, MA, MD, MG, MK, MN, MW, MX, N2, SN, SY, TJ, TH, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, ZW, AM, AZ, BY, RG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, ES, FI, FR, GB, GR, HU, IE, IT, LU, NL, SE, MC, PT, SI, TD, TG, BE, BJ, CF, CG, CI, CM, GA, GN, GO, GW, HL, MR, NE, SN, SI, TD, TR, FR, GB, CI, CM, GA, GN, GO, GW, HL, MR, NE, SN, SI, TD, TR, US 2005197334 A1 20050908 US 2003-671326 20030925 CA 2500158 AA 20040408 US 2003-2500158 20030926 EP 1543017 A2 20050622 EP 2003-770506 20030926 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK PRIORITY APPLN. INFO.: US 2002-414207P P 20020926 US 2003-448216P P 20030219 WO 2003-US30478 W 20030925

OTHER SOURCE(S): MARPAT 140:321158

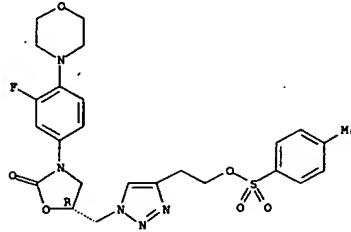
IT 677726-23-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent); (preparation and N-alkylation by, of des-(N-methyl)erythromycin; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiinflammatory and prokinetic agents)

RN 677726-23-7 HCAPLUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[(4-[2-[(4-methylphenyl)sulfonyloxy]ethyl]-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 677726-15-7P

RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (preparation and N-dealkylation of; preparation of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

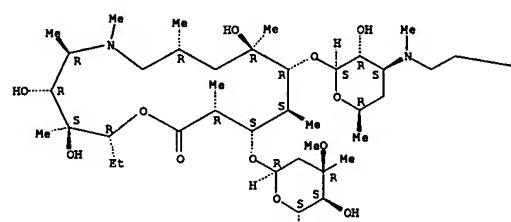
RN 677726-15-7 HCAPLUS

CN Erythromycin, N-demethyl-N-[2-1-[(5R)-3-[3-fluoro-4-(4-

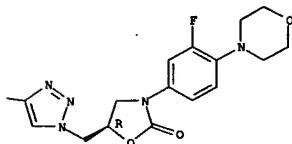
L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)ethyl)methylamino]- β -D-xylo-hexopyranosyl]oxy]- (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



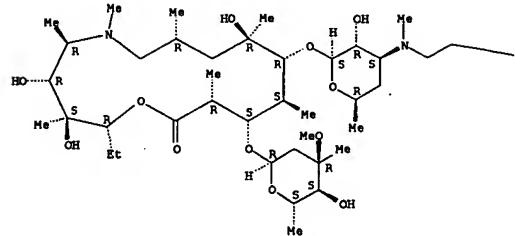
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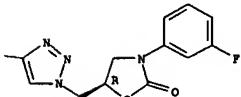
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 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)ethyl)methylamino]- β -D-xylo-hexopyranosyl]oxy)-(2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



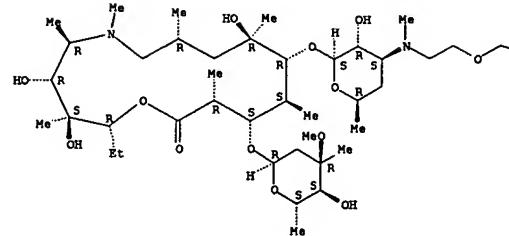
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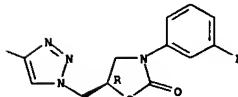
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 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[(1-[(5R)-3-(3-
 fluorophenyl)-2-oxo-5-oxazolidinyl]methyl)-1H-1,2,3-triazol-4-
 yl)methoxy]ethyl)methylamino]-8-O-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



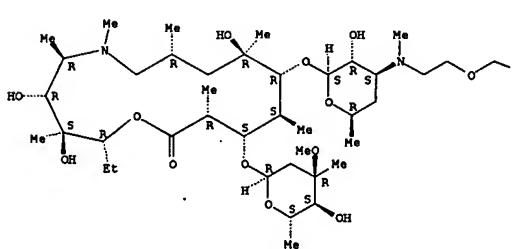
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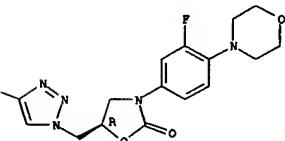
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[(1-[(5R)-3-(3-
 fluorophenyl)-2-oxo-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl)-1H-1,2,3-
 triazol-4-yl)methoxy]ethyl)methylamino]-8-O-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

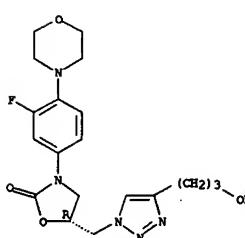


PAGE 1-B



IT 677726-19-1P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation and tosylation of; preparation of bifunctional heterocyclic
 compds. for use as antiinfective, antiproliferative, antiinflammatory and
 prokinetic agents)
 RN 677726-19-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[(4-(2-
 hydroxypropyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

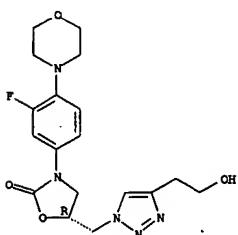
Absolute stereochemistry.



IT 677726-37-3P
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);
 USES (Uses)
 (preparation and tosylation of; preparation of bifunctional heterocyclic
 compds. for use as antiinfective, antiproliferative, antiinflammatory and
 prokinetic agents)

RN 677726-37-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[(4-(2-
 hydroxyethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 677726-11-3P 677726-12-4P 677726-13-5P
 677726-14-6P 677726-16-8P 677726-18-0P
 677726-26-0P 677726-27-1P 677726-29-2P
 677726-29-3P 677726-30-6P 677726-33-9P
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 677726-46-4P 677726-47-5P 677726-48-6P
 677726-49-7P 677726-50-0P 677726-51-1P
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 677726-55-5P 677726-57-7P 677726-58-8P

L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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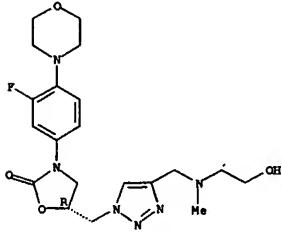
RL: SPN (Synthetic preparation); THW (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of bifunctional heterocyclic compds. for use as antiinfective, antiproliferative, antiinflammatory and prokinetic agents)

RN: 677726-11-3 HCAPLUS

CN: 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[(4-[(2-hydroxyethyl)methylamino)methyl]-1H-1,2,3-triazol-1-yl]methyl-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

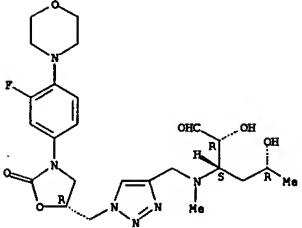


RN: 677726-12-4 HCAPLUS

CN: 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[(4-[(2-hydroxyethyl)methylamino]ethyl)-1H-1,2,3-triazol-1-yl]methyl-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

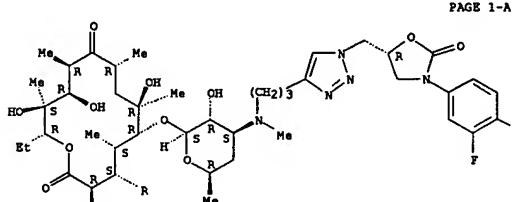
L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN: 677726-16-0 HCAPLUS

CN: Erythromycin, N-demethyl-N-[3-[1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

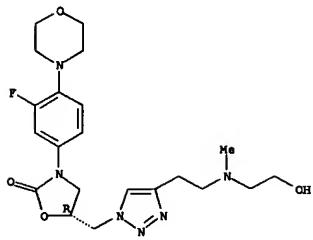


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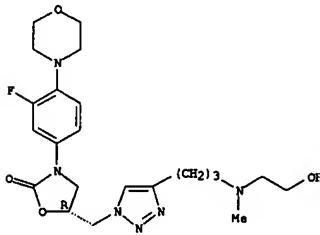
L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN: 677726-13-5 HCAPLUS

CN: 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)propyl]-5-[(4-[(2-hydroxyethyl)methylamino]propyl)-1H-1,2,3-triazol-1-yl]methyl-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



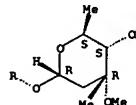
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CN: D-xylo-Hexose, 3,4,6-trideoxy-3-[[1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]methylamino- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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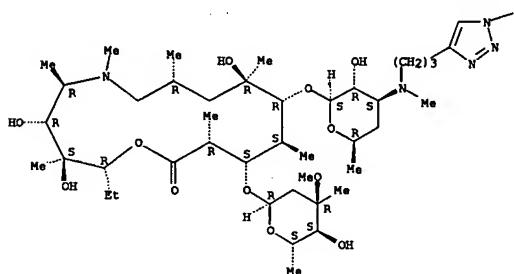


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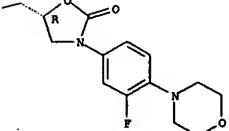
CN: 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-trideoxy-3-[(3-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl)-1H-1,2,3-triazol-4-yl]propyl-methylamino- β -D-xylo-hexopyranosyl]oxy)-, (2R,3S,4R,5R,8R,9R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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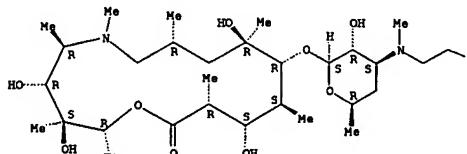
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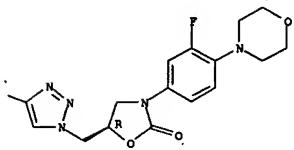
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Absolute stereochemistry.

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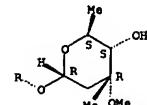
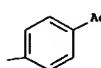
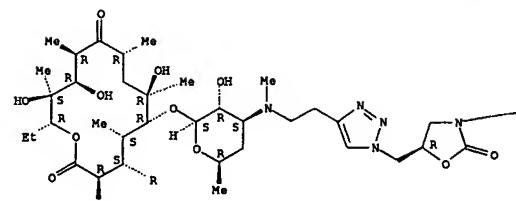


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RN 677726-27-1 HCPLUS
 CN Erythromycin, N-[2-[1-[(5R)-3-(4-acetylphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-N-demethyl- (9CI) (CA INDEX NAME)

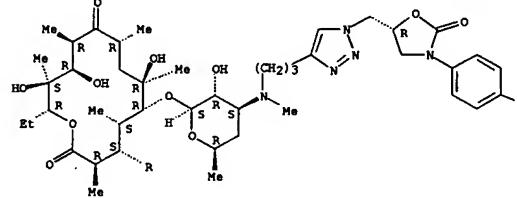
Absolute stereochemistry.



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Absolute stereochemistry.

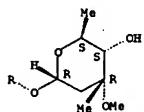
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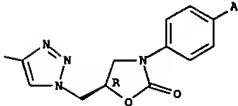
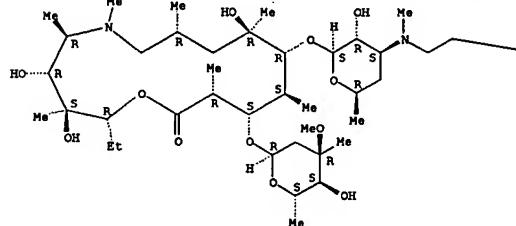
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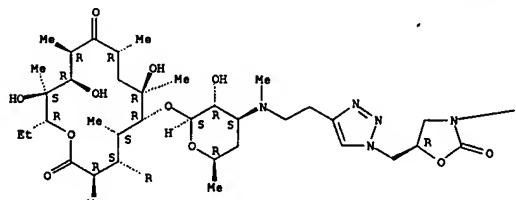
RN 677726-29-3 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[[3-[(2-1-[(5R)-3-(4-acetylphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)ethyl]methylamino]-3,4,6-trideoxy- β -D-xylo-hexopyranosyl]oxy]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -D-ribopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

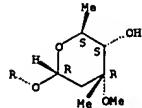
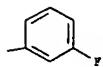
Absolute stereochemistry.



RN 677726-30-6 HCPLUS
 CN Erythromycin, N-demethyl-N-[2-[1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]- (9CI) (CA INDEX NAME)

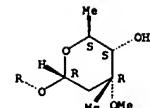
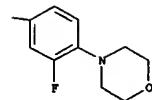
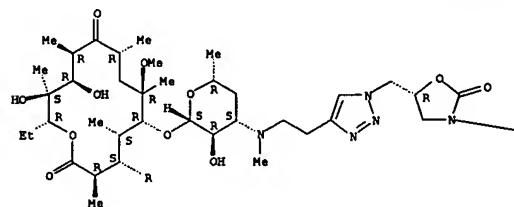
Absolute stereochemistry.





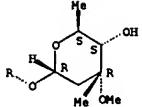
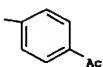
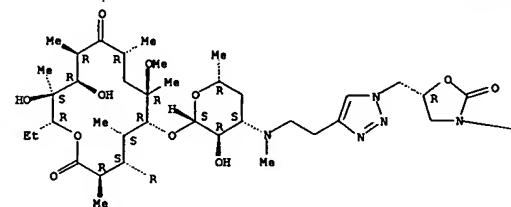
RN 677726-33-9 HCPLUS
 CN Erythromycin, N-demethyl-N-[2-[1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



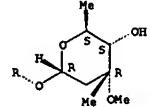
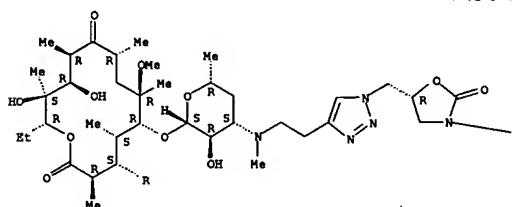
RN 677726-34-0 HCPLUS
 CN Erythromycin, N-[2-[1-[(5R)-3-(4-acetylphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-N-demethyl-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



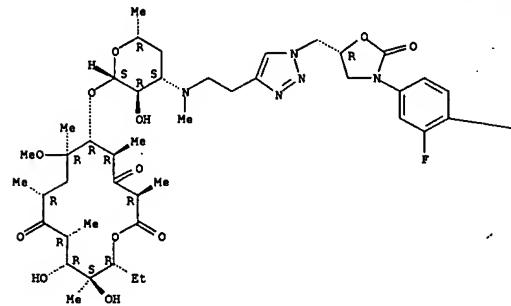
RN 677726-35-1 HCPLUS
 CN Erythromycin, N-demethyl-N-[2-[1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 677726-36-2 HCPLUS
 CN Erythromycin, 3-de[2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl]oxy]-N-demethyl-N-[2-[1-[(5R)-3-(3-fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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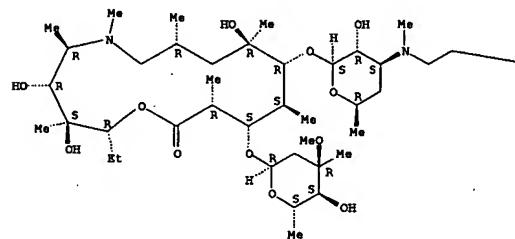
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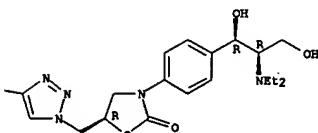
RN 677726-46-4 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[(1-[(5R)-3-[(2-
 fluoro-4'-(hydroxymethyl)[1,1'-biphenyl]-4-yl]-2-oxo-5-
 oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)ethyl]methylamino]-
 β-D-xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

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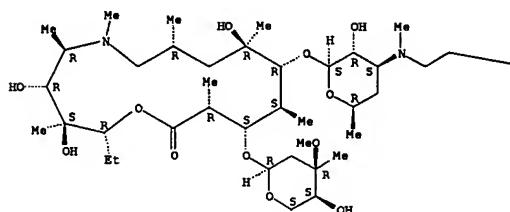
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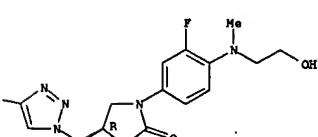
RN 677726-48-6 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[(1-[(5R)-3-[(3-
 hydroxy-1-methylethyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)ethyl]methylamino]-
 β-D-xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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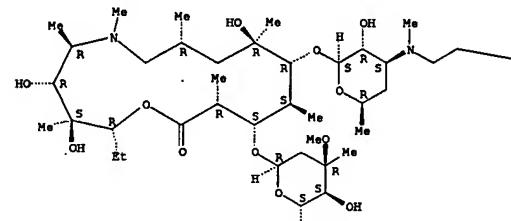
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RN 677726-47-5 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[(1-[(5R)-3-[(4-
 [(1R,2R)-2-(diethylamino)-1,3-dihydroxypyropyl]phenyl]-2-oxo-5-
 oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)ethyl]methylamino]-
 β-D-xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA
 INDEX NAME)

Absolute stereochemistry.

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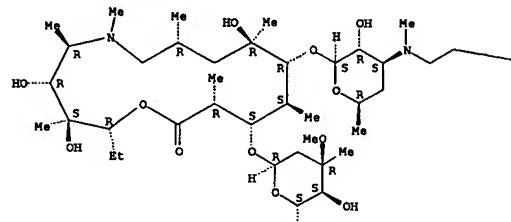


Absolute stereochemistry.

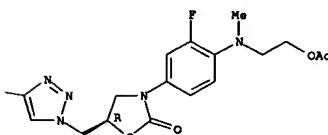
RN 677726-49-7 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[(2-[(1-[(5R)-3-[(4-[(2-
 acetyl)ethyl]methylamino)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-
 1H-1,2,3-triazol-4-yl)ethyl]methylamino]-3,4,6-trideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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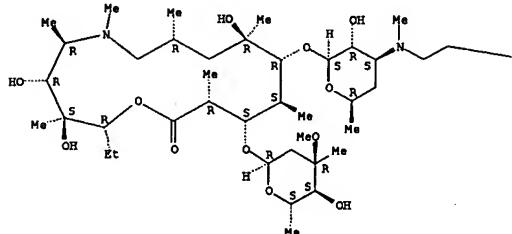
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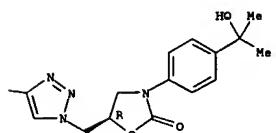
RN 677726-50-0 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[(1-[(5R)-3-[(4-
 hydroxy-1-methylethyl)phenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-
 triazol-4-yl)ethyl]methylamino]-
 β-D-xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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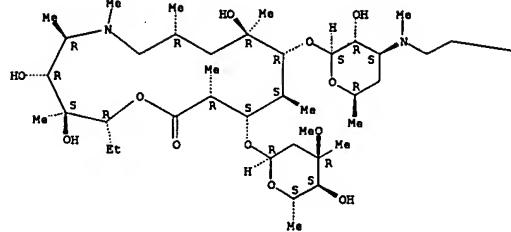
PAGE 1-B



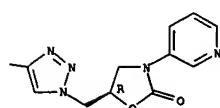
RN 677726-51-1 HCPLUS
 CN 1-oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[methyl[2-(1-[(5R)-2-oxo-3-(3-pyridinyl)-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]amino)- β -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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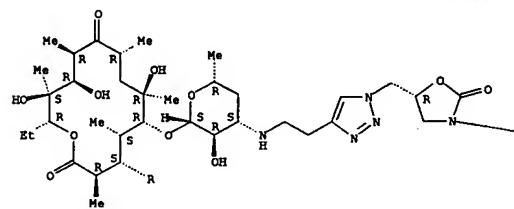
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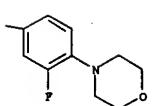
RN 677726-52-2 HCPLUS
 CN Erythromycin, N,N-dimethyl-N-[2-[1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

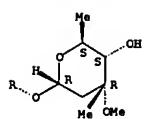
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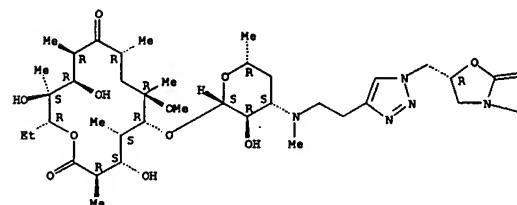
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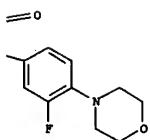
RN 677726-53-3 HCPLUS
 CN Erythromycin, 3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)-N-demethyl-N-[2-[1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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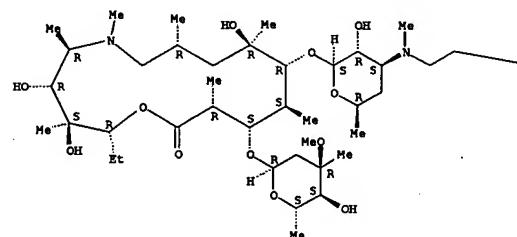
RN 677726-54-4 HCPLUS
 CN Erythromycin, 3-O-de(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-N-demethyl-N-[2-[1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]-6-O-methyl-3-oxo- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

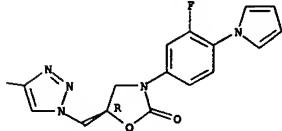
RN 677726-55-5 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-(4-dimethylamino)-3-fluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)ethyl]methylamino]- β -D-xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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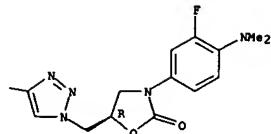
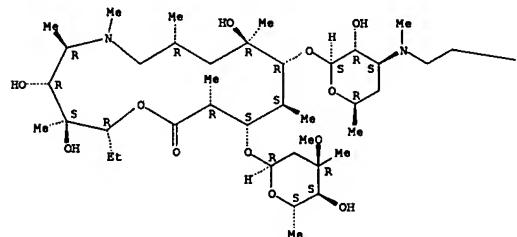
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RN 677726-58-8 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[[3-[(1-[(5R)-3-(4'-cyano-2-fluoro-1,1'-biphenyl)-4-yl)-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]-3,4,6-trideoxy- β -D-xylo-hexopyranosyl)oxy]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

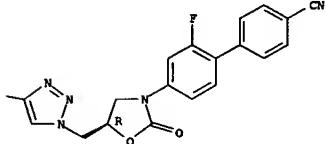
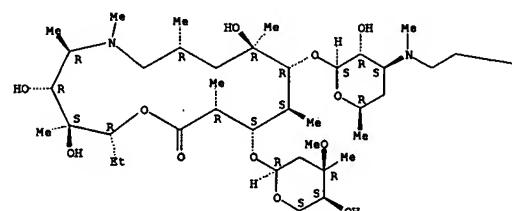
Absolute stereochemistry.

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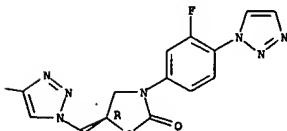
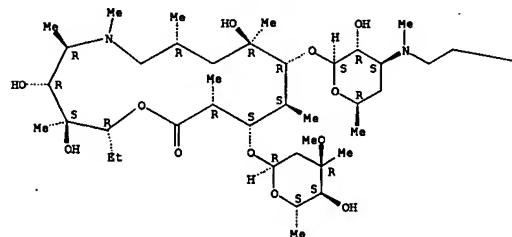
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 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-(3-fluoro-4-(1H-pyrrol-1-yl)phenyl)-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)ethyl]methylamino]- β -D-xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 677726-60-2 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-(3-fluoro-4-(1H-1,2,3-triazol-4-yl)phenyl)-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)ethyl]methylamino]- β -D-xylo-hexopyranosyl)oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



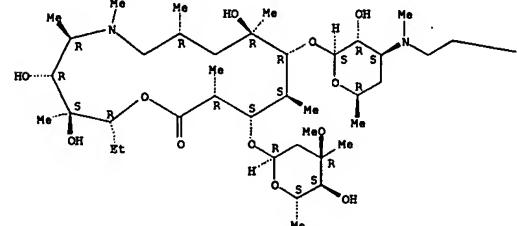
L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 677726-62-4 HCAPLUS

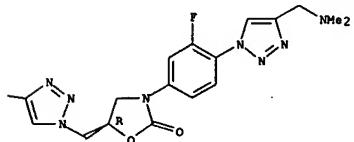
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Absolute stereochemistry.

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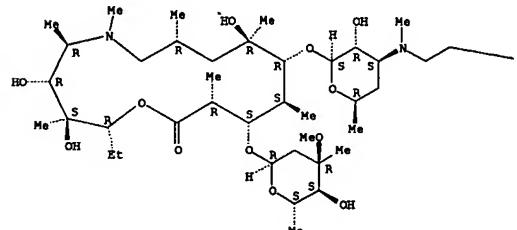


RN 677726-63-5 HCAPLUS

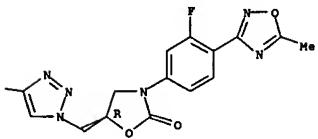
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RN 677726-66-8 HCAPLUS

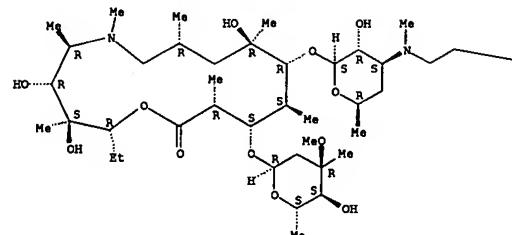
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[methyl[2-1-[(5R)-3-[4-[(methylsulfonyl)amino]sulfonyl]phenyl]-2-oxo-5-oxazolidinyl]methyl)-1H-1,2,3-triazol-4-yl]ethyl)methylamino]- β -D-xylo-hexopyranosyl)oxy]-, (2R,35,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

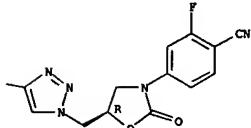
L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued) (2R,35,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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RN 677726-65-7 HCAPLUS

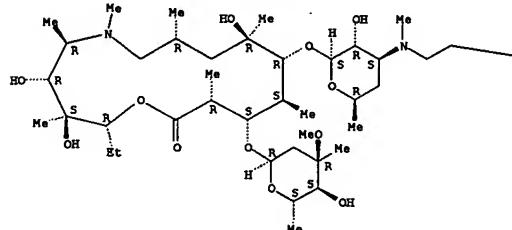
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Absolute stereochemistry.

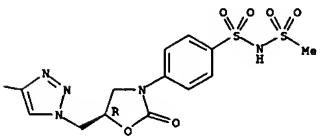
L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L12 ANSWER 27 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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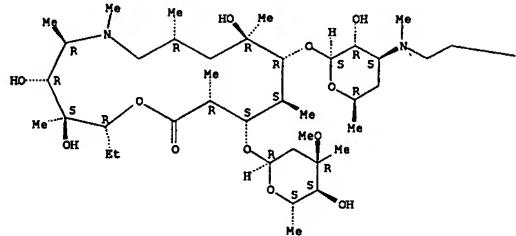


RN 677726-68-0 HCAPLUS

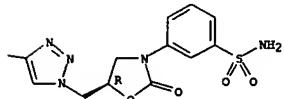
CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[(3-[(2-[1-[(5R)-3-[3-(aminocilifonyl)phenyl]-2-oxo-5-oxazolidinyl]methyl)-1H-1,2,3-triazol-4-yl]ethyl)methylamino]-3,4,6-trideoxy- β -D-xylo-hexopyranosyl)oxy]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-, (2R,35,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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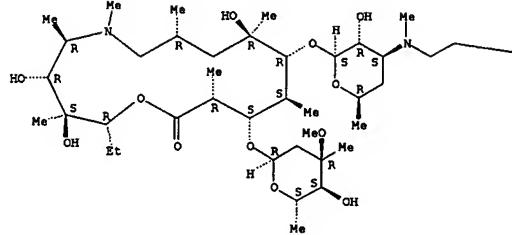
PAGE 1-B



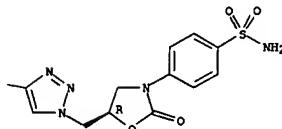
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Absolute stereochemistry.

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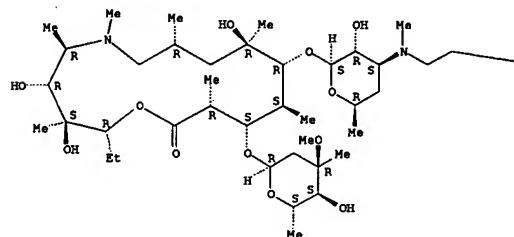
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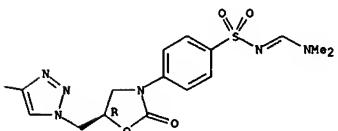
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Absolute stereochemistry.
 Double bond geometry unknown.

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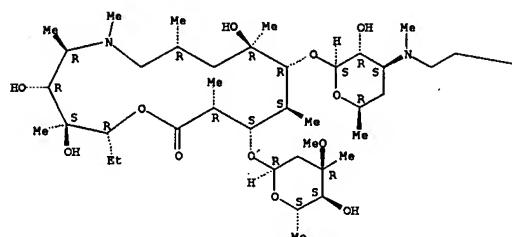
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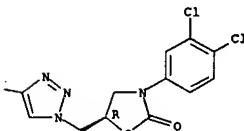
RN 677726-73-7 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[[3,4,6-trideoxy-3-[[2-[1-[(5R)-3-(3,4-dichlorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]ethyl]methylamino]- β -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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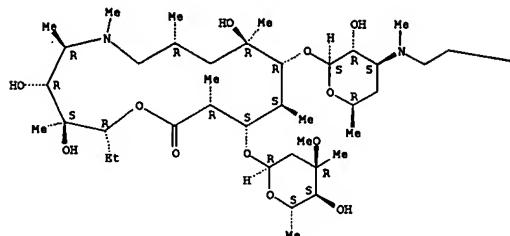
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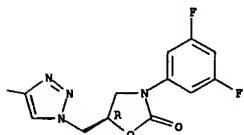
RN 677726-74-8 HCPLUS
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Absolute stereochemistry.

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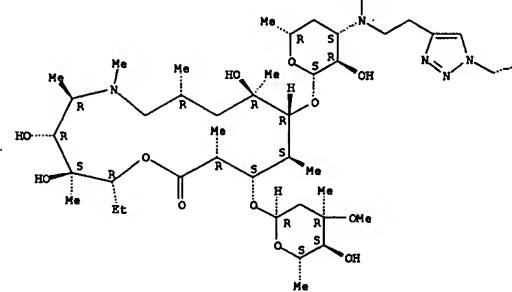
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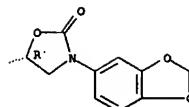
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Absolute stereochemistry.

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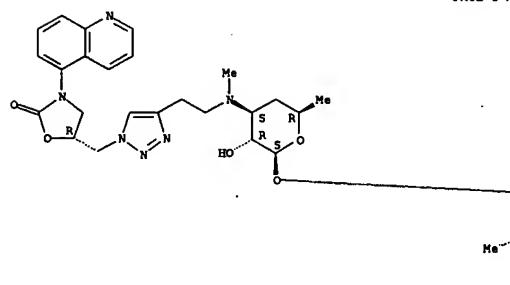
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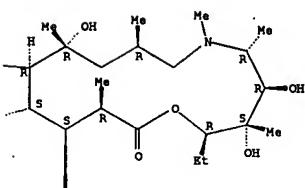
RN 677726-76-0 HCPLUS
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Absolute stereochemistry.

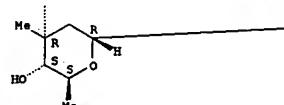
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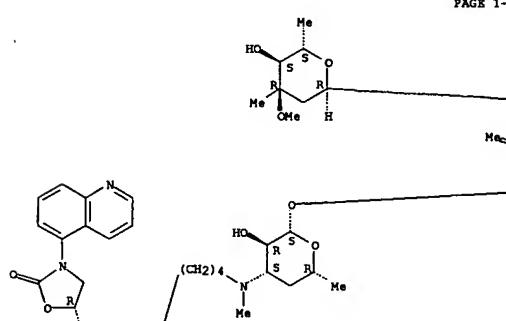


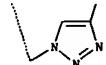
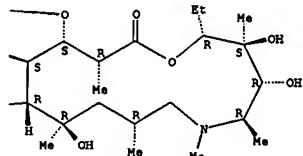
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RN 677726-77-1 HCPLUS
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Absolute stereochemistry.

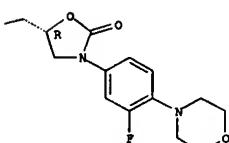
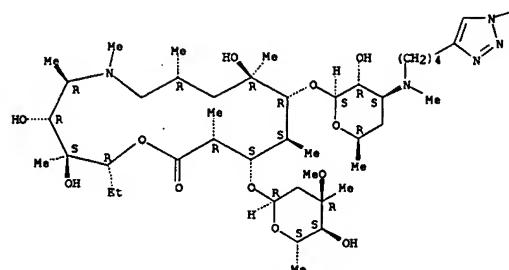
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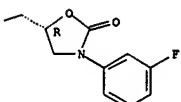
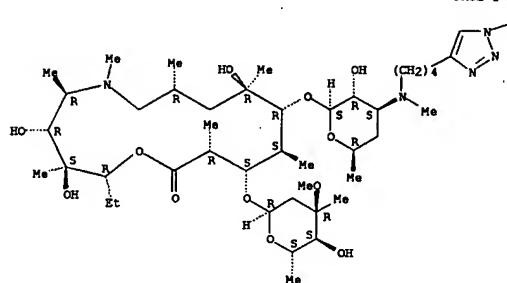
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Absolute stereochemistry.



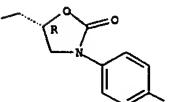
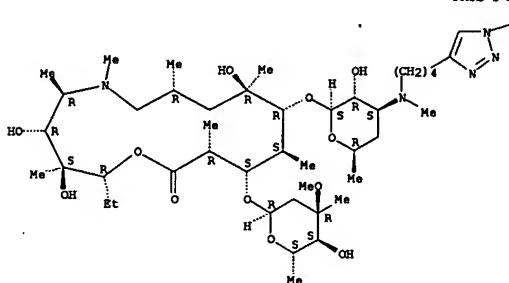
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Absolute stereochemistry.



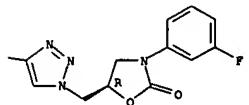
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Absolute stereochemistry.



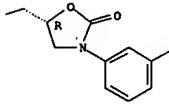
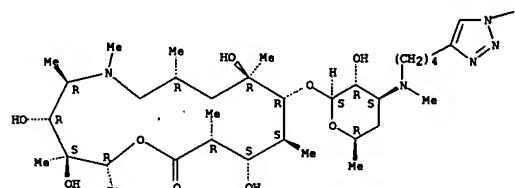
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Absolute stereochemistry.



RN 677726-82-8 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 2-ethyl-3,4,10,13-tetrahydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(4-1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)butyl]methylamino]- β -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

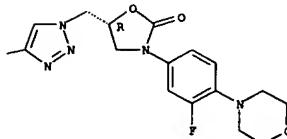
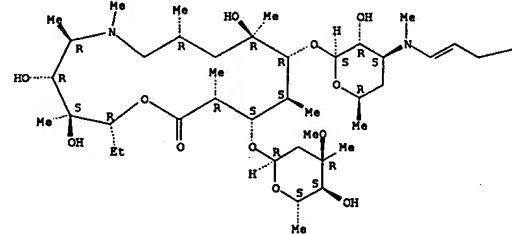
Absolute stereochemistry.



RN 677726-83-9 HCPLUS
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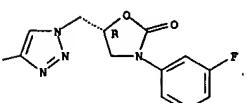
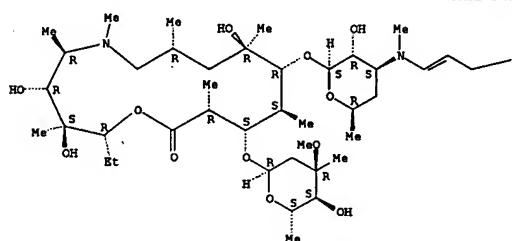
L12 ANSWER 27 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)
 triazol-4-yl)-1-propenyl]methylamino]- β -D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



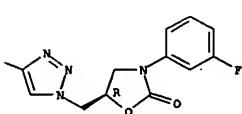
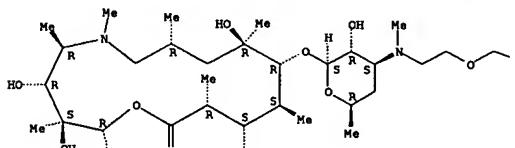
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Absolute stereochemistry.
 Double bond geometry unknown.



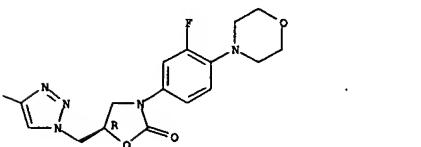
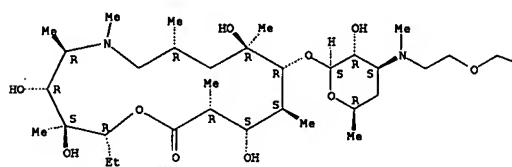
RN 677726-87-3 HCPLUS
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Absolute stereochemistry.



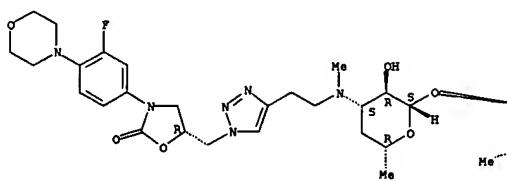
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Absolute stereochemistry.

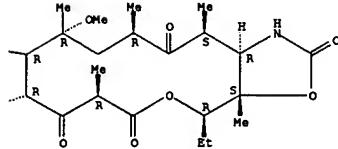


RN 677726-89-5 HCPLUS
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Absolute stereochemistry.



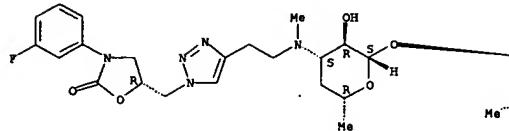
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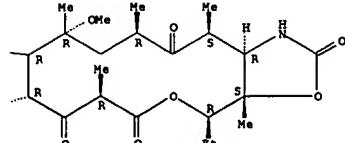
RN 677726-90-8 HCAPLUS
CN 2H-Oxacyclotetradecino(4,3-d)oxazole-2,6,8,14 (1H,7H,9H)-tetrone,
4-ethylcyclohex-11-methoxy-3a,7,9,11,13,15-hexamethyl-10-[(3,4,6-trideoxy-
3-[(2-[1-[(5R)-3-(3-fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-
triazol-4-yl)ethyl]methylamino)- β -D-xylo-hexopyranosyl]oxy]-,
(3aS,4R,7R,9R,11R,13R,15S,15aR) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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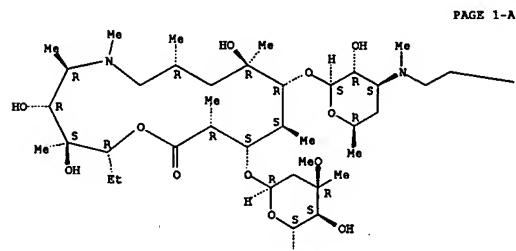


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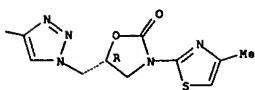


RN 677727-77-4 HCAPLUS
CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[methyl[2-[(5R)-3-
(4-methyl-2-thiazolyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
yl]propyl]amino)- β -D-xylo-hexopyranosyl]oxy]-,
(2R,3S,4R,5R,6R,10R,11R,12S,13S,14R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

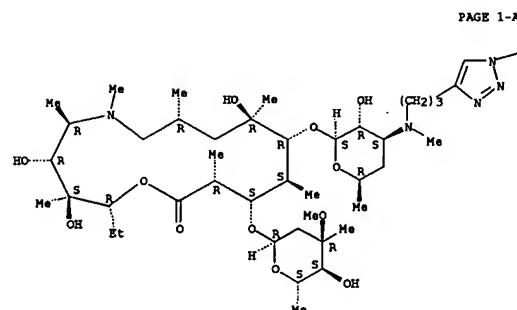


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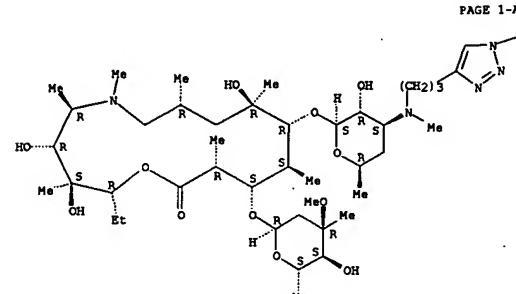
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3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[methyl[3-1-[(5R)-3-
(4-methyl-2-thiazolyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
yl]propyl]amino)- β -D-xylo-hexopyranosyl]oxy]-,
(2R,3S,4R,5R,6R,10R,11R,12S,13S,14R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

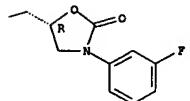


RN 677727-79-6 HCAPLUS
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3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[3-1-[(5R)-3-(3-
fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
yl]propyl]methoxyamino)- β -D-xylo-hexopyranosyl]oxy]-,
(2R,3S,4R,5R,6R,10R,11R,12S,13S,14R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

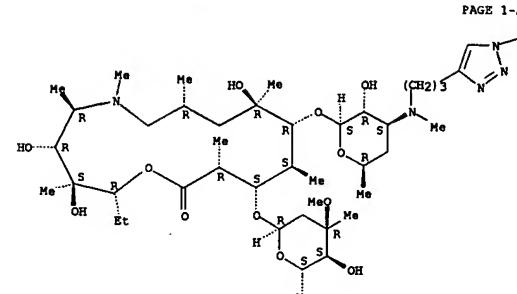


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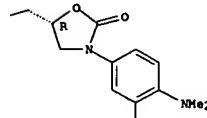


RN 677727-80-9 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-alpha-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(3-[1-[(5R)-3-(4-dimethylamino)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)propyl]methylamino]-beta-D-xylo-hexopyranosyl]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

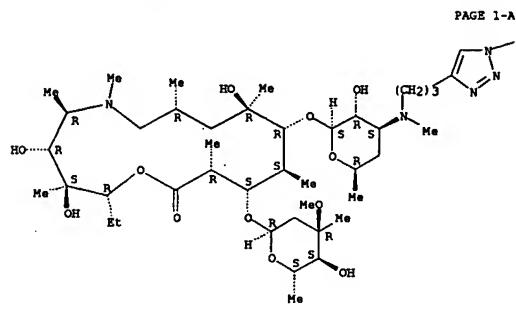


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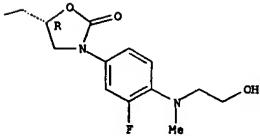


RN 677727-81-0 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-alpha-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(3-[1-[(5R)-3-(3-fluoro-4-((2-hydroxyethyl)methylamino)phenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)propyl]methylamino)-beta-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

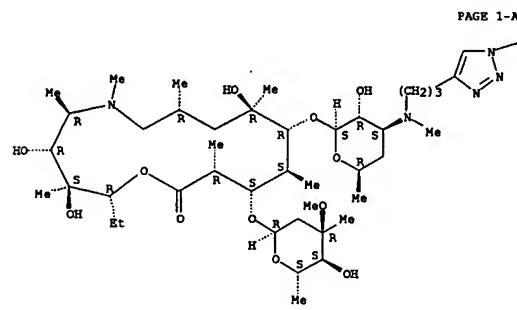


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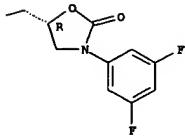


RN 677727-82-1 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-alpha-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(3-[1-[(5R)-3-(3,5-difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)propyl]methylamino)-beta-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



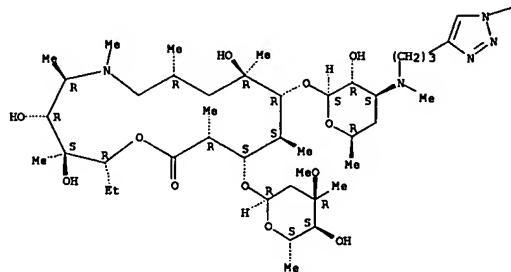
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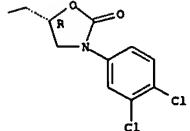
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Absolute stereochemistry.

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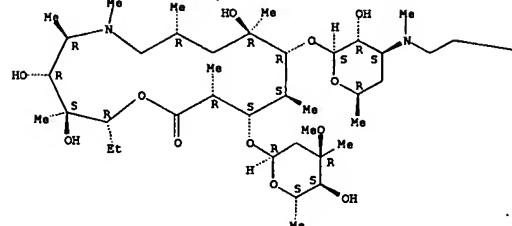
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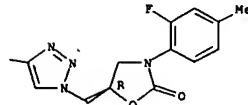
RN 677727-84-3 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[1-[(5R)-3-(2-
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 yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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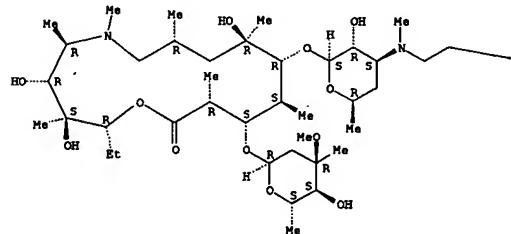
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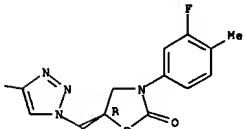
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 fluoro-4-methylphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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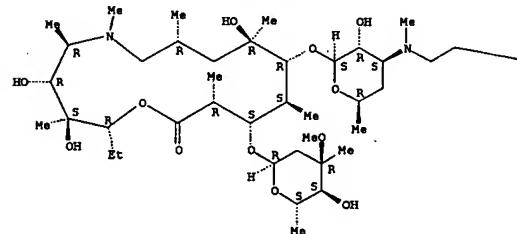
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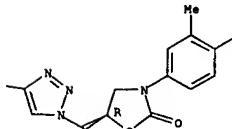
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 fluoro-3-methylphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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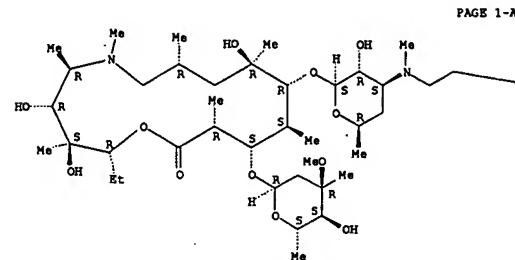


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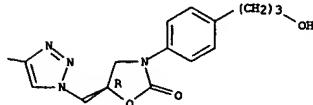


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 hydroxypropyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
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Absolute stereochemistry.

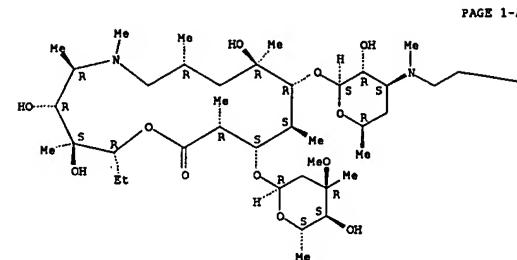


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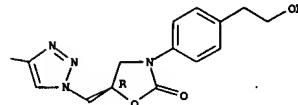


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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-[1-[(5R)-3-[(4-(2-
 hydroxyethyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-8-D-xylo-hexopyranosyl]oxy]-
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Absolute stereochemistry.

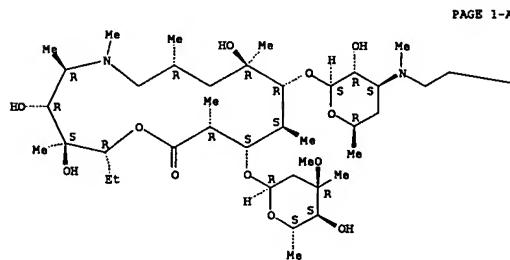


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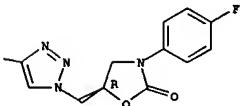


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 fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-8-D-xylo-hexopyranosyl]oxy]-
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Absolute stereochemistry.

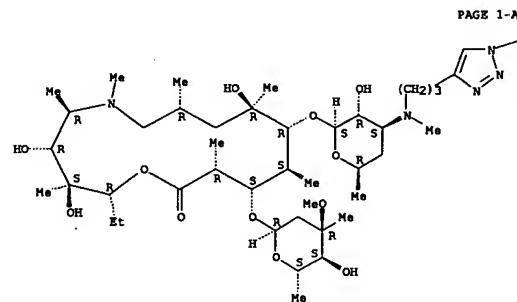


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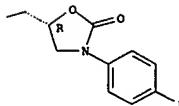


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 fluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)propyl]methylamino]-8-D-xylo-hexopyranosyl]oxy]-
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Absolute stereochemistry.

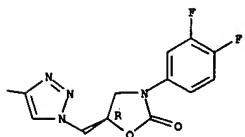
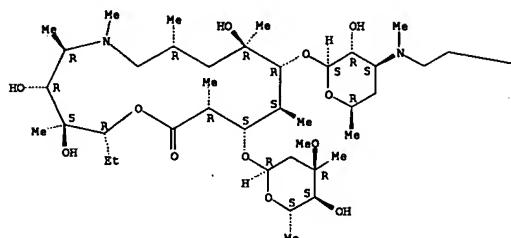


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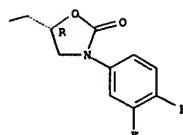
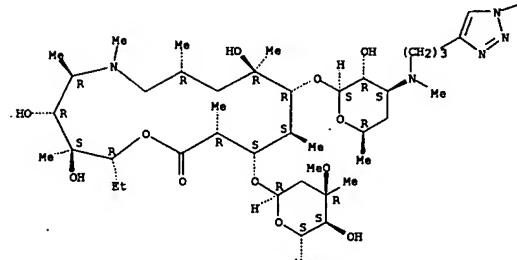
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 difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-8-D-xylo-hexopyranosyl]oxy]-
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Absolute stereochemistry.



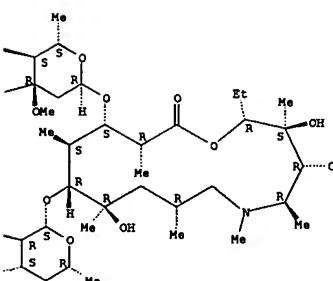
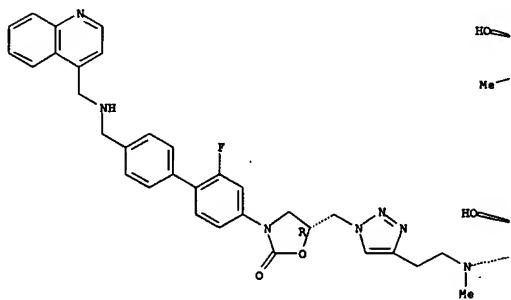
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Absolute stereochemistry.



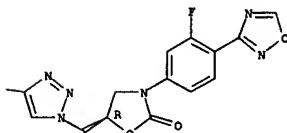
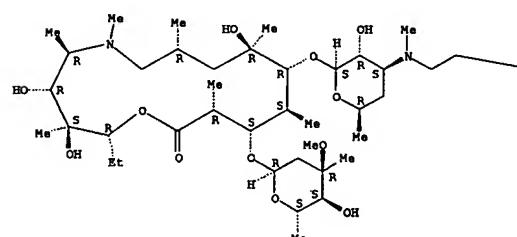
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Absolute stereochemistry.



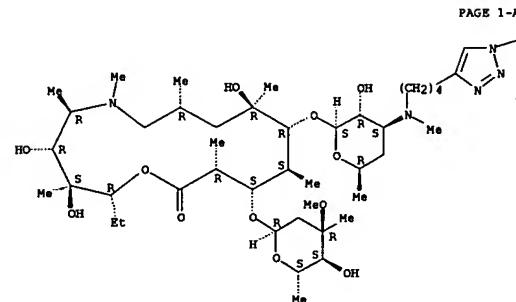
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 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-a-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[(2-1-[(5R)-3-(3,5-difluorophenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)butyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-, (2R,3S,4R,5R,6R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

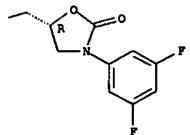


RN 677727-95-6 HCAPIUS
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Absolute stereochemistry.

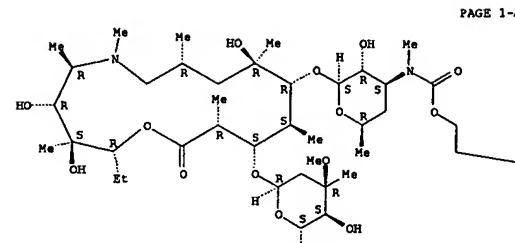


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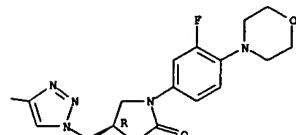


RN 677727-96-7 HCPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[[2-1-[(5R)-3-(3-
 fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-
 triazol-4-yl)ethoxy]carbonylmethylamino]-β-D-xylo-hexopyranosyl]oxy]-
 , (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

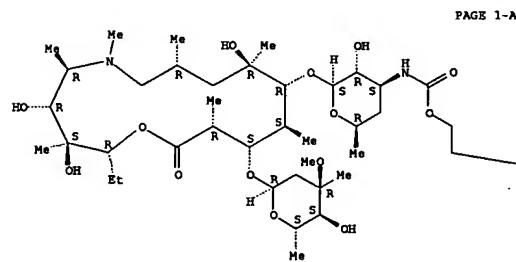


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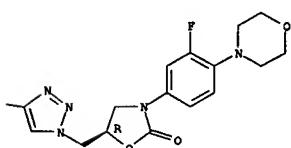


RN 677727-97-8 HCPLUS
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[[2-1-[(5R)-3-(3-
 fluoro-4-(4-morpholinyl)phenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-
 triazol-4-yl)ethoxy]carbonylmethylamino]-β-D-xylo-hexopyranosyl]oxy]-
 , (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

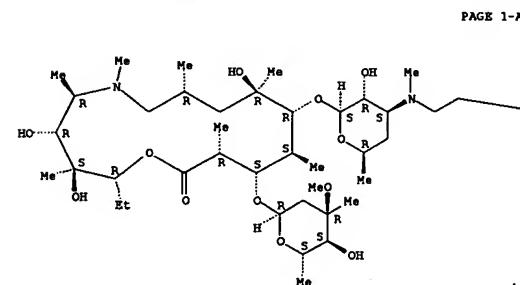


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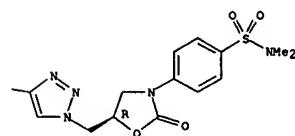


RN 677727-98-9 HCPLUS
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 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[[2-1-[(5R)-3-(4-
 [(dimethylamino)sulfonyl]phenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-
 triazol-4-yl)ethyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 , (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

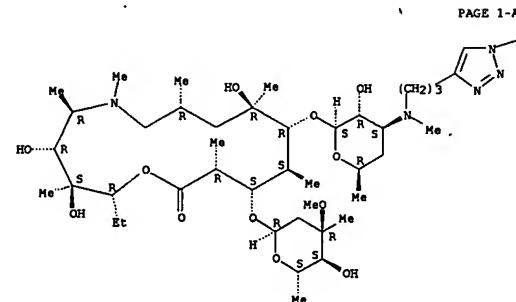


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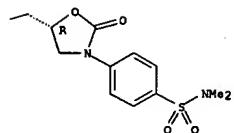


RN 677727-99-0 HCPLUS
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 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[[2-1-[(5R)-3-(4-
 [(dimethylamino)sulfonyl]phenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-
 triazol-4-yl)propyl]methylamino]-β-D-xylo-hexopyranosyl]oxy]-
 , (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

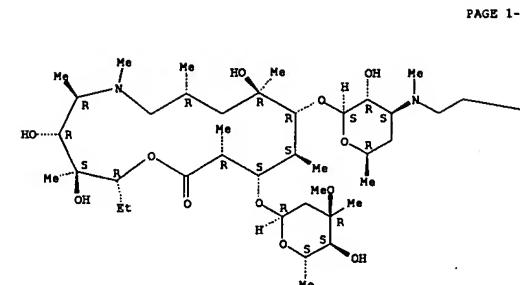


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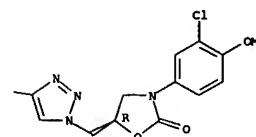


RN 677728-00-6 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[(3-[(2-[1-[(5R)-3-(3-chloro-4-methoxyphenyl)-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)ethyl]methylamino)-3,4,6-trideoxy- β -D-xylo-hexopyranosyl]oxy]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-1-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-1-(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

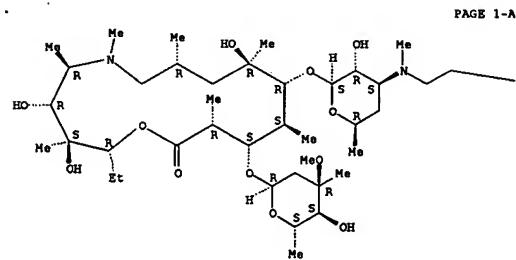


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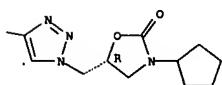


RN 677728-01-7 HCAPLUS
 CN 1-Oxa-6-azacyclopentadecan-15-one, 11-[(3-[(2-[1-[(5R)-3-cyclopentyl-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)ethyl]methylamino)-3,4,6-trideoxy- β -D-xylo-hexopyranosyl]oxy]-13-[(2,6-dideoxy-3-C-methyl-3-O-methyl- α -L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-3,5,6,8,10,12,14-heptamethyl-1-(2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

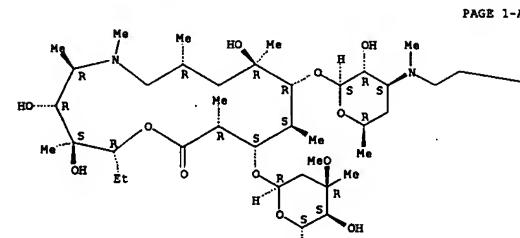


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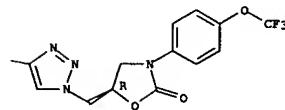


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Absolute stereochemistry.



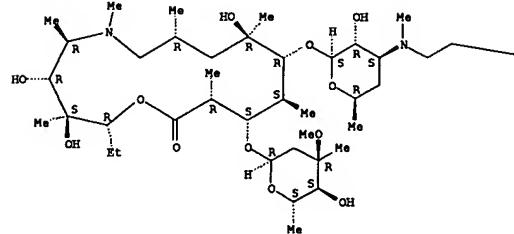
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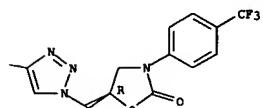
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Absolute stereochemistry.

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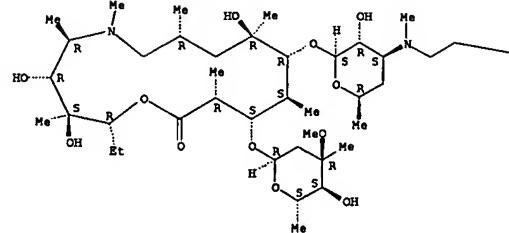
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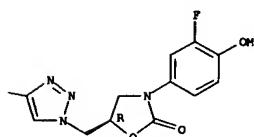
RN 677728-04-0 HCAPLUS
 CN 1-Oxa-8-azacyclopentadecan-15-one, 13-[(2,6-dideoxy-3-C-methyl-3-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,6,6-trideoxy-3-[(2-[1-((5R)-3-
 (3-fluoro-4-methoxyphenyl)-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-D-β-D-xylo-hexopyranosyl)oxy]-
 (2R,3S,4R,5R,8R,9R,10R,11R,12S,13S,14R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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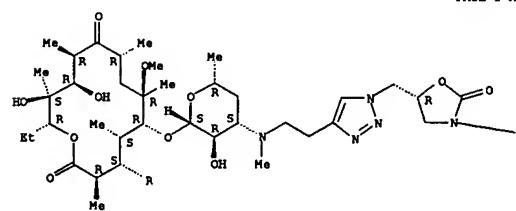
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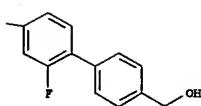
RN 677729-42-9 HCAPLUS
CN Erythromycin, N-demethyl-N-[2-[1-[(5(R)-3-[2-fluoro-4'-(hydroxymethyl)[1,1'-biphenyl]-4-yl]-2-oxo-5-oxazolidinyltriazol-4-yl]ethyl]-6-O-methyl (SC1) (CA INDEX NAME)

Absolute stereochemistry

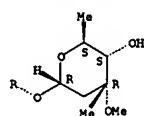
PAGE 1-A



PAGE 1 B



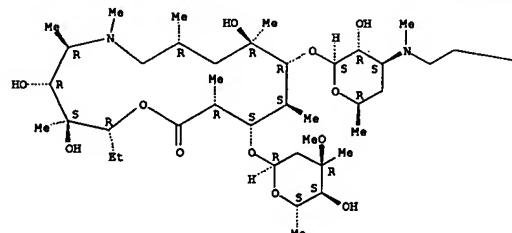
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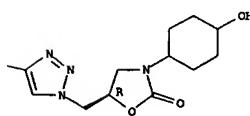
RN 678182-71-3 HCAPLUS
 CN 1-Oxa-8-acyclopentadecan-1-one, 13-[(2,6-dideoxy-3-C-methyl-1-O-methyl-
 α-L-ribo-hexopyranosyl)oxy]-2-ethyl-3,4,10-trihydroxy-
 3,5,6,8,10,12,14-heptamethyl-11-[(3,4,6-trideoxy-3-[2-[(1-[(5R)-3-(trans-
 4-hydroxy-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-
 yl)ethyl]methylamino]-9-β-D-xylo-hexopyranosyl)oxy]-
 (2R,3S,4R,5R,8R,10R,11R,12S,13S,14R)- (SC1) (CA INDEX NAME)

Absolute stereochemistry.

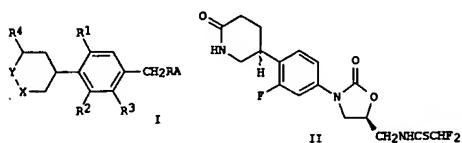
PAGE 1-A



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L12 ANSWER 28 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 ED Entered STN: 22 Feb 2004
 GI



AB Antibacterial agents of formula I [A = 2-oxazolidinone, isoxazoline, furanone, etc.; X, Y = CO, (substituted) NH; R = acylamino, heterocyclo, etc.; R1-R3 = H, halo, Me; R4 = H, alkyl, alkoxy, alkylthio, alkylamino] are prepared. Thus, II was prepared and had MIC of 1 μ g/mL.

ACCESSION NUMBER: 2004143139 HCAPLUS

DOCUMENT NUMBER: 140:181437

TITLE: Preparation of N-aryl-2-oxazolidinones and their derivatives as antibacterial agents

INVENTOR(S): Gaddow, Robert C.; Ochoada, Jason M.

PATENT ASSIGNEE(S): Pharmaceutical & Upjohn Company, USA

SOURCE: PCT Int. Appl., 50 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

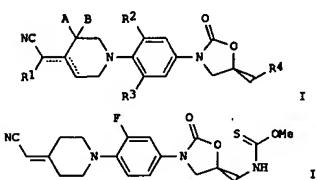
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014897	A1	20040219	WO 2003-US22486	20030801
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KG, KG, KR, KZ, LC, LX, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, HZ, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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CA 2491287	AA	20040219	CA 2003-2491287	20030801
US 2004072873	A1	20040415	US 2003-632742	20030801
EP 1539745	A1	20050615	EP 2003-784775	20030801
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MX, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013400	A	20050712	BR 2003-13400	20030801
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S): MARPAT 140:181437				
IT 658073-58-6P				
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU				

L12 ANSWER 29 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 ED Entered STN: 26 Jan 2004

GI



AB Title compds. I [wherein R1 = H, (un)substituted (cyclo)alkyl, (un)substituted alkanoyl, acylmercapto, heterocyclylcarbonyl, etc.; R2, R3 = H, halogen; R4 = (alkylsulfonyl)oxy, (un)substituted alkylthiocarbonylamino, (un)substituted ureido, carbamato, etc. A, B = independently selected from H, alkyl, CO₂Et, halogen and salts or solvates thereof] were prepared as antibacterial agents. For example, reaction of (S)-N-[3-(4-(4-cyanomethylidene)piperidin-1-yl)-3-fluorophenyl]-2-oxazolidin-5-ylmethylamine with carbon disulfide (69%), followed by addition of sodium methoxide, gave II in 77% yield. II showed 1.56-6.25 μ g/mL (MIC) against linezolid resistant strains, such as S. aureus MRSA-32, S. pneumoniae SPN744 and E. faecium 367, 0.2 μ g/mL (MIC) against linezolid sensitive strains, such as S. aureus MRSA-32 and S. pneumoniae 49619, 1.56 (MIC) for the treatment of E. faecalis 416 infection, and etc. 3D quant. structure activity relationship (3D-QSAR) showed that the steric contributions of I are over one and half times more than the electrostatic contributions, compared to literature compds. Thus, I and their pharmaceutical compds. targeting multiple ribonucleoprotein sites are useful as antimicrobial agents for treating bacterial infections.

ACCESSION NUMBER: 2004:60503 HCAPLUS

DOCUMENT NUMBER: 140:128407

TITLE: Preparation of (piperidinophenyl)oxazolidinones targeting multiple ribonucleoprotein sites as antibacterial agents

INVENTOR(S): Patel, Mahesh V.; Deshpande, Prasad K.; Sindkhedkar, Milind D.; Gupte, Shrikant V.; Chugh, Yati; Shetty, Nitin; Shukla, Milind C.; Yeole, Ravindra D.; De Souza, Noel J.

PATENT ASSIGNEE(S): India

SOURCE: PCT Int. Appl., 156 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

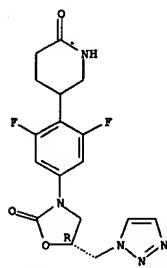
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004007499	A2	20040122	WO 2003-IN238	20030710
WO 2004007489	A3	20040318		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,

L12 ANSWER 28 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of N-aryloxazolidinones as antibacterial agents)
 RN 658073-58-6 HCAPLUS
 CN 2-Piperidinone, 5-[2,6-difluoro-4-((5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 29 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LX, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TH, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, S2, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TH, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BP, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2492194 AA 20040122 CA 2003-2492194 20030710

EP 1565461 A2 20050824 EP 2003-753912 20030710

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: US 2002-395164P P 20020711
 WO 2003-IN238 W 20030710

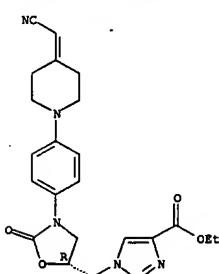
OTHER SOURCE(S): MARPAT 140:128407

IT 648918-90-5P 648918-10-2P 648918-12-4P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting multiple RNA sites as antibacterial agents)

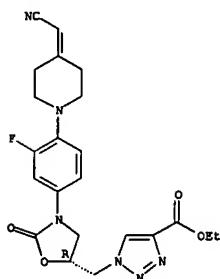
RN 648918-90-5 HCAPLUS
 CN 1H-1,2,3-Triazolo-4-carboxylic acid, 1-[(5R)-3-[4-(4-(cyanomethylene)-1-piperidinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



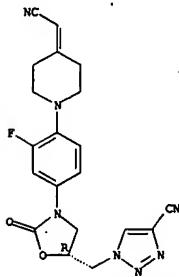
RN 648918-10-2 HCAPLUS
 CN 1H-1,2,3-Triazolo-4-carboxylic acid, 1-[(5R)-3-[4-(4-(cyanomethylene)-1-piperidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 648919-12-4 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carbonitrile, 1-[(5R)-3-[4-(4-(cyanomethylene)-1-piperidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

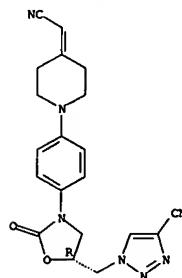


IT 648918-91-6P 648919-11-3P 648920-06-3P
 648920-10-9P 648920-11-0P 648920-33-6P
 648920-34-7P 648920-35-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

RN 648918-91-6 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carbonitrile, 1-[(5R)-3-[4-(cyanomethylene)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl- (9CI) (CA INDEX NAME)

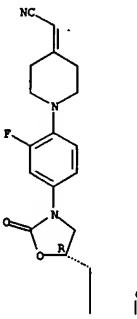
Absolute stereochemistry.



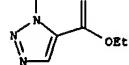
RN 648919-11-3 HCAPLUS
 CN 1H-1,2,3-Triazole-5-carboxylic acid, 1-[(5R)-3-[4-(cyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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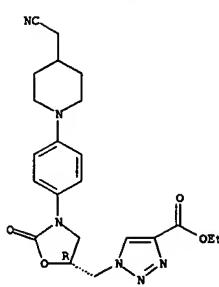


PAGE 2-A



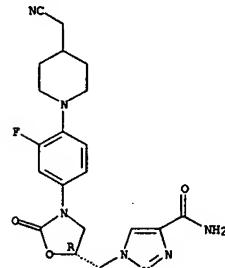
RN 648920-06-3 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[(5R)-3-[4-(4-(cyanomethyl)-1-piperidinyl)phenyl]-2-oxo-5-oxazolidinylmethyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



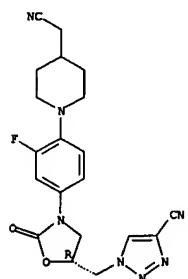
RN 648920-10-9 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxamide, 1-[(5R)-3-[4-(4-(cyanomethyl)-1-piperidinyl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



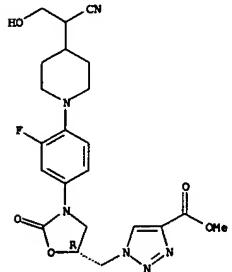
RN 648920-11-0 HCAPLUS
 CN 4-Piperidinacetonitrile, 1-[(5R)-5-[4-(4-cyanomethyl)-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



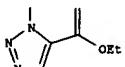
RN 648920-33-6 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[(5R)-3-[4-[4-(1-cyano-2-hydroxyethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



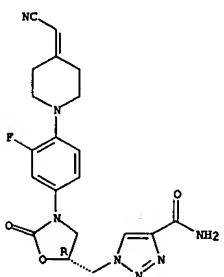
RN 648920-34-7 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[(5R)-3-[4-[4-(1-cyano-2-hydroxyethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



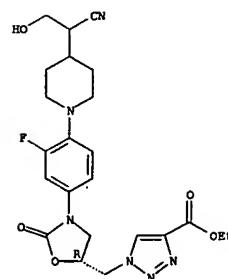
IT 648921-31-7
 RL: RCT (Reactant or reagent)
 (preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting multiple RNA sites as antibacterial agents)
 RN 648921-31-7 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxamide, 1-[(5R)-3-[4-[4-(cyanomethylene)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



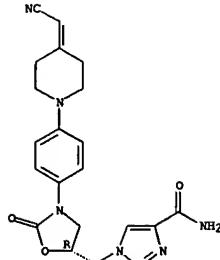
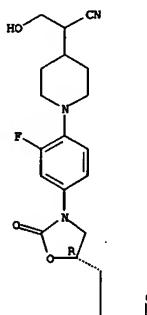
IT 648921-09-9P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of cyanomethylenepiperidinophenyl oxazolidinones targeting multiple RNA sites as antibacterial agents)
 RN 648921-09-9 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxamide, 1-[(5R)-3-[4-[4-(cyanomethylene)-1-piperidinyl]phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 648920-35-8 HCAPLUS
 CN 1H-1,2,3-Triazole-5-carboxylic acid, 1-[(5R)-3-[4-[4-(1-cyano-2-hydroxyethyl)-1-piperidinyl]-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-, ethyl ester (9CI) (CA INDEX NAME)

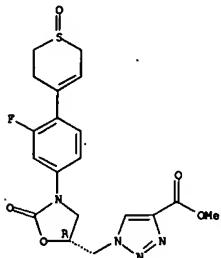
Absolute stereochemistry.



L12 ANSWER 31 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 (2-propynyl)-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
 591253-98-4P, (5R)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[(4-(hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 591254-03-4P, (5R)-3-[(4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl)-5-[(4-(2-hydroxyethyl)-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one]
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (drug candidate; prepn. of 5-acylmethyl oxazolidinones and their use as antibacterial agents)

RN 591253-17-7 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[(5R)-3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl-, methyl ester (9CI) (CA INDEX NAME)

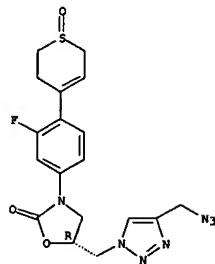
Absolute stereochemistry.



RN 591253-18-8 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(azidomethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl]-, (5R)- (9CI) (CA INDEX NAME)

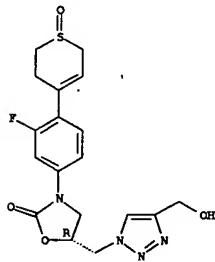
Absolute stereochemistry.

L12 ANSWER 31 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 591253-19-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-(hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

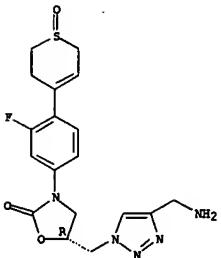
Absolute stereochemistry.



RN 591253-23-5 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(aminomethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl]-, (5R)- (9CI) (CA INDEX NAME)

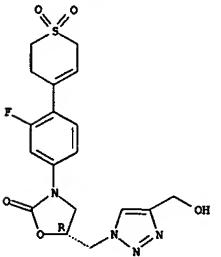
Absolute stereochemistry.

L12 ANSWER 31 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 591253-26-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-(hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

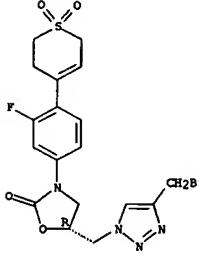
Absolute stereochemistry.



RN 591253-27-9 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(bromomethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-, (5R)- (9CI) (CA INDEX NAME)

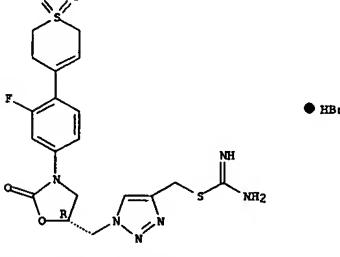
Absolute stereochemistry.

L12 ANSWER 31 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



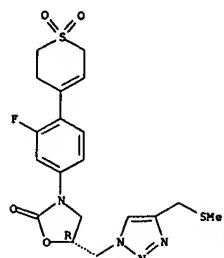
RN 591253-30-4 HCAPLUS
 CN Carbamimidothioic acid, [1-[(5R)-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)methyl ester, monohydrobromide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



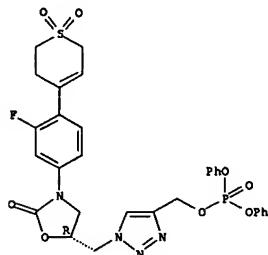
RN 591253-31-5 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-[(methylthio)methyl]-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



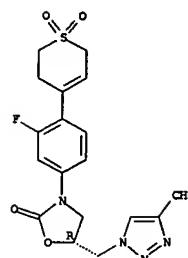
RN 591253-34-8 HCAPLUS
 CN Phosphoric acid, 1-[(5R)-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl]-1H-1,2,3-triazol-4-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



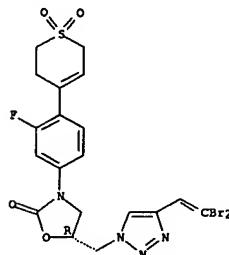
RN 591253-50-8 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carboxaldehyde, 1-[(5R)-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



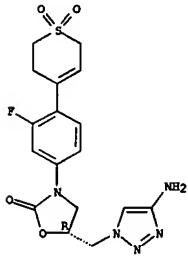
RN 591253-54-2 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(2,2-dibromoethenyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



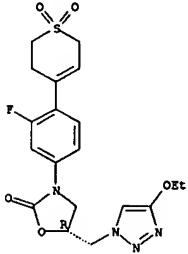
RN 591253-69-9 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-amino-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



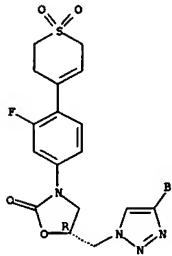
RN 591253-75-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-ethoxy-1H-1,2,3-triazol-1-yl)methyl]-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



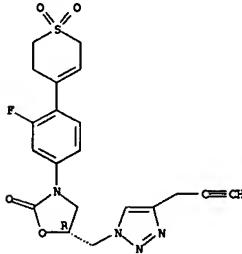
RN 591253-77-9 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-bromo-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



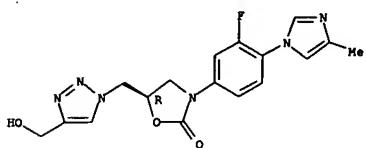
RN 591253-84-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-(2-propynyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



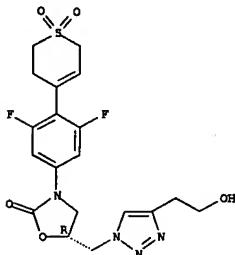
RN 591253-98-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[(4-hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591254-03-4 HCPLUS
CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-(2-hydroxyethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



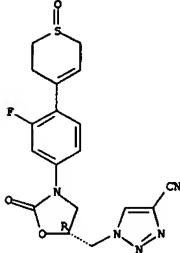
12 ANSWER 31 of 41 HCAPUS COPYRIGHT 2005 ACS on STM (Continued)
591253-91-7P, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-(2,5-dihydro-1H-pyrrol-1-yl)-2-butynyl)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one **591253-92-8P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-(4-(morpholinyl)-2-butynyl)-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one **591253-93-9P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-(4-(morpholinyl)-2-butynyl)-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one **591253-94-0P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-ethynyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one **591253-96-2P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-hydroxy-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one **591253-97-3P**, (SR)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[(4-(fluoromethyl)1H-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one **591254-00-1P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-methylthio-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one **591254-01-2P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-cyclopropyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one **591254-04-5P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-ethenyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one **591254-05-6P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-(methoxymethyl)-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one **591254-06-7P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(5-(methoxymethyl)-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one **591254-07-8P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-chloromethyl)-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one **591254-09-9P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-ethynyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one **591254-11-4P**, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-nitro-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
R1: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); USES (Uses)
 (drug candidate; prepn. of 5-azolylmethyl oxazolidinones and their use

as bactericidal agents)
 RN 591253-16-6 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carbonitrile, 1-[(5R)-3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

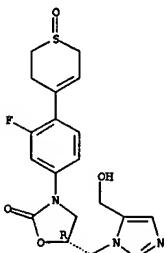
112 ANSWER 31 OF 41 HCPIAS1 COPYRIGHT 2005 ACS on STN (Continued)
 591253-36-09, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-1-[(4-cyanoethyl)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-38-29, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-chloromethyl)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-40-69, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)methyl]oxazolidin-2-one 591253-42-89, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-hydroxymethyl)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-42-89, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[(1H-1,2,3-triazol-1-yl)methyl]-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591253-44-09, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[(1H-imidazol-2-yl)thio)methyl]-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-46-09, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[(phenylmethyl)thio)methyl]-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-48-49, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[(dimethylamino)ethyl]-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591253-52-09, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-difluoromethyl)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-56-49, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[(2-[3-methyl-5-isoxazolyl]ethyl)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-58-69, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[(3-buten-1-ynyl)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-60-09, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[(E)-2-bromoethyl]-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591253-62-29, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[(2-bromoethyl)-1,2,3-triazol-1-yl]methyl)oxazolidin-2-one 591253-64-49, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[2-(ethyl(methyl)amino)oxyethyl]-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591253-65-59, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[2-(dimethylamino)-2-oxoethyl]-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591253-67-79, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[(ethylaminocarbonyl)oxy)methyl]-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-74-69, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-acetylaminol)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-78-09, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-chloro-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591253-81-59, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-fluoro-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591253-82-69, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(5-fluoro-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591253-83-79, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[3-hydroxy-1-propynyl]-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591253-86-09, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-dimethylamino)-2-butynyl]-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-87-19, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-dimethylamino-2-butynyl)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one trifluorooacetate 591253-88-29, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-hydroxymethyl)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-89-39, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-dimethylamino-2-butynyl)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one 591253-90-69, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-dimethylamino-2-butynyl)-1,2,3-triazol-1-yl]methyl]oxazolidin-2-one trifluorooacetate

L12 ANSWER 31 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)



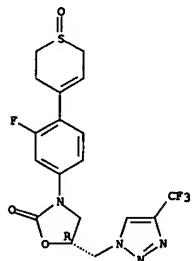
RN 591253-20-2 HCAPLUS
CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(5-(hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



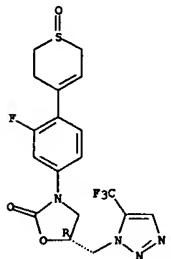
RN 591253-21-3 HCPLUS
CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-(trifluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-
(5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



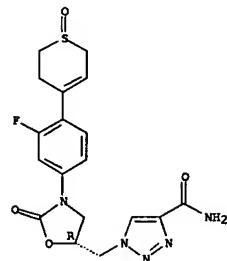
RN 591253-22-4 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(5-(trifluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



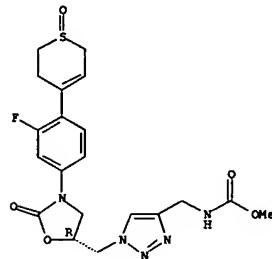
RN 591253-24-6 HCAPIUS
 CN 1H-1,2,3-Triazole-4-carboxamide, 1-[(5R)-3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



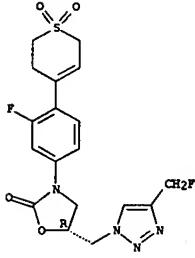
RN 591253-25-7 HCAPIUS
 CN Carbamic acid, [(1-[(5R)-3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl)methyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



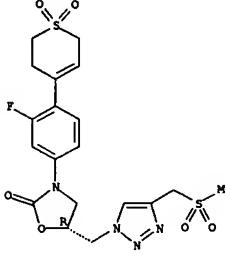
RN 591253-28-0 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



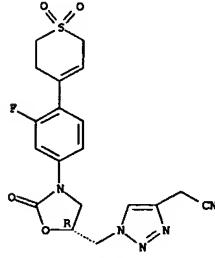
RN 591253-32-6 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-(methylsulfonyl)methyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



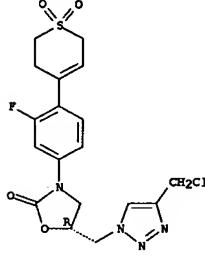
RN 591253-36-0 HCAPIUS
 CN 1H-1,2,3-Triazole-4-acetonitrile, 1-[(5R)-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



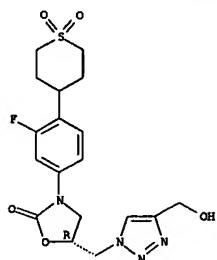
RN 591253-38-2 HCAPIUS
 CN 2-Oxazolidinone, 5-[(4-(chloromethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



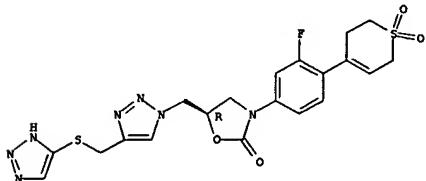
RN 591253-40-6 HCAPIUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-[(4-(hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



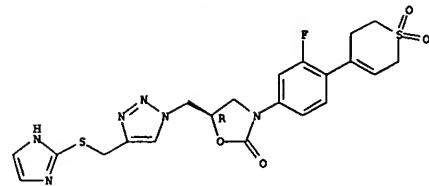
RN 591253-42-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-[(1H-1,2,3-triazol-4-ylthio)methyl]-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



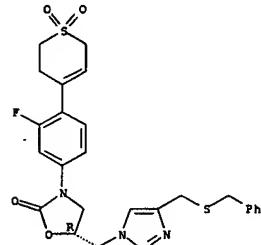
RN 591253-44-0 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-[(1H-imidazol-2-ylthio)methyl]-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



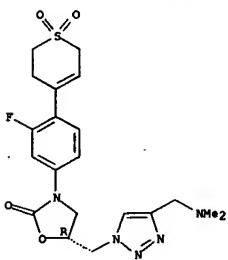
RN 591253-46-2 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-[(phenylmethyl)thio]methyl]-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



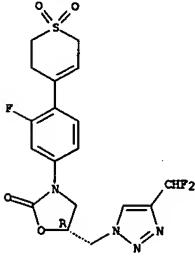
RN 591253-48-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-[(dimethylamino)methyl]-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



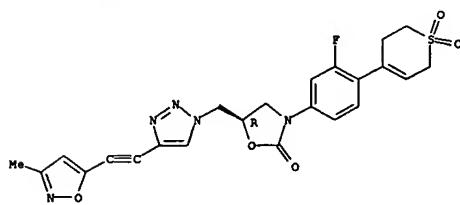
RN 591253-52-0 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(difluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



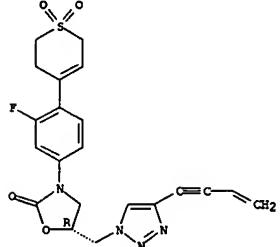
RN 591253-56-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-[(3-methyl-5-isoxazolyl)ethynyl]-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



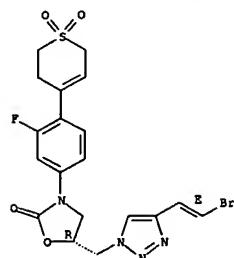
RN 591253-58-6 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-[(3-but-en-1-ynyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



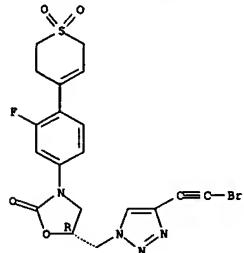
RN 591253-60-0 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-[(1E)-2-bromoethenyl]-1H-1,2,3-triazol-1-yl)methyl]-3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



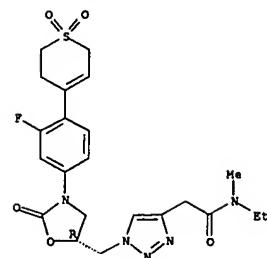
RN 591253-62-2 HCAPIUS
 CN 2-Oxazolidinone, 5-[[4-(bromomethyl)-1H-1,2,3-triazol-1-yl]methyl]-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



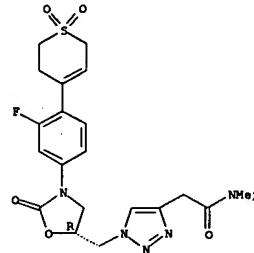
RN 591253-64-4 HCAPIUS
 CN 1H-1,2,3-Triazole-4-acetamide, 1-[[{(5R)-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-N-ethyl-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



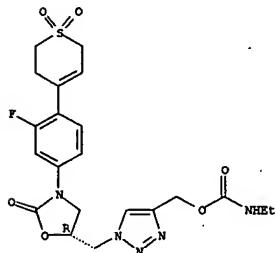
RN 591253-65-5 HCAPIUS
 CN 1H-1,2,3-Triazole-4-acetamide, 1-[[{(5R)-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



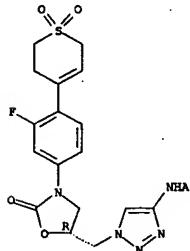
RN 591253-67-7 HCAPIUS
 CN Carbamic acid, ethyl-, [1-[[{(5R)-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



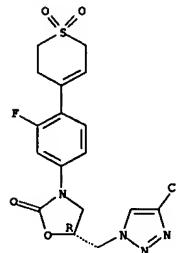
RN 591253-74-6 HCAPIUS
 CN Acetamide, N-[1-[(5R)-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]-1H-1,2,3-triazol-4-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



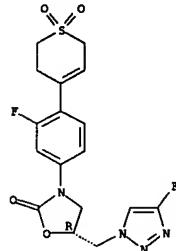
RN 591253-78-0 HCAPIUS
 CN 2-Oxazolidinone, 5-[(4-chloro-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



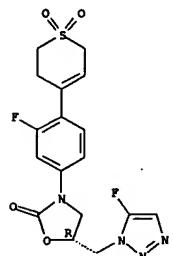
RN 591253-81-5 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-fluoro-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



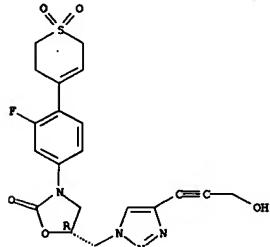
RN 591253-82-6 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(5-fluoro-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



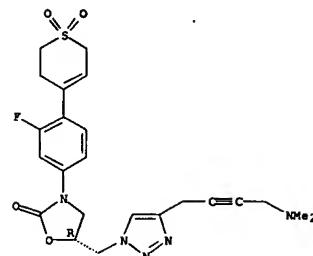
RN 591253-83-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-(3-hydroxy-1-propynyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591253-86-0 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-(dimethylamino)-2-butynyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

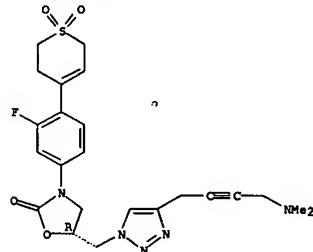


RN 591253-87-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-(dimethylamino)-2-butynyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CH 1

CRN 591253-86-0
 CMF C23 H26 F NS O4 S

Absolute stereochemistry.



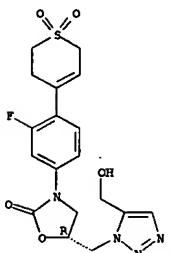
CH 2

CRN 76-05-1
 CMF C2 H F3 O2



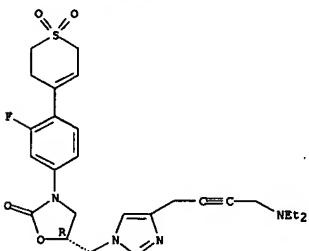
RN 591253-88-2 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(5-hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591253-89-3 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(diethylamino)-2-butynyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

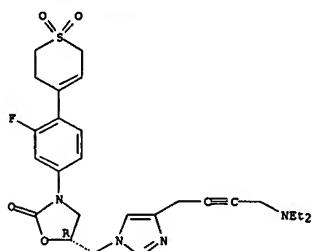


RN 591253-90-6 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(diethylamino)-2-butynyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-, (5R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CH 1

CRN 591253-89-3
 CMF C25 H30 F NS O4 S

Absolute stereochemistry.



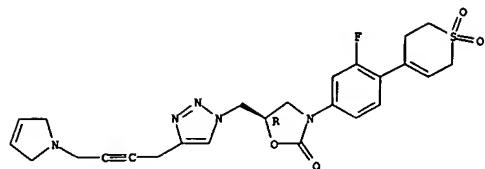
CH 2

CRN 76-05-1
 CMF C2 H F3 O2



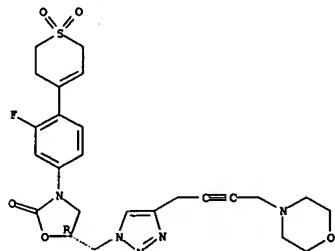
RN 591253-91-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-(2,5-dihydro-1H-pyrrol-1-yl)-2-butynyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591253-92-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-[4-(4-morpholinyl)-2-butyanyl]-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

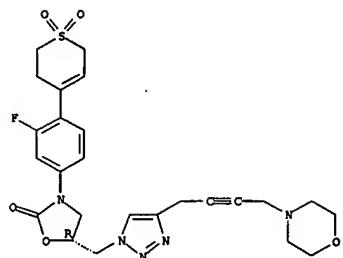


RN 591253-93-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-[4-(4-morpholinyl)-2-butyanyl]-1H-1,2,3-triazol-1-yl)methyl]-, (5R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CH 1

CRN 591253-92-8
 CHF C25 H28 F5 O5 S

Absolute stereochemistry.

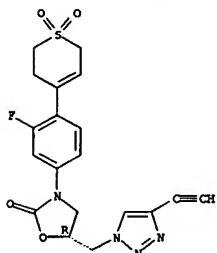


CH 2
 CRN 76-05-1
 CHF C2 H F3 O2



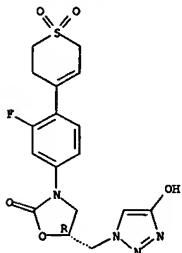
RN 591253-94-0 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-ethynyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



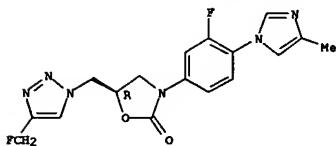
RN 591253-96-2 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-hydroxy-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



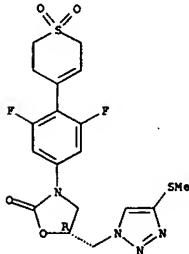
RN 591253-97-3 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[(4-(fluoromethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



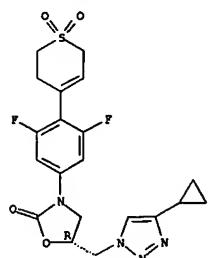
RN 591254-00-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl)-5-[(4-(methylthio)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



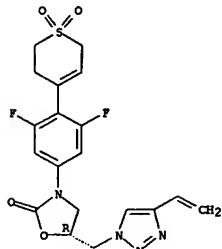
RN 591254-01-2 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-cyclopropyl-1H-1,2,3-triazol-1-yl)methyl]-3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



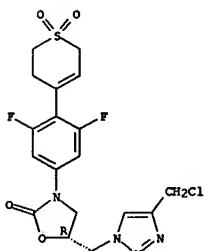
RN 591254-04-5 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-ethenyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



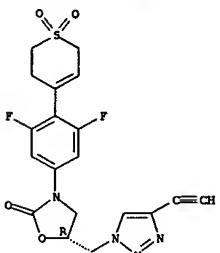
RN 591254-05-6 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-(methoxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



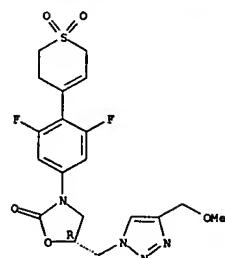
RN 591254-09-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-(2-chloroethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



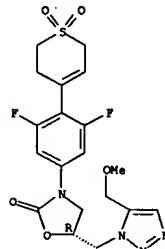
RN 591254-09-0 HCAPLUS
 CN Carbamic acid, [(1-[(5R)-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-2-oxo-5-oxazolidinyl)methyl]-1H-1,2,3-triazol-4-yl)methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



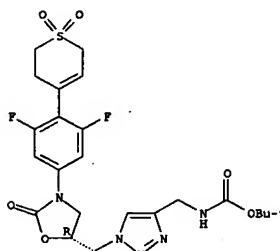
RN 591254-06-5 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(5-(methoxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



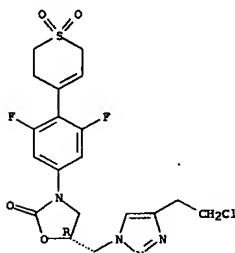
RN 591254-07-8 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(chloromethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



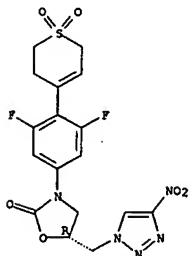
RN 591254-10-3 HCAPLUS
 CN 2-Oxazolidinone, 5-[(4-(2-chloroethyl)-1H-1,2,3-triazol-1-yl)methyl]-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591254-11-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-nitro-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

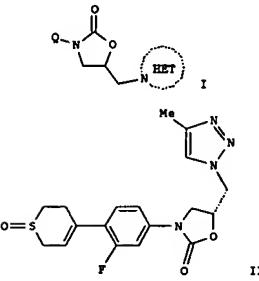
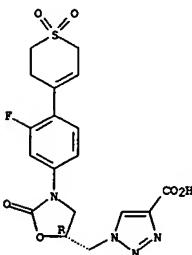


IT 591253-71-3P, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-carboxy-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
591253-89P, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[(3-(trimethylsilyl)-2-propynyl)-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591253-91P, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-[(2-(trimethylsilyl)ethyl)-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one
RL: ACT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent);
(Preparation of 5-azolymethyl oxazolidinones and their use as antibacterial agents)

RN 591253-71-3 HCAPLUS

CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[[[(SR)-3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB 3-Cyclol-5-[(nitrogen-containing 5-membered ring)methyl]oxazolidinones (shown as I, e.g., (SR)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one (shown as II), -N-HET is for example, 3-R1,2,4-triazol-1-yl, 5-R2-2H-tetrazol-2-yl wherein R1 is (1-Calkyl) or for example, 5-R2-4-R3phenyl wherein R2 and R3 = H or fluoro; T = for example, 5,6-dihydro-2H-thiopyran-4-yl with 0-2 O atoms bonded to S), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolyzable ester thereof, are useful as antibacterial agents, and processes for their manufacture, and pharmaceutical compns. containing them are described. Compds. I have a good spectrum of activity in vitro against standard organisms, which are used to screen for activity against pathogenic bacteria. For example, the min. inhibitory concns. of II against methicillin sensitive and quinolone sensitive *Staphylococcus aureus* and against methicillin resistant and quinolone resistant *Staphylococcus aureus* are 2 and 4 μ g/ml, resp. compared to 2 and 2 μ g/ml for the reference compound without the HET substituent. Compds. I showed a favorable decreased MAO-A potency compared with analogs from the known art with C-5 side chains such as acetamidomethyl or unsubstituted acylmethyl or hydroxymethyl. They also showed favorable decreased MAO-A potency compared with analogs in which the HET group is unsubstituted. Fifty-seven example preps. of intermediates and 44 example preps. of I are included. For example, to prepare II, (SR)-3-[4-(1-oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-azidomethyloxazolidin-2-one (1.0 mmol) preparation described was mixed with 5,6,7,8-tetrachloro-2,9-dimethyl-1,4-dihydro-1,4-ethenonaphthalene (2.0 mmol) in dry 1,4-dioxane (4 ml) in a sealed microwave reaction tube. The tube was placed in a Smith microwave reactor at 170° for 20 min. The reaction mixture was then transferred into a round bottom flask and the solvent was removed under vacuum. The residue was purified by chromatog. on silica gel with 5% MeOH in CH2Cl2 to give a mixture of the 4- and 5-Me regioisomers. This mixture was further separated on a chiral column (chiralcel OD) with iso-PrOH/hexanes (1:1) to give II (74 mg).

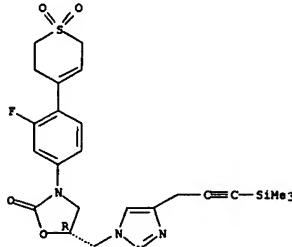
ACCESSION NUMBER: 2003:696894 HCAPLUS

DOCUMENT NUMBER: 139:214458

TITLE: Preparation of 3-cyclol-5-[(nitrogen-containing

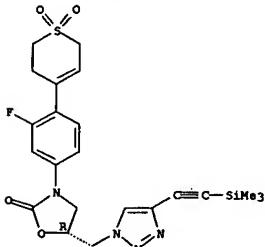
RN 591253-85-9 HCAPLUS
CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-[(3-(trimethylsilyl)-2-propynyl)-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591253-95-1 HCAPLUS
CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(4-[(3-(trimethylsilyl)ethynyl)-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Reck, Folkert; Zhou, Fei; Fleming, Paul Robert; Carcanague, Daniel Robert; Girardot, Marc Michel

PATENT ASSIGNEE(S): AstraZeneca AB, Sweed; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 140 pp.

CODEN: PIXOD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2003072575	A1	20030904	WO 2003-GB785	20030225
W: AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KE, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MN, MN, MW, MX, MZ, NO, N2, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TU, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW				
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CA 2477344	AA	20030904	CA 2003-2477344	20030225
BR 2003008056	A	20041207	BR 2003-6056	20030225
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US 2005119282	A1	20050602	US 2003-506020	20030225
JP 2005524661	T2	20050818	JP 2003-571281	20030225
NO 2004003950	A	20041013	NO 2004-3950	20040921
PRIORITY APPLN. INFO.:			US 2002-360957P	P 20020226
			WO 2003-GB785	W 20030225

OTHER SOURCE(S): MARDAT 139:214458

IT 591232-01-8P, (SR)-3-[3-Fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one

591232-42-9, (SR)-3-[(4-(4-tetrahydro-2H-thiopyran-4-yl)-3,5-difluorophenyl)-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one

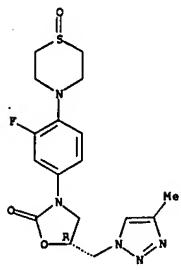
591232-51-6P, (SR)-3-[4-(1-Benzyl-1,2,3,6-tetrahydropyridin-4-yl)-3,5-difluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one

591232-96-1P, (SR)-3-[4-[(Acetylacetyl)-1,2,3,6-tetrahydropyridin-4-yl-3-fluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one

RN 591232-01-8 HCAPLUS

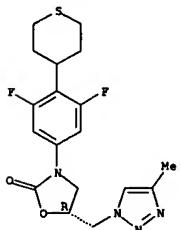
2-Oxazolidinone, 3-[3-fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591232-62-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[3,5-difluoro-4-(tetrahydro-2H-thiopyran-4-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

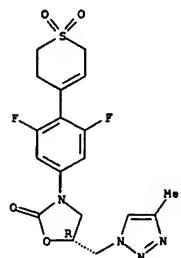
Absolute stereochemistry.



RN 591232-91-6 HCAPLUS
 CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

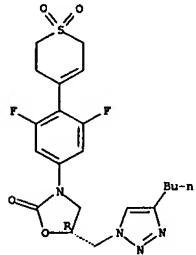
Absolute stereochemistry.

L12 ANSWER 32 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 one 591231-95-7P, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-butyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591231-97-9P, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-ethyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-00-7P, (SR)-3-[4-(1,1-Dioxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-02-9P, (SR)-3-[4-(1,1-Dioxo-4-thiomorpholinyl)-3-fluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-03-0P, (SR)-3-[3,5-difluoro-4-(1-oxo-4-thiomorpholinyl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-09-6P, (SR)-3-[4-(1,1-Dioxo-4-thiomorpholinyl)-3,5-difluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-11-0P, (SR)-3-[4-(1,1-Dioxo-4-thiomorpholinyl)-3-fluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-14-1P, (SR)-3-[4-(1,1-Dioxo-4-thiomorpholinyl)-3-fluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-14-1P, (SR)-3-[4-(1,1-Dioxo-2,5-dihydrothien-3-yl)-3-fluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-13-2P, (SR)-3-[3,5-difluoro-4-(4-bromo-1H-1-imidazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-18-4P, (SR)-3-[3,5-difluoro-4-(4-methyl-1,2,3-triazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-24-2P, (SR)-3-[3-Fluoro-4-(3-methyl-1,2,4-triazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-31-4P, (SR)-3-[3-Fluoro-4-(hydroxymethyl)oxazolidin-2-one 591232-41-4P, (SR)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-42-7P, (SR)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[(4-pentyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-43-8P, (SR)-3-[3-Fluoro-4-(hydroxymethyl)-1H-imidazol-1-yl]phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-46-1P, (SR)-3-[3-Fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-48-4P, (SR)-3-[3-Fluoro-4-(4H-imidazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-50-7P, (SR)-3-[3-Fluoro-4-(4-cyano-1H-pyrazol-1-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-53-8P, (SR)-3-[3-Fluoro-4-(trans-1-exo-tetrahydro-2H-thiopyran-4-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-60-9P, (SR)-3-[3-Fluoro-4-(cis-1-exo-tetrahydro-2H-thiopyran-4-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]-3-oxazolidin-2-one 591232-66-7P, (SR)-3-[4-(1,1-Dioxotetrahydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-69-8P, (SR)-3-[3,5-difluoro-4-(trans-1-exo-tetrahydro-2H-thiopyran-4-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-70-1P, (SR)-3-[3,5-Difluoro-4-(cis-1-exo-tetrahydro-2H-thiopyran-4-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-88-1P, (SR)-3-[3,5-Difluoro-4-(1-glycolyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-93-9P, (SR)-3-[4-(1-(2S)-2,3-Dihydroxypropanyl)-1,2,3,6-tetrahydropyridin-4-yl]-3,5-difluorophenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591232-98-0P, (SR)-3-[3-Fluoro-4-(1-glycolyl-1,2,3,6-tetrahydropyridin-4-yl)phenyl]-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 591231-77-5 HCAPLUS
 2-Oxazolidinone, 3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)



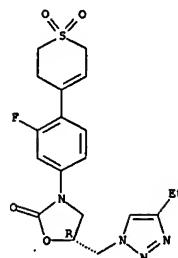
RN 591231-95-7 HCPLUS
 CN 2-Oxazolidinone, 5-[(4-butyl-1H-1,2,3-triazol-1-yl)methyl]-3-(4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



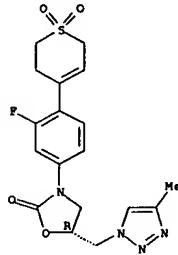
RN 591231-97-9 HCPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-ethyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



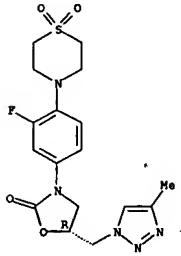
RN 591232-00-7 HCPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



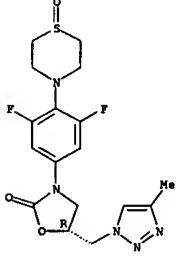
RN 591232-02-9 HCPLUS
 CN 2-Oxazolidinone, 3-[4-(1,1-dioxido-4-thiomorpholinyl)-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



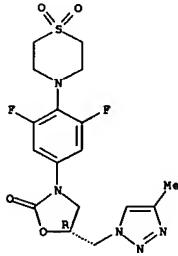
RN 591232-03-0 HCPLUS
 CN 2-Oxazolidinone, 3-[3,5-difluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



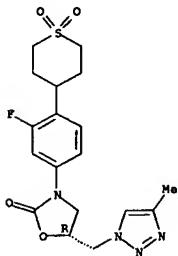
RN 591232-09-6 HCPLUS
 CN 2-Oxazolidinone, 3-[4-(1,1-dioxido-4-thiomorpholinyl)-3,5-difluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



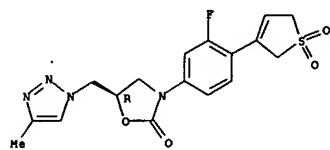
RN 591232-11-0 HCPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



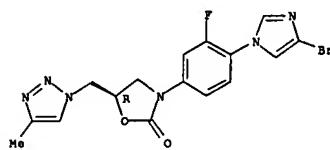
RN 591232-12-1 HCPLUS
 CN 2-Oxazolidinone, 3-[4-(2,5-dihydro-1,1-dioxido-3-thienyl)-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



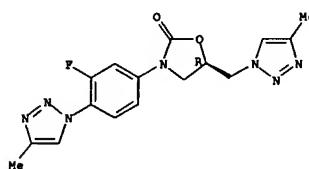
RN 591232-13-2 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(4-bromo-1H-imidazol-1-yl)-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



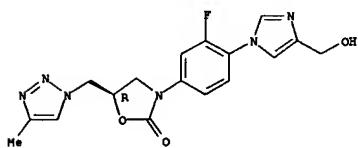
RN 591232-15-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-1,2,3-triazol-1-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



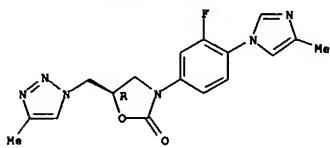
RN 591232-23-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(3-methyl-1H-1,2,3-triazol-1-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



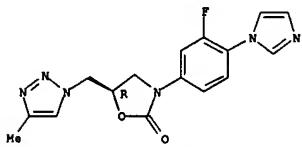
RN 591232-46-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-methyl-1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



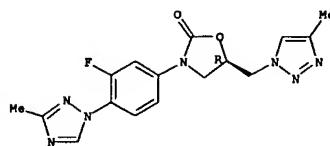
RN 591232-49-4 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



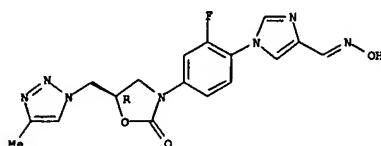
RN 591232-50-7 HCAPLUS
 CN 1H-Pyrazole-4-carbonitrile, 1-[2-fluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



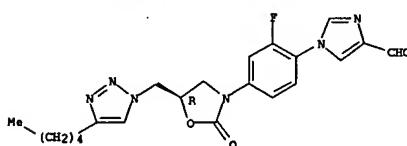
RN 591232-31-4 HCAPLUS
 1H-Imidazole-4-carboxaldehyde, 1-[2-fluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-, 4-oxime (9CI) (CA INDEX NAME)

Absolute Stereochemistry.
 Double bond geometry unknown.



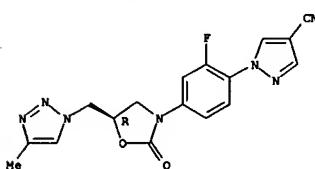
RN 591232-42-5 HCAPLUS
 1H-Imidazole-4-carboxaldehyde, 1-[2-fluoro-4-[(5R)-2-oxo-5-[(4-pentyl-1H-1,2,3-triazol-1-yl)methyl]-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



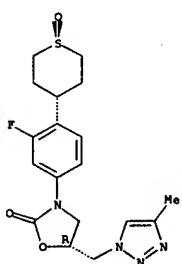
RN 591232-43-8 HCAPLUS
 2-Oxazolidinone, 3-[3-fluoro-4-[(4-(hydroxymethyl)-1H-imidazol-1-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



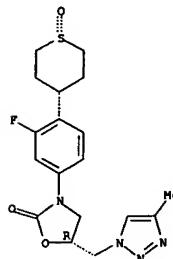
RN 591232-58-5 HCAPLUS
 2-Oxazolidinone, 3-[3-fluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



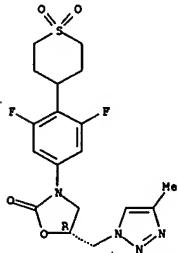
RN 591232-60-9 HCAPLUS
 2-Oxazolidinone, 3-[3-fluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



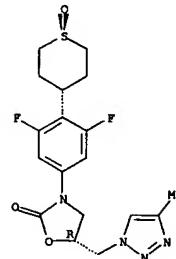
RN 591232-69-7 HCAPIUS
 CN 2-Oxazolidinone, 3-[3,5-difluoro-4-(tetrahydro-1,1-dioxido-2H-thiopyran-4-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



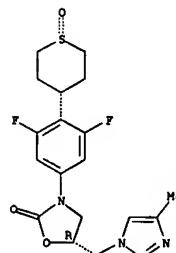
RN 591232-69-8 HCAPIUS
 CN 2-Oxazolidinone, 3-[3,5-difluoro-4-(trans-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



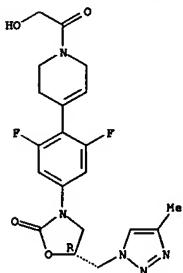
RN 591232-70-1 HCAPIUS
 CN 2-Oxazolidinone, 3-[3,5-difluoro-4-(cis-tetrahydro-1-oxido-2H-thiopyran-4-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



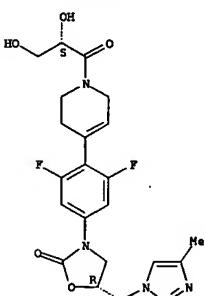
RN 591232-88-1 HCAPIUS
 CN Pyridine, 4-[2,6-difluoro-4-[(SR)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro-1-(hydroxyacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



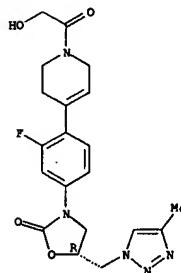
RN 591232-93-0 HCAPIUS
 CN Pyridine, 4-[2,6-difluoro-4-[(SR)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-1-[2S]-2,3-dihydroxy-1-oxopropyl]-, 1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 591232-95-0 HCAPIUS
 CN Pyridine, 4-[2-fluoro-4-[(SR)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro-1-(hydroxyacetyl)- (9CI) (CA INDEX NAME)

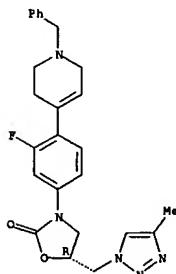
Absolute stereochemistry.



IT 591232-98-3P, (5R)-3-[4-(1-Benzyl-1,2,3,6-tetrahydropyridin-4-yl)-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIO (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of cyclyl (nitrogen-containing 5-membered ring)methyl oxazolidinones and their use as antibacterial agents)

RN 591232-98-3 HCAPIUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 501939-98-6P, (5R)-3-(3-Fluoro-4-iodophenyl)-5-[(4-methyl-1,2,3-triazol-1-yl)methyl]oxazolidin-2-one 501940-28-9P,

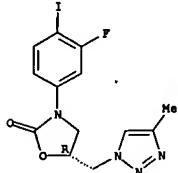
L12 ANSWER 32 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)
 591232-39-3 (3-Fluorophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-3-oxazolidin-2-one 591232-44-9P, (5R)-3-[(4-[(tert-butyldimethylsilyl)oxy]methyl)-1H-imidazol-1-yl]-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-3-oxazolidin-2-one 591232-89-2P, (5R)-3-[(1-Acetoxyacetyl)-1,2,3,6-tetrahydro-4-pyridin-4-yl)-3,5-difluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-3-oxazolidin-2-one 591232-90-5P, (5R)-3-[3-(3,5-Difluoro-4-(1,2,3,6-tetrahydro-4-pyridin-4-yl)-1,2,3,6-tetrahydro-4-pyridin-4-yl)methyl]-3-oxazolidin-2-one hydrochloride 591232-94-9P, (5R)-3-[(4-[(4S)-2,2-Dimethyl-1,3-dioxolan-4-yl]carbonyl)-1,2,3,6-tetrahydro-4-pyridin-4-yl)-3,5-difluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-3-oxazolidin-2-one 591232-97-2P, (5R)-3-[3-Fluoro-4-(1,2,3,6-tetrahydro-4-pyridin-4-yl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-3-oxazolidin-2-one monohydrochloride

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of cyclol (nitrogen-contg. 5-membered ring)methyl oxazolidinones and their use as antibacterial agents)

RN 501939-98-6 HCPLUS

CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

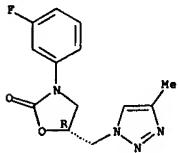
Absolute stereochemistry.



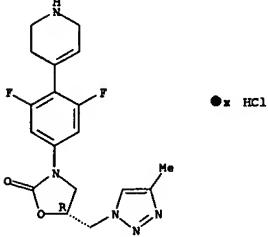
RN 501940-28-9 HCPLUS

CN 2-Oxazolidinone, 3-(3-fluorophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 32 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)

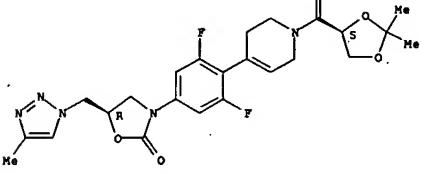


Absolute stereochemistry.

CN 591232-94-9 HCPLUS

Pyridine, 4-[(4,6-difluoro-4-(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl)phenyl]-1-[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



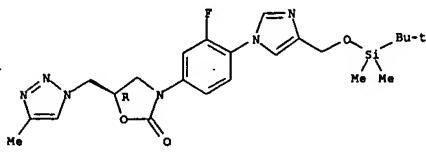
RN 591232-97-2 HCPLUS

CN 2-Oxazolidinone, 3-(3-fluoro-4-(1,2,3,6-tetrahydro-4-pyridinyl)phenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 32 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)
 591232-44-9 HCPLUS
 2-Oxazolidinone, 3-[(4-[(1,1-dimethylethyl)dimethylsilyl]oxy)methyl]-1H-imidazol-1-yl]-3-fluorophenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

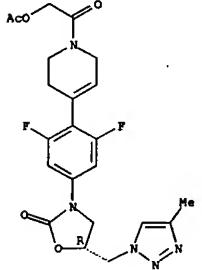
Absolute stereochemistry.



RN 591232-89-2 HCPLUS

CN Pyridine, 1-[(acetyl)acetyl]-4-[2,6-difluoro-4-[(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

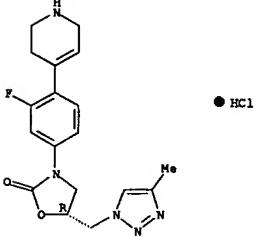


RN 591232-90-5 HCPLUS

CN 2-Oxazolidinone, 3-[3,5-Difluoro-4-(1,2,3,6-tetrahydro-4-pyridinyl)phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, hydrochloride, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L12 ANSWER 32 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)

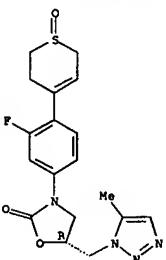


IT 591231-82-9P, (5R)-3-[(1-Oxo-3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-[(5-methyl-1H-1,2,3-triazol-1-yl)methyl]-3-oxazolidin-2-one
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of cyclol (nitrogen-containing 5-membered ring)methyl oxazolidinones and their use as antibacterial agents)

RN 591231-82-2 HCPLUS

CN 2-Oxazolidinone, 3-[(4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl)-5-[(5-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

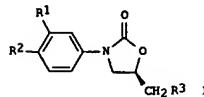
Absolute stereochemistry.



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB Title compds, I (R1 = H, halo, alkyl, or haloalkyl; R2 = morpholinyl, piperidinyl or its derivative, or 4-substituted piperazinyl; R3 = OH, SH, acyloxy, sulfonyloxy, acylamino, diarylimino, pentabasic heterocyclic group or its derivative; and when R1 = F, R2 or R3 = morpholinyl or acetamido) useful as antibacterial agents against Gram-pos. bacteria, are prepared. For example, (R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-(hydroxymethyl)-2-oxazolidinone was converted to mesylate, condensed with potassium phthalimide, and treated with aqueous MeNH2 to give the bactericide linezolid.

ACCESSION NUMBER: 2003:576097 HCAPIUS

DOCUMENT NUMBER: 139:85332

TITLE: Preparation of oxazolidinone derivatives as

INVENTOR(S): Liu, Jun; Meng, Qingguo; Jin, Jie; Wu, Yanbin
PATENT ASSIGNEE(S): Institute of Medical and Biological Technology, Chinese Academy of Medical Sciences, Peop. Rep. China
SOURCE: Faming Zhanli Shengqing Gongkai Shuomingshu, 50 pp.

DOCUMENT TYPE: Patent

LANGUAGE: Chinese

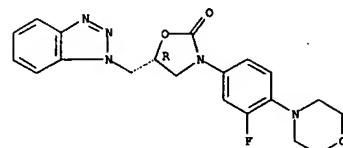
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1355165	A	20020626	CN 2001-144613	20011219
			CN 2001-144613	20011219
PRORITY APPN. INFO.:				
OTHER SOURCE(S):	CASREACT 139:85332; MARPAT 139:85332			

IT 556801-07-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidinone derivs. as antibacterial agents)
RN 556801-07-1 HCAPIUS
CN 2-Oxazolidinone, 5-(1H-benzotriazol-1-ylmethyl)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



AB PH-027 is a new 5-triazole oxazolidinone synthesized that shows strong activity against Gram-pos. aerobic bacteria, including clin. isolates. The objective of this study was to investigate the in vitro activity of this compound in comparison with linezolid and other antibiotics against Gram-pos. and Gram-neg. anaerobes. The in vitro activity of PH-027 in comparison with those of linezolid and other antimicrobial agents was evaluated against 201 clin. isolates of Gram-pos. and Gram-neg. anaerobic bacteria by agar dilution and Etest methods. PH-027 showed excellent activity, with min. inhibitory concns. (MIC) in the range of 0.12-4.0 μ g/mL against all isolates, MIC90s being 4.0, 1.0, 2.0, 2.0 and 2.0 μ g/mL against Clostridium difficile, Peptostreptococcus spp., Bacteroides fragilis, Prevotella bivia and Fusobacterium spp. resp. In comparison, linezolid had MIC in the range of 0.5-4.0 μ g/mL against all isolates, with MIC90s of 2.0, 4.0, 4.0 and 2.0 μ g/mL against the same set of bacteria resp. PH-027 demonstrated excellent in vitro activity that is superior to linezolid against Peptostreptococcus spp., B. fragilis and P. bivia. However, against C. difficile and Fusobacterium spp., PH-027 and linezolid showed comparable in vitro activity. Against all anaerobes, metronidazole, PH-027 and, to a lesser extent, linezolid had the most potent activity. From the results of in vitro susceptibility testing, both linezolid and PH-027 show promise in the treatment of anaerobic infections.

ACCESSION NUMBER: 2003:471767 HCAPIUS

DOCUMENT NUMBER: 139:49714

TITLE: Comparative in vitro activity of PH-027 versus linezolid and other anti-anaerobic antimicrobials against clinical isolates of Clostridium difficile and other anaerobic bacteria

AUTHOR(S): Phillips, O. A.; Rotimi, V. O.; Jamal, W. Y.; Shahin, M.; Vergne, T. L.

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, Kuwait University, Kuwait

SOURCE: Journal of Chemotherapy (Firenze, Italy) (2003),

15(2): 113-117

CODEN: JCHEBU; ISSN: 1120-009X

PUBLISHER: E.I.F.T. srl

DOCUMENT TYPE: Journal

LANGUAGE: English

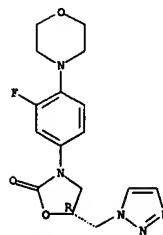
IT 503090-32-2, PH 027

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(comparative in vitro activity of PH-027 vs. other antimicrobials against clin. isolates of Clostridium difficile and other anaerobic bacteria)

RN 503090-32-2 HCAPIUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

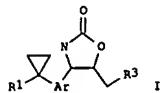


REFERENCE COUNT:

18

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 35 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 13 Jun 2003



AB Title compds. [I]; R1 = H, NR5R6, CR7R8R9, CR2R14, CH2NR14, COR13, C(:N=NH)2, C(:NOR13)R13, C(:NOR13)R13, C(:N=O)R13, CON(R13)2, C(:N=O)N(R13)2, NHC(:X1)N(R13)2, (C:NH)R7, N(R13)C(:X1)N(R13)2, CO2R13, SO2R14, N(R13)SO2R14, N(R13)COR14, cyanoalkyl, CN, CH(R12), OH, COCR13, C(:N(R13)R13, NHC(:X1)R13, (substituted) heterocycle, Ar = (substituted) aryl, heterocyl, heterocyclyl; R3 = NR13(C1=O)R12, NR13(C1=O)R12, NR13(C1=O)R14, NR13(C1=O)R13-0-4aryl, NR13(C1=O)R13-0-4heterocyl, S(C1=O)R13-0-4aryl, S(C1=O)R13-0-4heterocyl, O(C1=O)R13-0-4aryl, O(C1=O)R13-0-4heterocyl, OCR13:NR16, (substituted) heterocyclyl; R5, R6 = H, (substituted) alkyl, acyl, heterocyclyl; R5R6 atoms to form 3-7 membered heterocyclyl; R7 = H, halo, CN, alkoxy, alkyl, (substituted) amino; R8, R9 = H, cyano, (substituted) alkyl, Ph, R7R8 atoms to form a 3-7 membered (heterocyclic) ring; X1 = O, S, NR13, NCN, NSO2R14; R13 = H, alkyl, NR5R6, SR8, OH, Cyano, etc., R14 = amino, alkyl, haloalkyl, (substituted) heterocyclyl, Ph, were prepared. Thus, N-[5(S)-3-[4-(1-cyanocyclopropan-1-yl)-3-fluorophenyl]-2-oxazolidin-5-ylmethyl]acetamide (preparation given) in PhMe was treated with Lawesson's reagent and stirred at 80° for 2 h to give N-[5(S)-3-[4-(1-cyanocyclopropan-1-yl)-3-fluorophenyl]-2-oxazolidin-5-ylmethyl]thioacetamide. The latter inhibited *Staphylococcus aureus* with a min. inhibitory concentration of 0.125 µg/ml.

ACCESSION NUMBER: 2003454302 HCAPLUS

DOCUMENT NUMBER: 139:36518

TITLE: Preparation of chiral cyclopropylphenyloxazolidinones as antibiotics

INVENTOR(S): Fukuda, Yasumichi

PATENT ASSIGNEE(S): Merck & Co., Inc., USA; Kyorin Pharmaceutical Co., Ltd.

SOURCE: PCT Int. Appl., 133 pp.

CODEN: PIXKD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

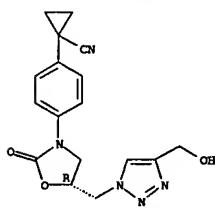
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003048136	A1	20030612	WO 2002-US38153	20021127
IT	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
RU	GH, GH, KB, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,			

L12 ANSWER 35 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 XG, K2, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GO, GW, ML, MR, NE, SN, TD, TG
 CA 2463794 AA 20030612 CA 2002-2463794 20021127
 US 2003225107 A1 20031204 US 2002-305368
 US 6686363 B2 20040203
 EP 1448536 A1 20040828 EP 2002-797154 20021127
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 JP 200511688 T2 20050428 JP 2003-549328 20021127
 PRIORITY APPN. INFO.: US 2001-333741P P 20011129
 VO 2002-US38153 W 20021127

OTHER SOURCE(S): MARPAT 139:36518
 IT: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses); (claimed compound; preparation of chiral cyclopropylphenyloxazolidinones as antibiotics)

RN 543682-63-9 HCAPLUS
 CN Cyclopropanecarbonitrile, 1-[4-[(SR)-5-[[4-(hydroxymethyl)-1H-1,2,3-triazol-1-yl]methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

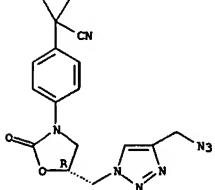
Absolute stereochemistry.



RN 543682-75-3 HCAPLUS
 CN Cyclopropanecarbonitrile, 1-[4-[(SR)-5-[[4-(azidomethyl)-1H-1,2,3-triazol-1-yl]methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

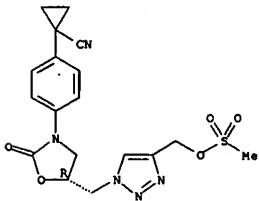
Absolute stereochemistry.

L12 ANSWER 35 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 543682-78-6 HCAPLUS
 CN Cyclopropanecarbonitrile, 1-[4-[(SR)-5-[[4-[[methylsulfonyl]oxy]methyl]-1H-1,2,3-triazol-1-yl]methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



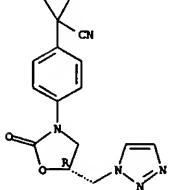
IT 543682-51-5P 543682-52-6P 543682-53-7P
 543682-54-8P 543682-55-9P 543682-64-0P
 543682-65-1P 543682-66-2P 543682-67-3P
 543682-68-4P 543682-69-5P 543682-70-6P
 543682-71-8P 543682-72-0P 543682-73-1P
 543682-74-2P 543682-76-4P 543682-77-5P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (claimed compound; preparation of chiral cyclopropylphenyloxazolidinones as antibiotics)

RN 543682-51-5 HCAPLUS

CN Cyclopropanecarbonitrile, 1-[4-[(SR)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

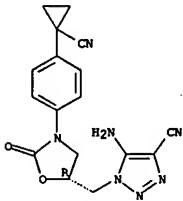
Absolute stereochemistry.

L12 ANSWER 35 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



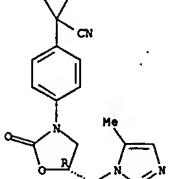
RN 543682-52-6 HCAPLUS
 CN 1H-1,2,3-Triazole-4-carbonitrile, 5-amino-1-[(SR)-3-[4-(1-cyanocyclopropyl)phenyl]-2-oxo-5-oxazolidinyl]methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



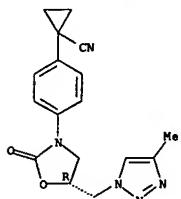
RN 543682-53-7 HCAPLUS
 CN Cyclopropanecarbonitrile, 1-[4-[(SR)-5-[(5-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



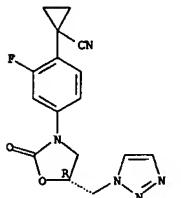
L12 ANSWER 35 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 543682-54-8 HCPLUS
 CN Cyclopropanecarbonitrile, 1-[4-[(SR)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 543682-55-9 HCPLUS
 CN Cyclopropanecarbonitrile, 1-[2-fluoro-4-[(SR)-2-oxo-5-(1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

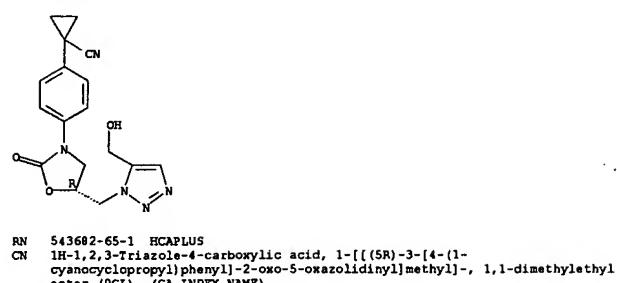
Absolute stereochemistry.



RN 543682-64-0 HCPLUS
 CN Cyclopropanecarbonitrile, 1-[4-[(SR)-5-[(5-(hydroxymethyl)-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

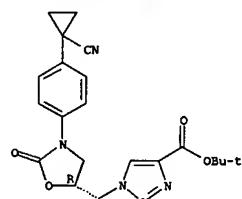
Absolute stereochemistry.

L12 ANSWER 35 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 543682-65-1 HCPLUS
 CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[[[(SR)-3-[4-(1-cyanocyclopropyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

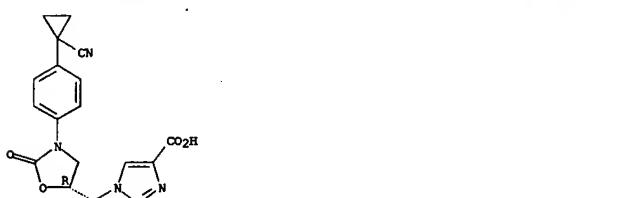
Absolute stereochemistry.



RN 543682-66-2 HCPLUS
 CN 1H-1,2,3-Triazole-4-carboxylic acid, 1-[[[(SR)-3-[4-(1-cyanocyclopropyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

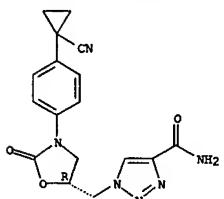
Absolute stereochemistry.

L12 ANSWER 35 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)



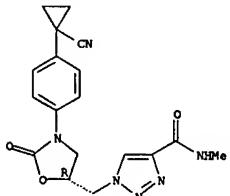
RN 543682-67-3 HCPLUS
 CN 1H-1,2,3-Triazole-4-carboxamide, 1-[[[(SR)-3-[4-(1-cyanocyclopropyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 543682-68-4 HCPLUS
 CN 1H-1,2,3-Triazole-4-carboxamide, 1-[[[(SR)-3-[4-(1-cyanocyclopropyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-N-methyl- (9CI) (CA INDEX NAME)

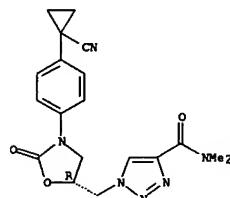
Absolute stereochemistry.



RN 543682-69-5 HCPLUS

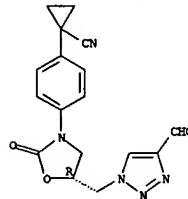
L12 ANSWER 35 OF 41 HCPLUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 1H-1,2,3-Triazole-4-carboxamide, 1-[[[(SR)-3-[4-(1-cyanocyclopropyl)phenyl]-2-oxo-5-oxazolidinyl]methyl]-N,N-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



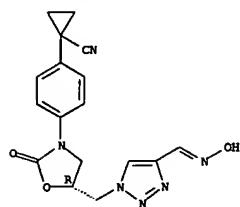
RN 543682-70-8 HCPLUS
 CN Cyclopropanecarbonitrile, 1-[4-[(SR)-5-[(4-formyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



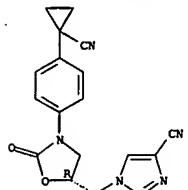
RN 543682-71-9 HCPLUS
 CN Cyclopropanecarbonitrile, 1-[4-[(SR)-5-[(4-(hydroxymethyl)methyl)-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



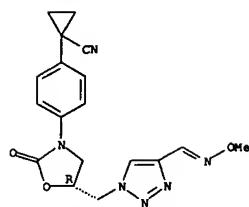
RN 543692-72-0 HCAPIUS
 CN 1H-1,2,3-Triazole-4-carbonitrile, 1-[(5R)-3-[4-(1-cyanocyclopropyl)phenyl]-2-oxo-5-oxazolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



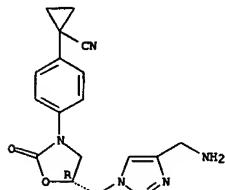
RN 543692-73-1 HCAPIUS
 CN Cyclopropanecarbonitrile, 1-[4-[(5R)-5-[(4-[(methoxyimino)methyl]-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry unknown.



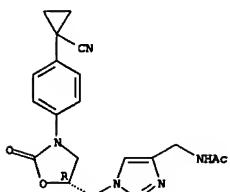
RN 543692-74-2 HCAPIUS
 CN Cyclopropanecarbonitrile, 1-[4-[(5R)-5-[(4-[(aminomethyl)-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



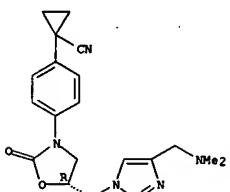
RN 543692-76-4 HCAPIUS
 CN Acetamide, N-[(1-[(5R)-3-[4-(1-cyanocyclopropyl)phenyl]-2-oxo-5-oxazolidinylmethyl]-1H-1,2,3-triazol-4-yl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



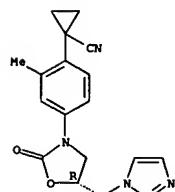
RN 543692-77-5 HCAPIUS
 CN Cyclopropanecarbonitrile, 1-[4-[(5R)-5-[(4-[(dimethylamino)methyl]-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

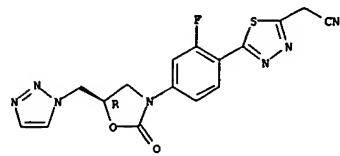


II 543683-87-4P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (preparation of chiral cyclopropylphenyloxazolidinones as antibiotics)
 RN 543683-57-4 HCAPIUS
 CN Cyclopropanecarbonitrile, 1-[2-methyl-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



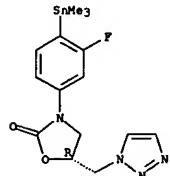
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



IT 501939-94-29, (5R)-3-[3-Fluoro-4-(trityl)phenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501939-94-39,
 (5R)-3-[3-Fluoro-4-iodophenyl]-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 519003-06-49, 2-fluoro-N'-acetyl-4-[(5R)-2-oxo-5-[(1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-3-yl]benzohydrazide
 519003-07-79 519003-08-89 519003-12-49
 519003-13-59 519003-15-79 519003-17-99
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant of reagent); (Intermediate); preparation of (aryl)oxazolidinones as antibacterial agents)

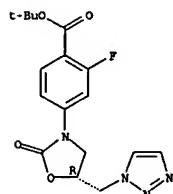
RN 501939-94-2 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(trityl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



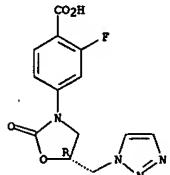
RN 501939-95-3 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



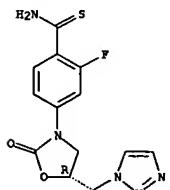
RN 519003-08-8 HCAPLUS
 CN Benzonic acid, 2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

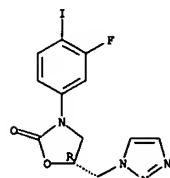


RN 519003-12-4 HCAPLUS
 CN Benzenecarbothioamide, 2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

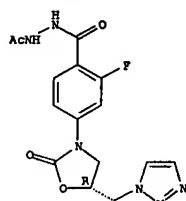


RN 519003-13-5 HCAPLUS
 CN Benzamide, 2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-



RN 519003-06-6 HCAPLUS
 CN Benzoic acid, 2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]-, 2-acetylhydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

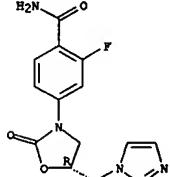


RN 519003-07-7 HCAPLUS
 CN Benzoic acid, 2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

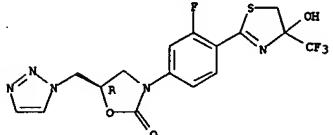
oxazolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



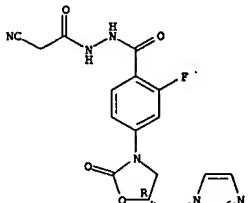
RN 519003-15-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[4,5-dihydro-4-hydroxy-4-(trifluoromethyl)-2-thiazolyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 519003-17-9 HCAPLUS
 CN Benzoic acid, 2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]-, 2-(cyanoacetyl)hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 36 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 37 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN
 ED Entered STN: 21 Mar 2003
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Oxazolidinones and isoxazolines (shown as I; variables defined below, e.g. (5S,5S')-N-[3-(4'-(5-(acetylaminomethyl)-2-oxoazolidin-3-yl)-2,2'-difluorobiphenyl-4-yl)-2-oxoazolidin-5-ylmethyl]acetamide (shown as II)), or a pharmaceutically-acceptable salt, or an in-vivo-hydrolyzable ester thereof, which useful as antibacterial agents, processes for their manufacture and pharmaceutical compns, containing them are described. For I: C is for example III, IV, V; wherein A and B = 2-oxoazolidin-3-yl-2-isoxazolin-3-yl; R₂ to R₆ = H and V; R_{1a} and R_{1b} =, for example, hydroxy, -NH(R₄), NH(HEt-1) and HET-1, wherein V is O or S; R₄ is, for example, H, amino, (1-4C)alkyl; HET-1 is, for example, a C-linked 5-membered heterocyclic ring; HET-2 is, for example, an N-linked 5-membered, fully or partially unsatd heterocyclic ring. I have good activity against a broad range of Gram-pos pathogens, including organisms known to be resistant to most commonly used antibiotics, together with activity against fastidious Gram neg pathogens such as H. influenzae, M. catarrhalis, Mycoplasma and Chlamydia strains. The min inhibitory concns. of II for methicillin sensitive and quinolone sensitive Staphylococcus aureus, methicillin resistant and quinolone sensitive Staphylococcus aureus, Streptococcus pneumoniae, Streptococcus pyogenes, Haemophilus influenzae, and Moraxella catarrhalis are 0.25, 0.5, 0.06', 0.13, 2.0 and 0.5 µg/mL, resp. Preps. of 64 examples of I and many intermediates are included. For example, I was prepared as follows: (5S)-N-[3-(3-fluoro-4-iodophenyl)-2-oxoazolidin-5-ylmethyl]acetamide (0.4 mmol) and Bu₄NBr (0.4 mmol) were stirred in a mixture of DMF (0.5 mL) and NtBu₃ (2 mmol), and degassed by bubbling N₂ Pd(II) acetate (0.04 mmol) was added, and the whole heated at 70° for 18 h. Workup gave the desired product (47 mg). Nine examples of pharmaceutical dosage forms are tabulated:

ACCESSION NUMBER: 2003:221667 HCAPLUS
 DOCUMENT NUMBER: 138:238171
 TITLE: Preparation of oxazolidinones and/or isoxazolines as antibacterial agents
 INVENTOR(S): Gravestock, Michael Barry; Hales, Neil James; Swain, Michael Lingard; Hauck, Sheila Irene; Mills, Stuart Dennett
 PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited
 SOURCE: PCT Int. Appl., 127 pp.
 CODEN: PIKKD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003022824	A1	20030320	WO 2002-GB4120	20020909
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IV, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, CN, PH,				

L12 ANSWER 37 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZH, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
 RW: GH, GM, KE, LS, MW, MZ, SD, SI, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
 CA 2459766 AA 20030320 CA 2002-2459766 20020909
 EP 1427711 A1 20040616 EP 2002-765019 20020909
 EP 1427711 B1 20050713
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 BR 2002012458 A 20040119 BR 2002-12458 20020909
 JP 200507396 T2 JP 2003-526899 20020909
 US 2005107435 A1 20050819 US 2003-489266 20020909
 NZ 531621 A 20050624 NZ 2002-531621 20020909
 AT 299502 E 20050715 AT 2002-765019 20020909
 2A 2004001888 A 20050418 2A 2004-1888 20040308
 NO 2004001428 A 20040608 NO 2004-1428 20040405
 GB 2001-21942 A 20010911
 GB 2002-15420 A 20020704
 W 2002-GB4120 W 20020909
 PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 138:238171

IT 501940-31-4P, (SR)-5-((tert-Butyldimethylsilyl)oxy)methyl]-3-[4'-(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-1,3-oxazolidin-3-yl]-1,1'-biphenyl-4-yl]-1-3-oxazolidin-2-one 501940-39-2P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-43-8P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-44-9P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-45-0P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-46-1P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-47-2P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-48-3P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-49-4P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-50-5P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-51-6P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-52-7P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-53-8P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-54-9P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-55-0P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-56-1P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-57-2P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-58-3P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-59-4P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-60-5P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-61-6P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-62-7P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-63-8P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-64-9P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-65-0P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-66-1P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-67-2P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-68-3P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-69-4P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-70-5P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-71-6P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-72-7P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-73-8P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-74-9P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-75-0P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-76-1P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-77-2P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-78-3P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-79-4P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-80-5P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-81-6P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-82-7P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-83-8P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-84-9P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-85-0P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-86-1P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-87-2P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-88-3P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-89-4P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-90-5P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-91-6P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-92-7P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-93-8P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-94-9P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-95-0P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-96-1P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-97-2P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-98-3P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-99-4P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-100-5P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-101-6P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-102-7P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-103-8P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-104-9P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-105-0P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-106-1P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-107-2P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-108-3P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-109-4P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-110-5P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-111-6P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-112-7P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-113-8P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-114-9P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-115-0P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-116-1P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-117-2P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-118-3P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-119-4P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-120-5P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-121-6P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-122-7P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-123-8P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-124-9P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-125-0P, (SR)-3-[4'-(5-((tert-Butyldimethylsilyl)oxy)methyl)-4,5-dihydroisoxazol-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-126-1P, (

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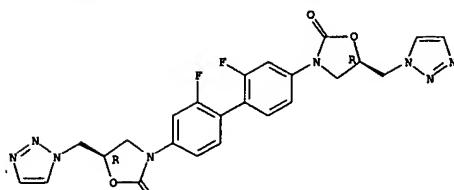
oxo-1,3-oxazolidin-3-yl]-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-29-0P,
 (5R)-5-(Hydromethyl)-3-[4'-(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one
 501940-33-6P 501940-37-0P, (5R)-3-[2-Fluoro-4'-(5R)-5-(hydroxymethyl)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-40-1P, (5R)-5-(Hydromethyl)-3-[4'-(5R)-5-(4-methyl-1H-1,2,3-triazol-1-ylmethyl)-2-oxo-1,3-oxazolidin-3-yl]-1,1'-biphenyl-4-yl]-1,3-oxazolidin-2-one 501940-41-6P, (5R)-5-(1H-1,2,3-Triazol-1-ylmethyl)-3-[4'-(5-(1H-1,2,3-triazol-1-ylmethyl)-4,5-dihydroisoxazol-3-yl)-1,1'-biphenyl-4-yl]-1,3-oxazolidin-2-one 501940-42-7P,
 (5R)-3-[2-Fluoro-4'-(5-(1H-1,2,3-triazol-1-ylmethyl)-4,5-dihydroisoxazol-3-yl)-1,1'-biphenyl-4-yl]-1,3-oxazolidin-2-one 501940-44-1P, (5R)-3-[4'-(5-(Hydromethyl)-4,5-dihydroisoxazol-3-yl)-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-1,3-oxazolidin-2-one 501940-48-3P,
 (5R)-3-[4'-(5-(Hydromethyl)-4,5-dihydroisoxazol-3-yl)-1,1'-biphenyl-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one
 501940-59-6P, (5R)-3-[2-Fluoro-4'-(5-(Hydromethyl)-4,5-dihydroisoxazol-3-yl)-1,1'-biphenyl-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-74-5P, (5R)-3-[4'-(5-(Hydromethyl)-4,5-dihydroisoxazol-3-yl)-1,1'-biphenyl-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-ylmethyl)-4,5-dihydroisoxazol-3-yl]thian-2-ylphenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-76-7P,
 (5R)-3-[4-[5-(5-(Hydromethyl)-4,5-dihydroisoxazol-3-yl)thien-2-yl]phenyl]-5-(4-methyl-1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-78-9P, (5R)-3-[3-Fluoro-4-[5-(5-(Hydromethyl)-4,5-dihydroisoxazol-3-yl)thien-2-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-79-0P, (5R)-3-[3-Fluoro-4-[5-(5-(Hydromethyl)-4,5-dihydroisoxazol-3-yl)-1,1'-biphenyl-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-83-5P,
 (5R)-3-[5-(5-(5-(Hydromethyl)-4,5-dihydroisoxazol-3-yl)thien-2-yl)pyrid-2-yl]-5-[4-(4-methyl-1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-84-7P, (5R)-3-[5-(5-(5-(Hydromethyl)-4,5-dihydroisoxazol-3-yl)thien-2-yl)pyrid-2-yl]-5-[4-(4-methyl-1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-86-9P, (5R)-3-[3-Fluoro-4-[2-(5-(Hydromethyl)-4,5-dihydroisoxazol-3-yl)pyrid-5-yl]phenyl]-5-[4-(4-methyl-1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one 501940-91-6P,
 (5R)-3-[3-Fluoro-4-[6-(5-hydroxymethyl)-4,5-dihydroisoxazol-3-yl]pyridin-3-yl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-1,3-oxazolidin-2-one

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); TRU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses); (drug candidate; prepn. of oxazolidinones and/or isoxazolines as antibacterial agents)

RN: 501939-93-1 HCAPIUS
 CN: 2-Oxazolidinone, 3,3'-(2,2'-difluoro[1,1'-biphenyl]-4,4'-diyl)bis[5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R,5'R)- (9CI) (CA INDEX NAME)

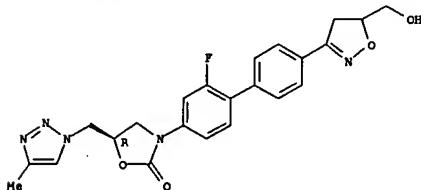
Absolute stereochemistry.

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RN: 501939-96-4 HCAPIUS
 2-Oxazolidinone, 3-[4'-(4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl)-2-fluoro[1,1'-biphenyl]-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



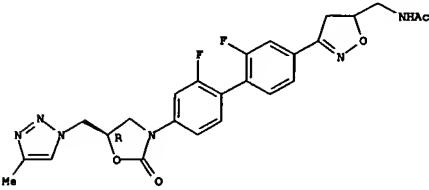
RN: 501940-04-1 HCAPIUS
 Acetamide, N-[(3-[2,2'-difluoro-4'-(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl]1,1'-biphenyl)-4-yl]-4,5-dihydro-5-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN: 501940-04-7 HCAPIUS
 CN: 2-Oxazolidinone, 3,3'-(2,2'-difluoro[1,1'-biphenyl]-4,4'-diyl)bis[5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R,5'R)- (9CI) (CA INDEX NAME)

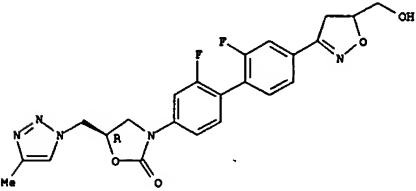
Absolute stereochemistry.

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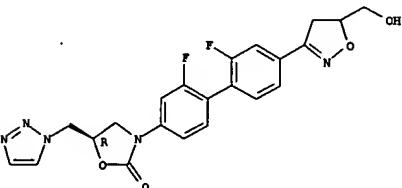
RN: 501940-11-0 HCAPIUS
 CN: 2-Oxazolidinone, 3-[4'-(4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl)-2,2'-difluoro[1,1'-biphenyl]-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN: 501940-12-1 HCAPIUS
 CN: 2-Oxazolidinone, 3-[4'-(4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl)-2,2'-difluoro[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

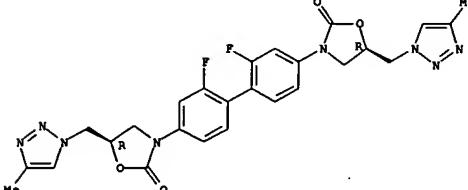
Absolute stereochemistry.



L12 ANSWER 37 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)

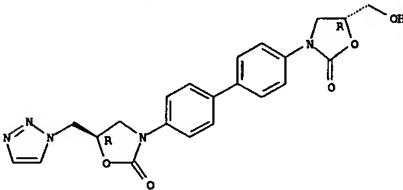
RN: 501940-26-7 HCAPIUS
 CN: 2-Oxazolidinone, 3,3'-(2,2'-difluoro[1,1'-biphenyl]-4,4'-diyl)bis[5-(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R,5'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



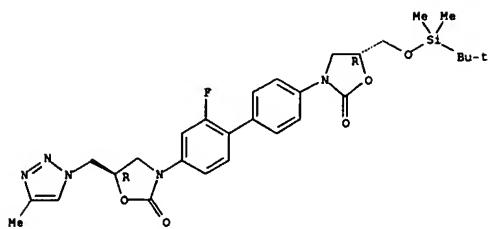
RN: 501940-29-0 HCAPIUS
 CN: 2-Oxazolidinone, 3-[4'-(5R)-5-(hydroxymethyl)-2-oxo-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



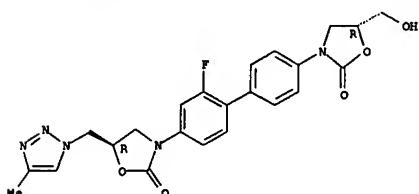
RN: 501940-33-6 HCAPIUS
 CN: 2-Oxazolidinone, 5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-3-[2'-fluoro-4'-(5R)-5-(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl][1,1'-biphenyl]-4-yl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



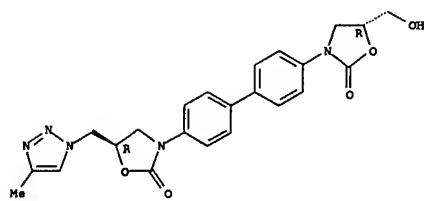
RN 501940-37-0 HCPLUS
 CN 2-Oxazolidinone, 3-[2-fluoro-4'-[(5R)-5-(hydroxymethyl)-2-oxo-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



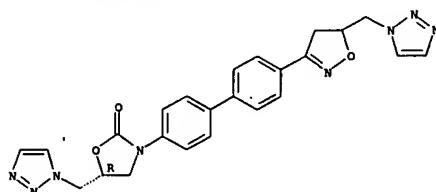
RN 501940-39-1 HCPLUS
 CN 2-Oxazolidinone, 5-(hydroxymethyl)-3-[4'-(5R)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-2-oxo-3-oxazolidinyl][1,1'-biphenyl]-4-yl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



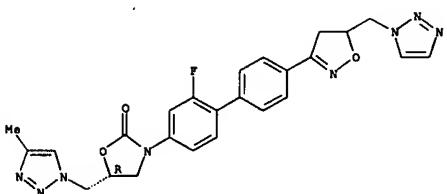
RN 501940-41-6 HCPLUS
 CN 2-Oxazolidinone, 3-[4'-(4,5-dihydro-5-(1H-1,2,3-triazol-1-ylmethyl)-3-isoxazolyl)[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



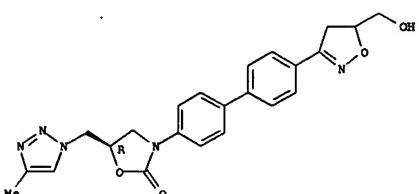
RN 501940-42-7 HCPLUS
 CN 2-Oxazolidinone, 3-[4'-(4,5-dihydro-5-(1H-1,2,3-triazol-1-ylmethyl)-3-isoxazolyl)-2-fluoro[1,1'-biphenyl]-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



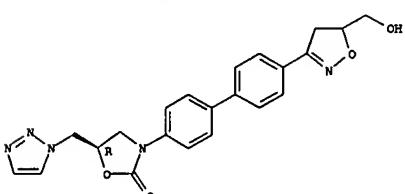
RN 501940-46-1 HCPLUS
 CN 2-Oxazolidinone, 3-[4'-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl][1,1'-biphenyl]-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



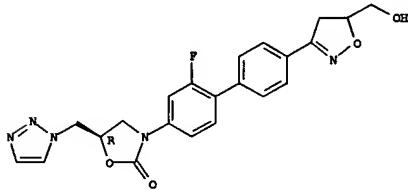
RN 501940-48-3 HCPLUS
 CN 2-Oxazolidinone, 3-[4'-[4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl][1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



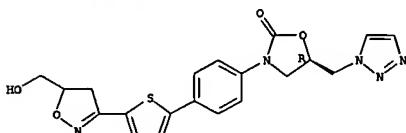
RN 501940-59-6 HCPLUS
 CN 2-Oxazolidinone, 3-[4'-(4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl)-2-fluoro[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



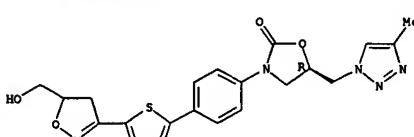
RN 501940-74-5 HCPLUS
 CN 2-Oxazolidinone, 3-[4-[5-(4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl)-2-thienyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



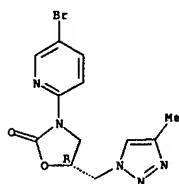
RN 501940-76-7 HCPLUS
 CN 2-Oxazolidinone, 3-[4-[5-(4,5-dihydro-5-(hydroxymethyl)-3-isoxazolyl)-2-thienyl]phenyl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



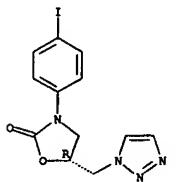
L12 ANSWER 37 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 501939-69-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[(5-bromo-2-pyridinyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501939-70-4 HCAPLUS
 CN 2-Oxazolidinone, 3-(4-iodophenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



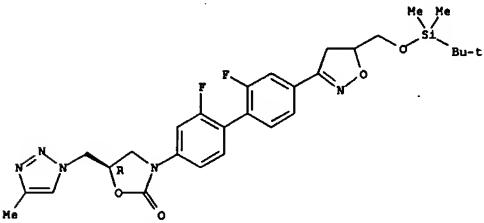
RN 501939-73-7 HCAPLUS
 CN 2-Oxazolidinone, 3-(4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



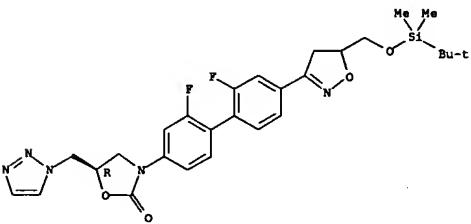
L12 ANSWER 37 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 501940-19-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[(5-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4,5-dihydro-3-isoxazolyl]-2,2'-difluoro[1,1'-biphenyl]-4-yl]-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 501940-20-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[(4'-[[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-4,5-dihydro-3-isoxazolyl]-2,2'-difluoro[1,1'-biphenyl]-4-yl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

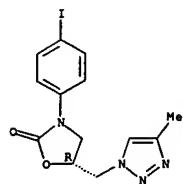
Absolute stereochemistry.



RN 501940-27-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[(3-fluoro-4-(trimethylstannyl)phenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

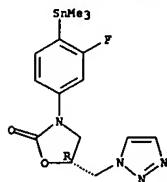
Absolute stereochemistry.

L12 ANSWER 37 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



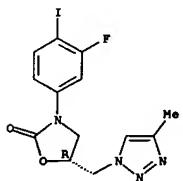
RN 501939-94-2 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-(trimethylstannyl)phenyl)-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



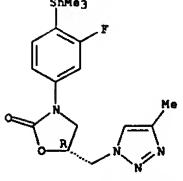
RN 501939-98-6 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluoro-4-iodophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



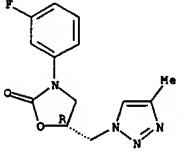
L12 ANSWER 37 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 RN 501940-28-9 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluorophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

L12 ANSWER 37 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 501940-28-9 HCAPLUS
 CN 2-Oxazolidinone, 3-(3-fluorophenyl)-5-[(4-methyl-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 38 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 03 Dec 2002

AB A series of 5-substituted oxazolidinones with varying substitution at the 5-position of the oxazolidinone ring were synthesized and their in vitro antibacterial activity was evaluated. The compds. demonstrated potent to weak antibacterial activity. A novel compound (PH-027) demonstrated potent antibacterial activity, which is comparable to or better than those of linezolid and vancomycin against antibiotic-susceptible standard and clin. isolated resistant strains of gram-pos. bacteria. Although the presence of the C-5-acetamidomethyl functionality at the C-5 position of the oxazolidinone has been widely claimed and reported as a structural requirement for optimal antimicrobial activity in the oxazolidinone class of compds., our results from this work identified the C-5 triazole substitution as a new structural alternative for potent antibacterial activity in the oxazolidinone class.

ACCESSION NUMBER: 2002-915641 HCAPIUS

DOCUMENT NUMBER: 138:268234

TITLE: Synthesis and antibacterial activity of 5-substituted oxazolidinones

AUTHOR(S): Phillips, C. A.; Udo, E. E.; Ali, A. A. M.; Al-Hessawi, N.

CORPORATE SOURCE: Faculty of Pharmacy, Department of Pharmaceutical Chemistry, Kuwait University, Safat, 13110, Kuwait

SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(1), 35-41 CODEN: BMEDCP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

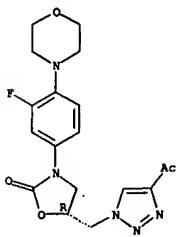
OTHER SOURCE(S): CASREACT 138:268234

IT 503026-28-3P
RL: BSI (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (synthesis and antibacterial activity of 5-substituted oxazolidinones)

RN 503026-25-3 HCAPIUS

CN 2-Oxazolidinone, 5-[(4-acetyl-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-(4-morpholinyl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

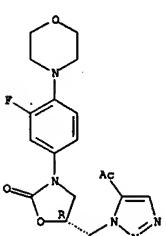
Absolute stereochemistry.

L12 ANSWER 38 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
RL: PRP (Properties); PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (synthesis and antibacterial activity of 5-substituted oxazolidinones)

RN 503026-26-4 HCAPIUS

CN 2-Oxazolidinone, 5-[(5-acetyl-1H-1,2,3-triazol-1-yl)methyl]-3-[3-fluoro-4-(4-morpholinyl)phenyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 503026-28-6P 503026-29-7P

RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation) (synthesis and antibacterial activity of 5-substituted oxazolidinones)

RN 503026-28-6 HCAPIUS

CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

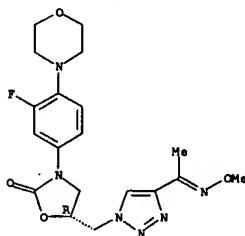
L12 ANSWER 38 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)

IT 503026-27-5P 503090-32-2P, PB 027

RL: BSI (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (synthesis and antibacterial activity of 5-substituted oxazolidinones)

RN 503026-27-5 HCAPIUS

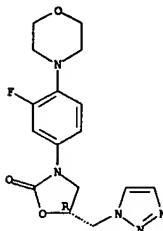
CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-[(1-ethoxyimino)ethyl]-1H-1,2,3-triazol-1-yl)methyl]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

RN 503090-32-2 HCAPIUS

CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-morpholinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

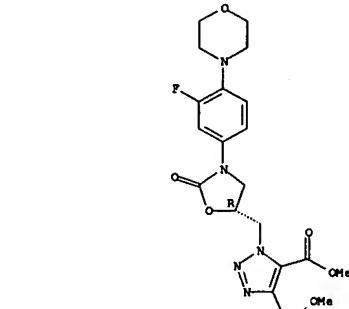
Absolute stereochemistry.



IT 503026-26-4P

L12 ANSWER 38 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

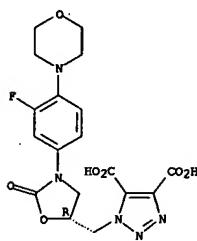


PAGE 2-A

IT 503026-29-7P 503026-29-7P

RN 503026-29-7 HCAPIUS
CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-[(5R)-3-[3-fluoro-4-(4-morpholinyl)phenyl]-2-oxo-5-oxazolidinyl]methyl-, disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 Na

REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; HET = N-linked 5-membered, fully or partially unsatd. heterocyclic ring, or an N-linked 6-membered di-hydro-heteroaryl ring; Q = II, III, etc. (wherein R2, R3 = H, F, T = IV, V, etc.)] and their pharmaceutically-acceptable salts, useful in production of an antibacterial effect in a warm blooded animal, were prepared. E.g., detailed multi-step synthesis of (5R)-VI as its mesitylene sulfonate salt, starting from 3,5-difluorosidine and tetrahydrothiopyran-4-one, was described. Antibacterial properties of compound VI were tested against 5 different bacteria, and its MIC values were given.

ACCESSION NUMBER: 2002793623 HCAPLUS

DOCUMENT NUMBER: 1371310923

TITLE: Preparation of oxazolidinones substituted by novel sulfilimine and sulfoximine-containing rings as antibiotics

INVENTOR(S): Bettis, Michael John; Swain, Michael Lingard; Heales, Neil James; Buynh, Hoan Khai

PATENT ASSIGNEE(S): AstraZeneca AB, Sweden; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 106 pp.

CODEN: PIXX02

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002081470	A1	20021017	WO 2002-GB1644	20020403
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GI, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MW, MH, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TU, TM, TN, TR, TT, TZ, UA, UG, US, VN, YU, ZA, ZH, ZM, ZR, ZT				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DX, ES, FI, FR, GB, GR, IS, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, CO, GW, ML, MR, NE, SN, TD, TG				
EP 1365844	A1	20040204	EP 2002-720195	20020403
R: MT, BE, CH, DE, DK, ES, FR, GB, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004531518	T2	20041014	JP 2002-579458	20020403
US 2005032861	A1	20050210	US 2004-480959	20040628
PRIORITY APPLN. INFO.:			GB 2001-8765	A 20010407
			US 2001-330588P	P 20011025
			WO 2002-GB1644	W 20020403

OTHER SOURCE(S): MARPAT 137:310923

IT 471913-40-3P 471913-42-5P 471913-43-6P

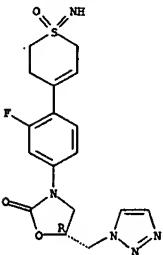
471913-46-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP

RN 471913-40-3 HCAPLUS

CN 2H-Thiopyran, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,1,3,6-tetrahydro-1-imino-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 471913-42-5 HCAPLUS

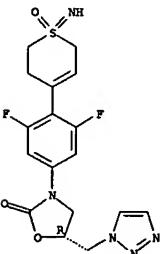
CN Benzenesulfonic acid, 2,4,6-trimethyl-, compd. with (5R)-3-[4-(1,1,3,6-tetrahydro-1-imino-1-oxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-2-oxazolidinone (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 471913-41-4

CHF C17 H17 F2 N5 O3 S

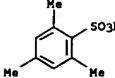
Absolute stereochemistry.



CH 2

CRN 3453-83-6

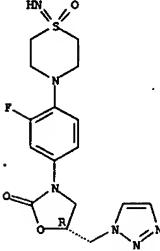
CHF C9 H12 O3 S



RN 471913-43-6 HCAPLUS

CN Thiomorpholine, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-dihydro-1-imino-, 1-oxide (9CI) (CA INDEX NAME)

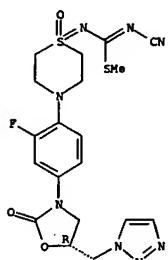
Absolute stereochemistry.



RN 471913-46-9 HCAPLUS

CN Thiomorpholine, 1-[(cyanoimino)(methylthio)methyl]imino)-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,1-dihydro-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



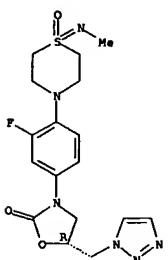
IT 471913-44-7P 471913-45-8P 471913-47-0P
471913-48-1P 471913-49-2P 471913-50-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of oxazolidinones substituted by novel sulfonimine and sulfonimine-containing rings as antibiotics)

RN 471913-44-7 HCAPLUS

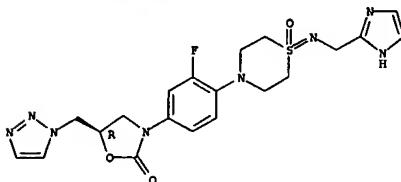
CN Thiomorpholine, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,1-dihydro-1-(methylimino)-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 471913-45-5 HCAPLUS
CN Thiomorpholine, 4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,1-dihydro-1-(methylimino)-, 1-oxide (9CI) (CA INDEX NAME)

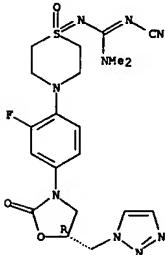
Absolute stereochemistry.



RN 471913-47-0 HCAPLUS

CN Thiomorpholine, 1-[(cyanomino) (dimethylamino)methyl]imino-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,1-dihydro-, 1-oxide (9CI) (CA INDEX NAME)

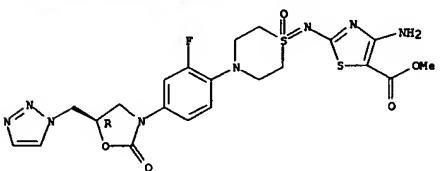
Absolute stereochemistry.
Double bond geometry unknown.



RN 471913-48-1 HCAPLUS

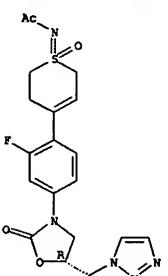
CN Thiomorpholine, 1-[(4-amino-5-(methoxycarbonyl)-2-thiazolyl)imino]-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,1-dihydro-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



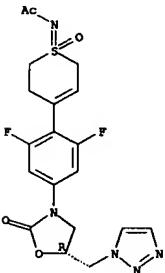
RN 471913-49-2 HCAPLUS
CN 2H-Thiopyran, 1-(acetylimino)-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,3,6-tetrahydro-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 471913-50-5 HCAPLUS
CN 2H-Thiopyran, 1-(acetylimino)-4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,3,6-tetrahydro-, 1-oxide (9CI) (CA INDEX NAME)

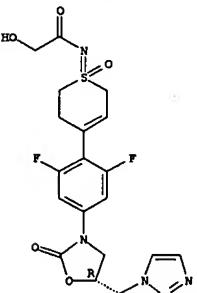
Absolute stereochemistry.



RN 471913-51-6 HCAPLUS

CN 2H-Thiopyran, 4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,1,3,6-tetrahydro-1-[(hydroxymethyl)imino]-, 1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



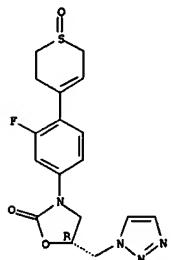
IT 371195-00-5P 371195-01-6P 371195-03-8P
371195-04-9P 471913-52-7P 471913-53-8P
471913-54-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of oxazolidinones substituted by novel sulfonimine and sulfonimine-containing rings as antibiotics)

RN 371195-00-5 HCAPLUS

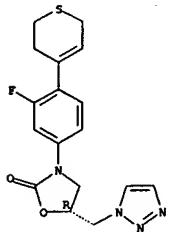
L12 ANSWER 39 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 371195-01-6 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

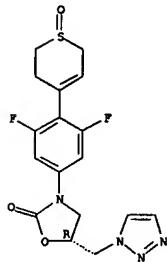
Absolute stereochemistry.



RN 371195-03-8 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

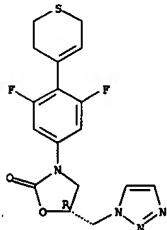
Absolute stereochemistry.

L12 ANSWER 39 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)



RN 371195-04-9 HCAPIUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

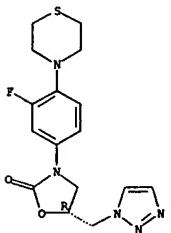
Absolute stereochemistry.



RN 471913-52-7 HCAPIUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(4-thiomorpholinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

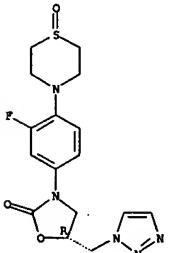
Absolute stereochemistry.

L12 ANSWER 39 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)



RN 471913-53-8 HCAPIUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1-oxido-4-thiomorpholinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

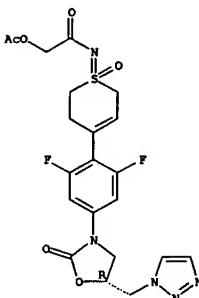
Absolute stereochemistry.



RN 471913-54-9 HCAPIUS
 CN 2H-Thiopyran, 1-[(acetyloxymethyl)imino]-4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,3,6-tetrahydro-1-oxide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

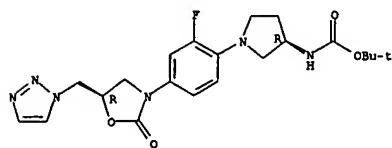
L12 ANSWER 39 OF 41 HCAPIUS COPYRIGHT 2005 ACS on STN (Continued)



REFERENCE COUNT:

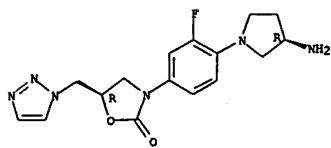
7

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



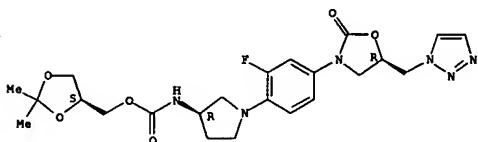
RN 371194-35-3 HCAPLUS
CN 2-Oxazolidinone, 3-[(3R)-3-amino-1-pyrrolidinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 371194-37-5 HCAPLUS
CN Carbamic acid, [(3R)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, [(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

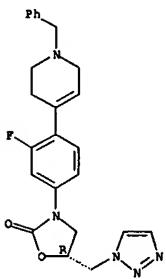


RN 371194-39-7 HCAPLUS
CN Carbamic acid, [(3R)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, 2-methoxyethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

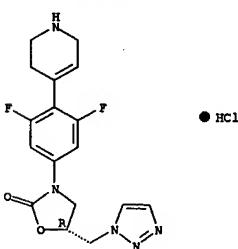
CN 2-Oxazolidinone, 3-[3-fluoro-4-[(1,2,3,6-tetrahydro-1-(phenylmethyl)-4-pyridinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



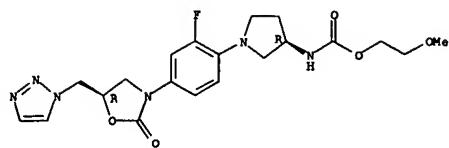
RN 371194-67-1 HCAPLUS
CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[(1,2,3,6-tetrahydro-4-pyridinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



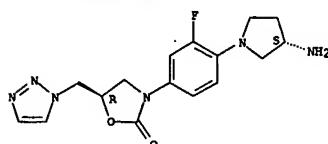
RN 371194-69-3 HCAPLUS
CN Pyridine, 1-[(acetyl oxy)acetyl]-4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 371194-42-2 HCAPLUS
CN 2-Oxazolidinone, 3-[(3S)-3-amino-1-pyrrolidinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

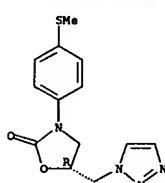
Absolute stereochemistry.



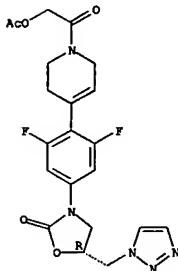
● HCl

RN 371194-57-9 HCAPLUS
CN 2-Oxazolidinone, 3-[(methylthio)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

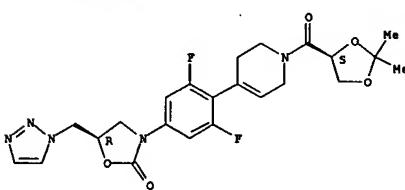


RN 371194-63-7 HCAPLUS



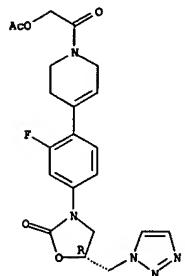
RN 371194-71-7 HCAPLUS
CN Pyridine, 4-[(2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-1-[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



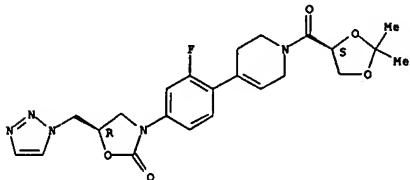
RN 371194-73-9 HCAPLUS
CN Pyridine, 1-[(acetyl oxy)acetyl]-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



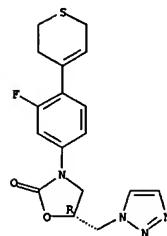
RN 371194-75-1 HCAPLUS
CN Pyridine, 1-[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]-4-[2-fluoro-4-[(R)-2-oxo-5-[(1H-2,3-triazol-1-yl)methyl]-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 371195-01-6 HCAPLUS
CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-2H-thiopyran-4-yl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



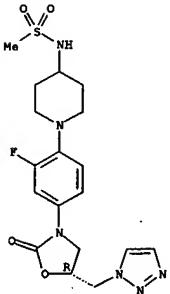
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	371194-32-0P	371194-33-1P	371194-36-4P
	371194-38-6P	371194-40-0P	371194-41-1P
	371194-43-3P	371194-44-6P	371194-45-5P
	371194-46-6P	371194-50-5P	371194-59-1P
	371194-62-6P	371194-64-5P	371194-65-5P
	371194-66-0P	371194-68-2P	371194-70-6P
	371194-72-8P	371194-74-0P	371194-76-2P

371194-94-4P 371194-95-5P 371194-96-6P
 371194-97-7P 371194-98-8P 371194-99-9P
 371195-00-5P 371195-02-7P 371195-03-8P
 371195-04-9P 371195-17-4P 371195-18-5P
 371195-19-6P 371195-20-9P 371195-21-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified) SPP (Synthetic preparation); THU (Therapeutic use);

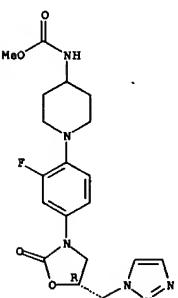
BIOL (Biological study); PREP (Preparation); USES (Uses)
(oxazolidinone derivs. with antibacterial activity)
RN 371194-21-7 HCPLUS
CN Methanesulfonamide, N-[1-(2-fluoro-4-[(5R)-2-oxo-5-(1H-2,3-triazol-1-
ulmethyl)-2-oxazolidinone]ethyl]phenyl-4-nitro-4-methyl- (S)- (SIS-100000-NAME)

Analytic approach to



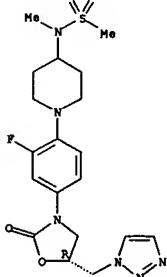
RN 371194-22-8 HCAPLUS
CN Carbamic acid, [1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



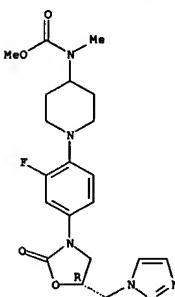
RN 371194-24-0 HCAPLUS
CN Methanesulfonamide, N-[1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxasolidinyl]phenyl]-4-piperidinyl]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry



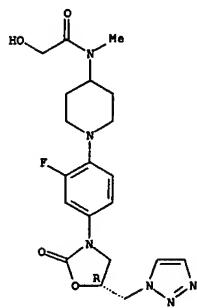
RN 371194-25-1 HCPLUS
CN Carbamic acid, [1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-piperidinyl]methyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



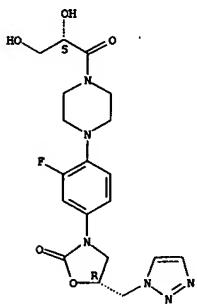
RN 371194-27-3 HCPLUS
CN Acetamide, N-[1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-piperidinyl]-2-hydroxy-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereoselectivity



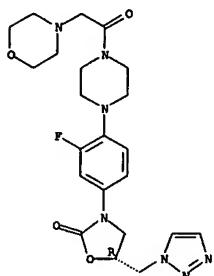
RN 371194-28-4 HCAPIUS
 CN Piperazine, 1-[2S]-2,3-dihydroxy-1-oxopropyl]-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



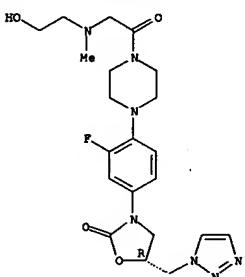
RN 371194-29-5 HCAPIUS
 CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-

Absolute stereochemistry.



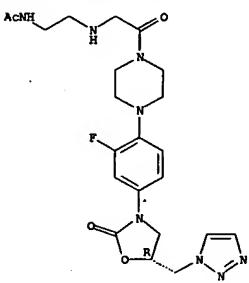
RN 371194-30-9 HCAPIUS
 CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-[(2-hydroxyethyl)methylamino]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



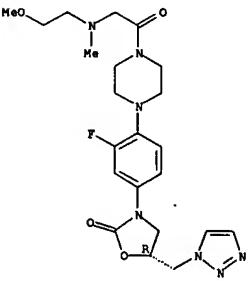
RN 371194-31-9 HCAPIUS
 CN Acetamide, N-[2-[(2-[4-[(5R)-2-oxo-4-[(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-piperazinyl]-2-oxethyl]amino]ethyl]-

Absolute stereochemistry.



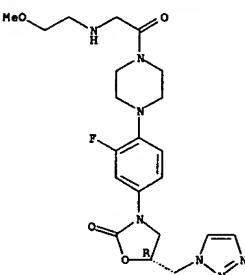
RN 371194-32-0 HCAPIUS
 CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-[(2-methoxyethyl)methylamino]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



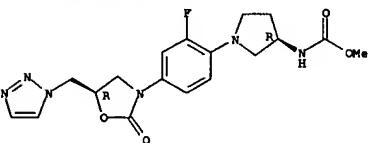
RN 371194-33-1 HCAPIUS
 CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-[(2-methoxyethyl)amino]acetyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



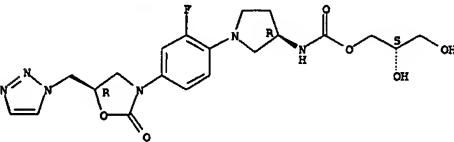
RN 371194-36-4 HCAPIUS
 CN Carbamic acid, [(3R)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 371194-38-6 HCAPIUS
 CN Carbamic acid, [(3R)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, (2S)-2,3-dihydroxypropyl ester (9CI) (CA INDEX NAME)

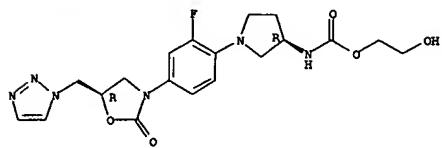
Absolute stereochemistry.



RN 371194-40-0 HCAPIUS
 CN Carbamic acid, [(3R)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-

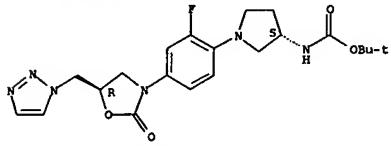
L12 ANSWER 40 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, 2-hydroxyethyl ester
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



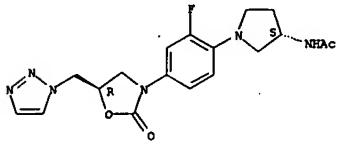
RN 371194-41-1 HCAPLUS
 CN Carbamic acid, [(3S)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, 1,1-dimethylethyl ester
 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



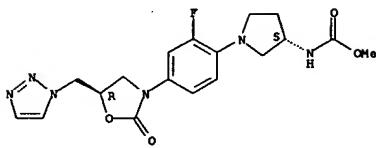
RN 371194-43-3 HCAPLUS
 CN Acetamide, N-[(3S)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



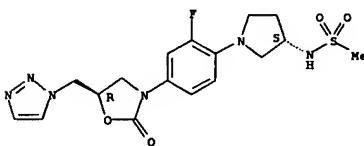
RN 371194-44-4 HCAPLUS
 CN Carbamic acid, [(3S)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]-, methyl ester (9CI) (CA INDEX NAME)

L12 ANSWER 40 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)
 Absolute stereochemistry.



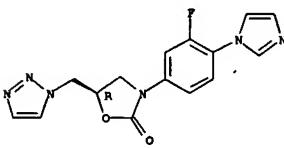
RN 371194-45-6 HCAPLUS
 CN Methanesulfonamide, N-[(3S)-1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3-pyrrolidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 371194-46-6 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1H-imidazol-1-yl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

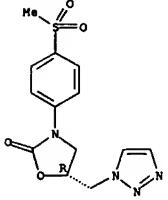
Absolute stereochemistry.



RN 371194-50-0 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(methylsulfonyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

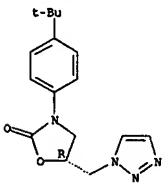
Absolute stereochemistry.

L12 ANSWER 40 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



RN 371194-59-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(1,1-dimethylethyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

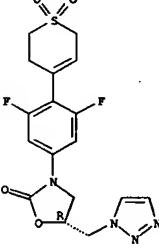
Absolute stereochemistry.



RN 371194-62-6 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

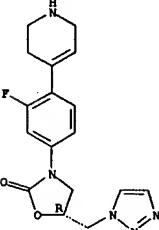
Absolute stereochemistry.

L12 ANSWER 40 OF 41 HCAPLUS COPYRIGHT 2005 ACS on STN (Continued)



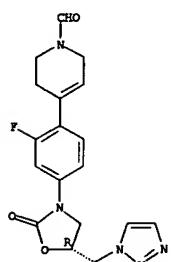
RN 371194-64-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1,2,3,6-tetrahydro-4-pyridinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



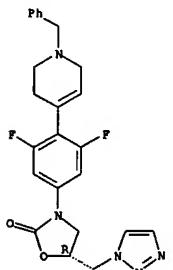
RN 371194-65-9 HCAPLUS
 CN 1(2H)-Pyridinecarboxaldehyde, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



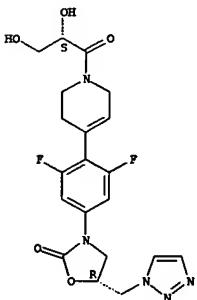
RN 371194-66-0 HCAPLUS
 CN 2-Oxazolidinone, 3-[3,5-difluoro-4-[1,2,3,6-tetrahydro-1-(phenylmethyl)-4-oxazolidinyl]phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (SR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



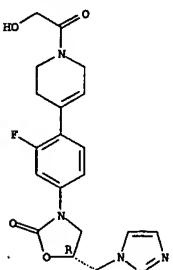
RN 371194-68-2 HCAPLUS
 CN 1-(2H)-Pyridinecarboxaldehyde, 4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-3,6-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



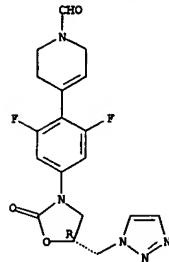
RN 371194-74-0 HCAPLUS
 CN Pyridine, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro-1-(hydroxyacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



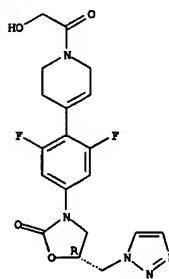
RN 371194-76-2 HCAPLUS
 CN Pyridine, 1-[(2S)-2,3-dihydroxy-1-oxopropyl]-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



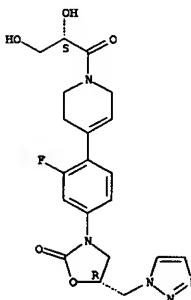
RN 371194-70-6 HCAPLUS
 CN Pyridine, 4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro-1-(hydroxyacetyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



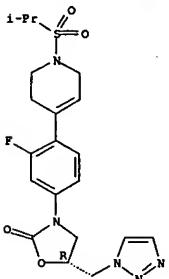
RN 371194-72-8 HCAPLUS
 CN Pyridine, 4-[2,6-difluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1-[(2S)-2,3-dihydroxy-1-oxopropyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



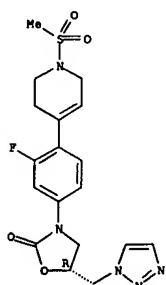
RN 371194-94-4 HCAPLUS
 CN Pyridine, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro-1-[(1-methylethyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



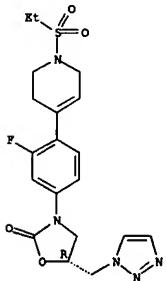
RN 371194-95-5 HCAPLUS
 CN Pyridine, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro-1-(methylsulfonyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



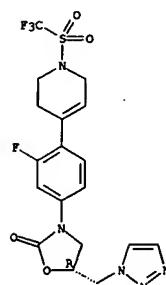
RN 371194-96-6 HCAPLUS
 CN Pyridine, 1-[(ethylsulfonyl)-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



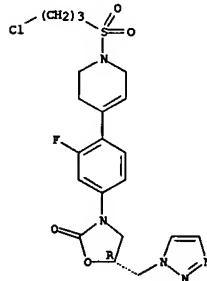
RN 371194-97-7 HCAPLUS
 CN Pyridine, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro-1-[(trifluoromethyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



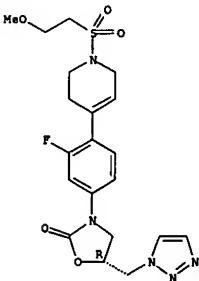
RN 371194-98-8 HCAPLUS
 CN Pyridine, 1-[(3-chloropropyl)sulfonyl]-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



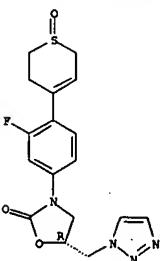
RN 371194-99-9 HCAPLUS
 CN Pyridine, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-1,2,3,6-tetrahydro-1-[(2-methoxyethyl)sulfonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



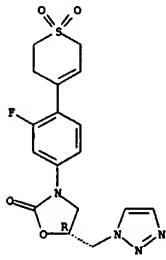
RN 371195-00-5 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



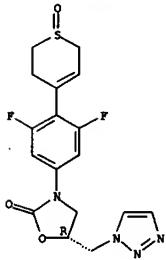
RN 371195-02-7 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1,1-dioxido-2H-thiopyran-4-yl)-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



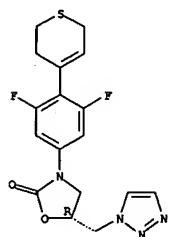
RN 371195-03-8 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-1-oxido-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



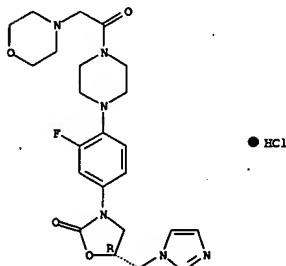
RN 371195-04-9 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-(3,6-dihydro-2H-thiopyran-4-yl)-3,5-difluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



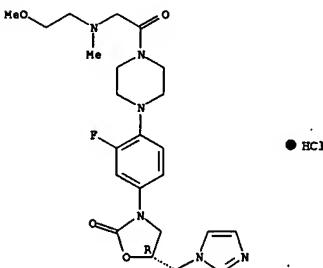
RN 371195-17-4 HCAPLUS
 CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-(4-morpholinylacetyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



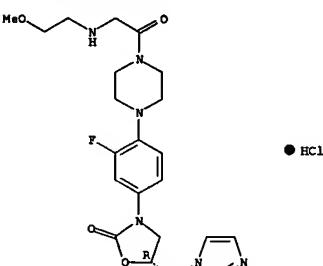
RN 371195-18-5 HCAPLUS
 CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-[(2-hydroxyethyl)methylamino]acetyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



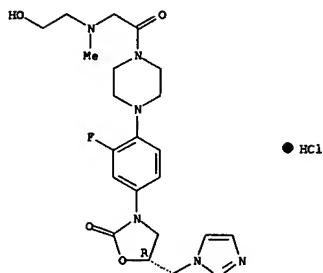
RN 371195-21-0 HCAPLUS
 CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-[(2-methoxyethyl)amino]acetyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



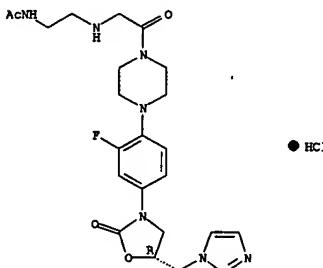
IT 371196-57-5
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (oxazolidinone derivs. with antibacterial activity)
 RN 371196-57-5 HCAPLUS
 CN 2-Oxazolidinone, 3-[4-[(3R)-3-amino-1-pyrrolidinyl]-3-fluorophenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



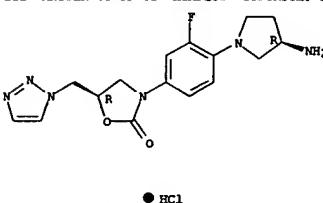
RN 371195-19-6 HCAPLUS
 CN Acetamide, N-[2-[(2-[(4-[(2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl)-1-piperazinyl]-2-oxoethyl]amino)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



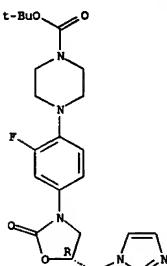
RN 371195-20-9 HCAPLUS
 CN Piperazine, 1-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-4-[(2-methoxyethyl)methylamino]acetyl-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



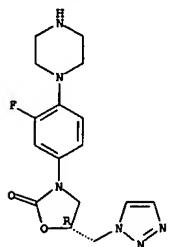
IT 371195-29-8P 371195-30-1P 371195-31-2P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (oxazolidinone derivs. with antibacterial activity)
 RN 371195-29-8 HCAPLUS
 CN 1-Piperazinecarboxylic acid, 4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



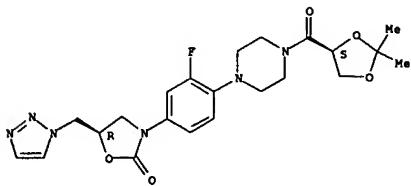
RN 371195-30-1 HCAPLUS
 CN 2-Oxazolidinone, 3-[3-fluoro-4-(1-piperazinyl)phenyl]-5-(1H-1,2,3-triazol-1-ylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

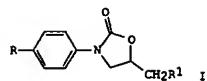


RN 371195-31-2 HCPLUS
 CN Pipecazine, 1-[(4S)-2,2-dimethyl-1,3-dioxolan-4-yl]carbonyl]-4-[2-fluoro-4-[(5R)-2-oxo-5-(1H-1,2,3-triazol-1-ylmethyl)-3-oxazolidinyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



AB The synthesis and structure/activity studies of the effect of varying the B group in a series of oxazolidinone antibiotics I (R = Me2CH, Me, SO2, Ac, etc.; R1 = B = H, Me, OH, NHAc, etc.) are described. Two synthetic routes were used (1) alkylatation of aniline with glycidol followed by dialkyl carbonate heterocyclization to afford I (R = H, R1 = CH2bond. B = OH), whose arene ring was further elaborated by using electrophilic aromatic substitution methodology. (2) cycloaddition of substituted aryl isocyanates with epoxides. I with B = OH or B = Me, converted to other B functionalities by using SN2 methodology. Antibacterial evaluation of compds. I with R = acetyl, iso-Pr, methylthio, methylsulfinyl, methylsulfonyl, and sulfonamido and a variety of different β groups against *Staphylococcus aureus* and *Enterococcus faecalis* concluded that the compds. with B = amide, and particularly acetamido, were the most active of those examined in each R series, possessing MIC's in the range of 0.5-4 μ g/ml for the most active compds. described.

ACCESSION NUMBER: 1989-457600 HCPLUS

DOCUMENT NUMBER: 111:57600

TITLE: Antibacterials. Synthesis and structure-activity studies of 3-aryl-2-oxo-oxazolidines. I. The B group
 AUTHOR(S): Gregory, Walter A.; Brittelli, David R.; Wang, C. L. J.; Wuonola, Mark A.; McRipley, Ronald J.; Eustice, David C.; Eberly, Virginia S.; Slez, Andrew H.; Forbes, Martin; Bartholomew, P. T.

CORPORATE SOURCE: E. I. du Pont de Nemours and Co., Wilmington, DE, 19898, USA

SOURCE: Journal of Medicinal Chemistry (1989), 32(8), 1673-81
 CODEN: JMCHAR; ISSN: 0022-2623DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 111:57600IT 121372-95-0
 AB: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PREP (Preparation and bactericidal activity of)RN 121372-95-0 HCPLUS
 CN 1H-1,2,3-Triazole-4,5-dicarboxylic acid, 1-[(3-(4-acetylphenyl)-2-oxo-5-oxazolidinyl)methyl]-, dimethyl ester, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

